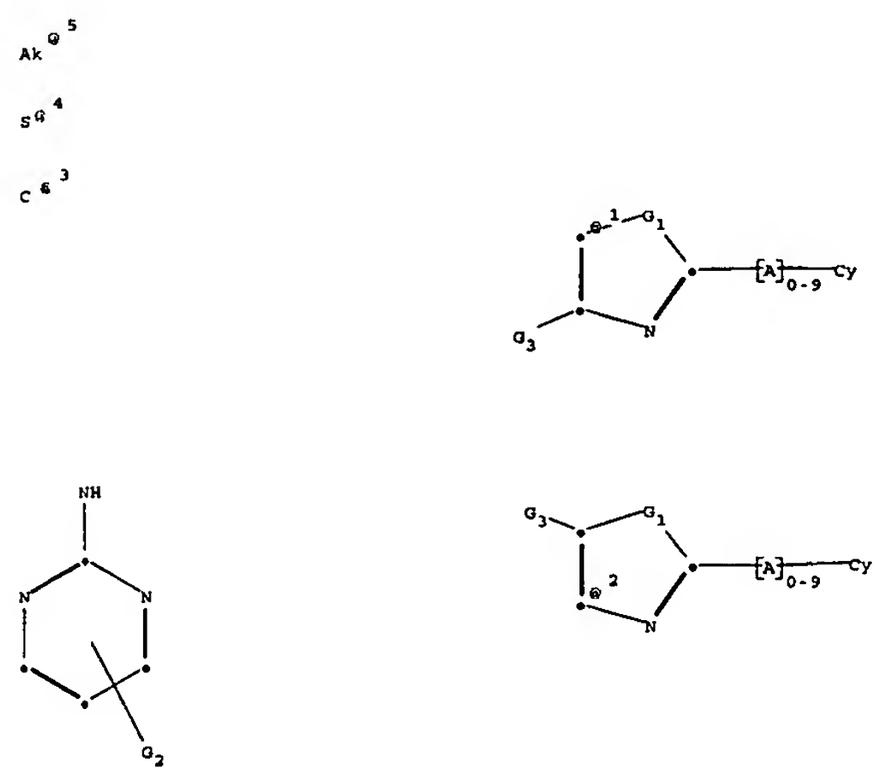
C:\Program Files\Stnexp\Queries\10616560 (a).str



chain nodes :
7 20 21 22 23 31 33 34 35 40 41
ring nodes :
1 2 3 4 5 6 8 9 10 11 12 14 15 16 17 18
chain bonds :
4-7 9-20 11-40 15-21 18-41 20-22 21-23
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 8-9 8-12 9-10 10-11 11-12 14-15 14-18 15-16 16-17
17-18
exact/norm bonds :
4-7 8-9 8-12 9-10 9-20 10-11 11-12 11-40 14-15 14-18 15-16 15-21 16-17 17-18
18-41 20-22 21-23
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 : 8 : 14 :

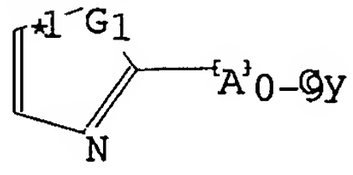
G1:O,S,N

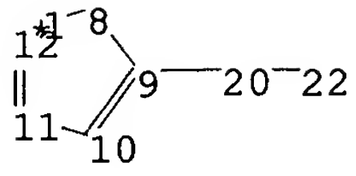
G2:[*1],[*2]

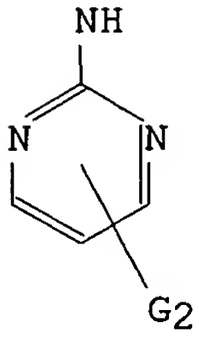
G3:H,SO2,[*3],[*4],[*5]

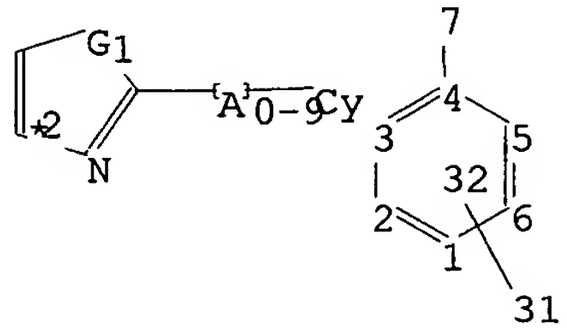
Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:Atom 9:Atom 10:Atom 11:Atom
12:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 20:CLASS 21:CLASS 22:Atom 23:Atom
31:CLASS 32:CLASS 33:CLASS 34:CLASS 35:CLASS 40:CLASS 41:CLASS

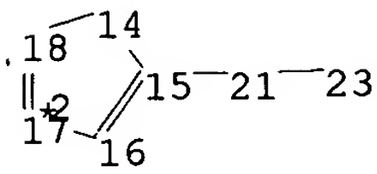
=>
Uploading C:\Program Files\Stnexp\Queries\10616560.str











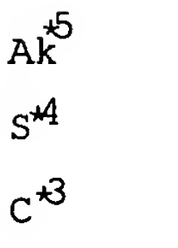
chain nodes :
7 20 21 22 23 31
ring nodes :
1 2 3 4 5 6 8 9 10 11 12 14 15 16 17 18
chain bonds :
4-7 9-20 15-21 20-22 21-23
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 8-9 8-12 9-10 10-11 11-12 14-15 14-18 15-16
16-17 17-18
exact/norm bonds :
4-7 8-9 8-12 9-10 9-20 10-11 11-12 14-15 14-18 15-16 15-21 16-17 17-18
20-22 21-23
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 : 8 : 14 :

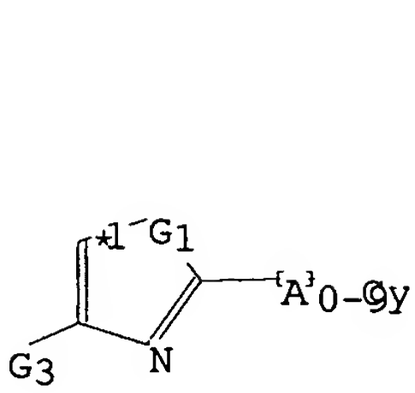
G1:O,S,N

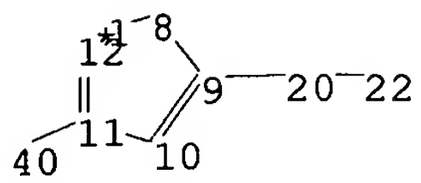
G2:[*1],[*2]

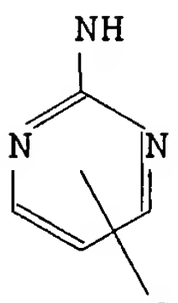
Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 20:CLASS 21:CLASS
22:Atom 23:Atom 31:CLASS 32:CLASS

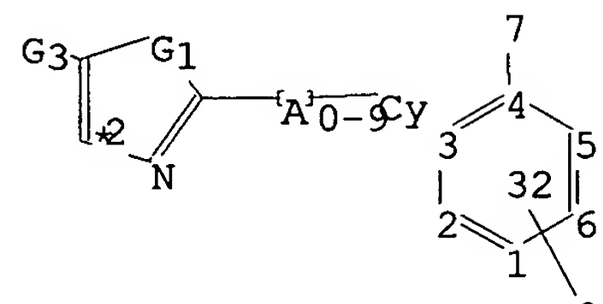
```
L1      STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1                STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.


=> s l1 sss sam
SAMPLE SEARCH INITIATED 15:46:17 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -  10573 TO ITERATE

 18.9% PROCESSED     2000 ITERATIONS                                 7 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:       205299 TO   217621
PROJECTED ANSWERS:             376 TO     1104

L2             7 SEA SSS SAM L1

=> =>
Uploading C:\Program Files\Stnexp\Queries\10616560 (a).str
```

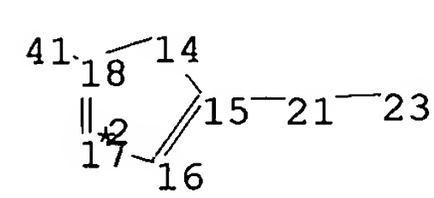












chain nodes :
7 20 21 22 23 31 33 34 35 40 41
ring nodes :
1 2 3 4 5 6 8 9 10 11 12 14 15 16 17 18
chain bonds :
4-7 9-20 11-40 15-21 18-41 20-22 21-23
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 8-9 8-12 9-10 10-11 11-12 14-15 14-18 15-16 16-17 17-18
exact/norm bonds :
4-7 8-9 8-12 9-10 9-20 10-11 11-12 11-40 14-15 14-18 15-16 15-21 16-17 17-18 18-41 20-22 21-23
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 : 8 : 14 :

G1:O,S,N

G2:[*1],[*2]

G3:H,SO2,[*3],[*4],[*5]

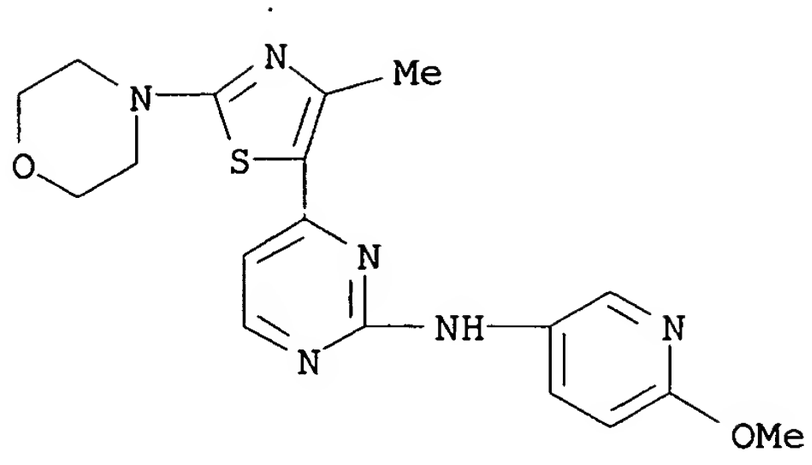
```
Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:CLASS  8:Atom  9:Atom  10:Atom
11:Atom  12:Atom  14:Atom  15:Atom  16:Atom  17:Atom  18:Atom  20:CLASS  21:CLASS
22:Atom  23:Atom  31:CLASS  32:CLASS  33:CLASS  34:CLASS  35:CLASS  40:CLASS
41:CLASS



L3       STRUCTURE UPLOADED

=> d l3
L3 HAS NO ANSWERS
L3                STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *
Structure attributes must be viewed using STN Express query preparation.


=> s l3 sss sam
SAMPLE SEARCH INITIATED 15:53:43 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -  10573 TO ITERATE

 18.9% PROCESSED     2000 ITERATIONS                                 1 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:       205299 TO   217621
PROJECTED ANSWERS:               1 TO      242

L4             1 SEA SSS SAM L3

=> => s l3 sss ful
FULL SEARCH INITIATED 15:54:08 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 213003 TO ITERATE

100.0% PROCESSED   213003 ITERATIONS                               190 ANSWERS
SEARCH TIME: 00.00.05

L5             190 SEA SSS FUL L3

=> => s l5
L6              18 L5

=> d l6 1-18 bib,ab,hitstr
```

L6 ANSWER 1 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2005:120927 CAPLUS
DN 142:219301
TI Preparation of pyridinylaminopyrimidine derivatives as protein kinase inhibitors
IN Wang, Shudong; Meades, Christopher; Gibson, Darren; Fischer, Peter
PA Cyclacel Limited, UK
SO PCT Int. Appl., 70 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2005012298	A1	20050210	WO 2004-GB3282	20040730

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI GB 2003-17842 A 20030730
GB 2003-18347 A 20030805
OS MARPAT 142:219301
AB Title compds. I [R1 = O; R2, R5-6 = R7; R10 = H, alkyl; X = S, O, (un)substituted amino; Y = N, (un)substituted alkyl; one of Z1-3 = amino, ammonium or (un)substituted alkyl; R7 = H, halo, amino, alkoxy, etc.] are prepared For instance, [4-(2,4-Dimethylthiazol-5-yl)pyrimidin-2-yl][pyridin-3-yl]amine (II) is prepared from 3-dimethylamino-1-(2,4-dimethylthiazol-5-yl)propenone and N-(pyridin-3-yl)guanidine (2-methoxyethanol, reflux, 18 h) in 24% yield. II has Ki = 0.11 μM for CDK2/cyclin E. I are useful in the treatment of proliferative, viral, and CNS disorders as well as for the treatment of strokes, alopecia and/or diabetes.
IT **837420-32-3P**, (6-Methoxypyridin-3-yl)[4-(4-methyl-2-(morpholin-4-yl)thiazol-5-yl)pyrimidin-2-yl]amine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyridinylaminopyrimidine derivs. as protein kinase inhibitors)
RN 837420-32-3 CAPLUS
CN 2-Pyrimidinamine, N-(6-methoxy-3-pyridinyl)-4-[4-methyl-2-(4-morpholinyl)-5-thiazolyl]- (9CI) (CA INDEX NAME)



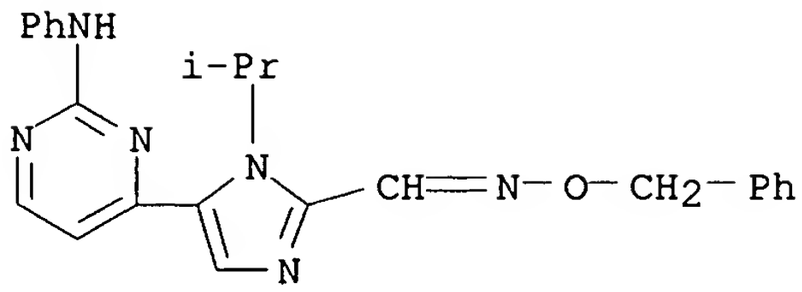
RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:1016036 CAPLUS
DN 141:424210
TI Preparation of 2-anilino-4-(imidazol-5-yl)pyrimidine derivatives and their use as cdk (cdk2) kinase inhibitors
IN Thomas, Andrew Peter
PA Astrazeneca AB, Swed.; Astrazeneca UK Limited
SO PCT Int. Appl., 48 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

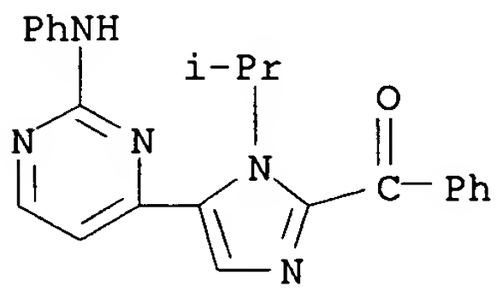
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004101549	A1	20041125	WO 2004-GB2025	20040512

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

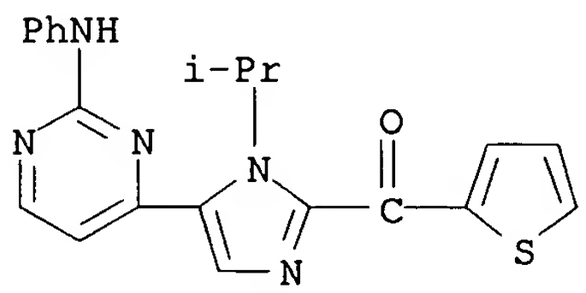
PRAI GB 2003-11276 A 20030516
OS MARPAT 141:424210
AB Title compds. I [R1 = halo, NO2, CN, OH, NH2, carboxy, etc.; p = 0-4; R2 = sulfamoyl, etc.; q = 0-2; R3 = halo, NO2, CN, OH, CF3, etc.; n = 0-2; R4 = H, alk(en/yn)yl, cycloalkyl, etc.; R5 = H, halo, NO2, CN, etc.; R6 = H, alkyl, cycloalkyl, Ph, etc.] are prepared For instance, 2-Anilino-4-[1-isopropyl-2-(N-hydroxyiminomethyl)imidazol-5-yl]pyrimidine is prepared from the corresponding aldehyde and hydroxylamine. Selected compds. of the invention exhibit IC50 in the range of 1 mM to 1 nM for CDK2 kinase. I are useful for producing a cell cycle inhibitory (anti cell proliferation) effect.
IT **796857-72-2P**, 2-Anilino-4-[1-isopropyl-2-(N-benzyloxyiminomethyl)imidazol-5-yl]pyrimidine **796857-73-3P**, 2-Anilino-4-(2-benzoyl-1-isopropylimidazol-5-yl)pyrimidine **796857-74-4P**, 2-Anilino-4-[2-[(thiophene-2-yl)carbonyl]-1-isopropylimidazol-5-yl]pyrimidine **796857-75-5P**, 2-Anilino-4-[2-(pyridin-4-ylcarbonyl)-1-isopropylimidazol-5-yl]pyrimidine **796857-76-6P**, 2-Anilino-4-[2-(3-phenylpropionyl)-1-isopropylimidazol-5-yl]pyrimidine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-anilino-4-(imidazol-5-yl)pyrimidine derivs. and their use as cdk (cdk2) kinase inhibitors)
RN 796857-72-2 CAPLUS
CN 1H-Imidazole-2-carboxaldehyde, 1-(1-methylethyl)-5-[2-(phenylamino)-4-pyrimidinyl]-, O-(phenylmethyl)oxime (9CI) (CA INDEX NAME)



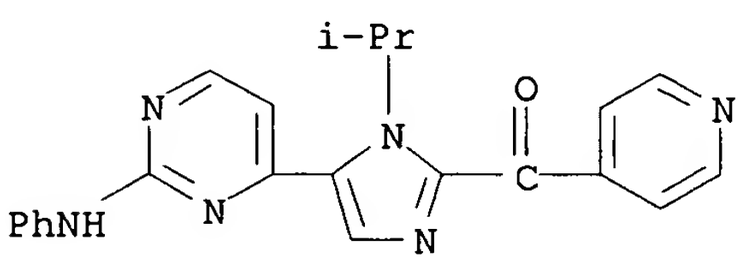
RN 796857-73-3 CAPLUS
CN Methanone, [1-(1-methylethyl)-5-[2-(phenylamino)-4-pyrimidinyl]-1H-imidazol-2-yl]phenyl- (9CI) (CA INDEX NAME)



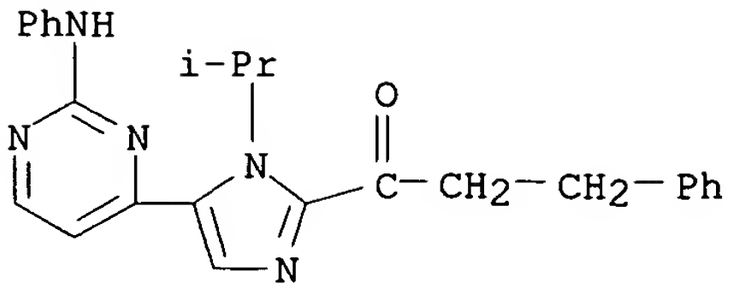
RN 796857-74-4 CAPLUS
CN Methanone, [1-(1-methylethyl)-5-[2-(phenylamino)-4-pyrimidinyl]-1H-imidazol-2-yl]-2-thienyl- (9CI) (CA INDEX NAME)



RN 796857-75-5 CAPLUS
CN Methanone, [1-(1-methylethyl)-5-[2-(phenylamino)-4-pyrimidinyl]-1H-imidazol-2-yl]-4-pyridinyl- (9CI) (CA INDEX NAME)



RN 796857-76-6 CAPLUS
CN 1-Propanone, 1-[1-(1-methylethyl)-5-[2-(phenylamino)-4-pyrimidinyl]-1H-imidazol-2-yl]-3-phenyl- (9CI) (CA INDEX NAME)



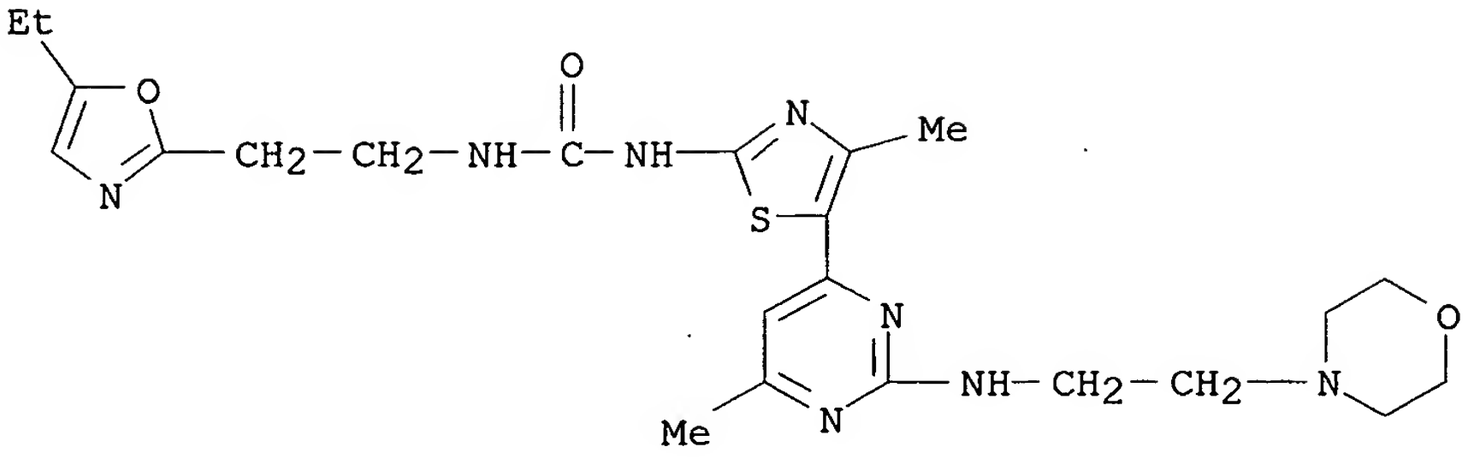
RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:965245 CAPLUS
DN 141:410962
TI Preparation of pyrazinyl/pyridinyl thiazolylamines as inhibitors of phosphatidylinositol 3-kinase
IN Bruce, Ian; Cuenoud, Bernard; Keller, Thomas Hugo; Pilgrim, Gaynor Elizabeth; Press, Nicola; Le Grand, Darren Mark; Ritchie, Cathy; Valade, Barbara; Hayler, Judy; Budd, Emma
PA Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.
SO PCT Int. Appl., 102 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

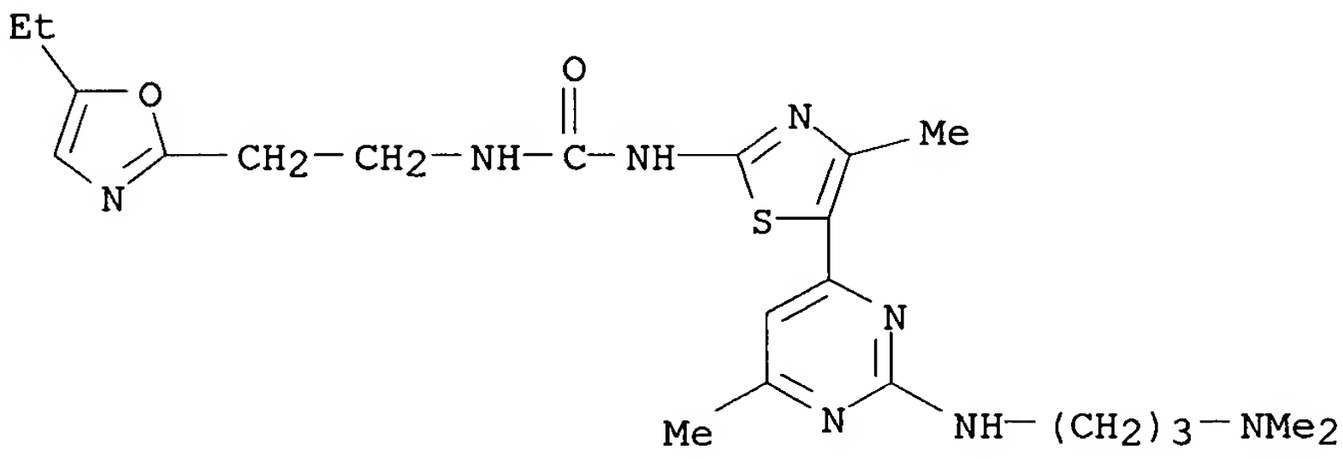
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004096797	A1	20041111	WO 2004-EP4603	20040430

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

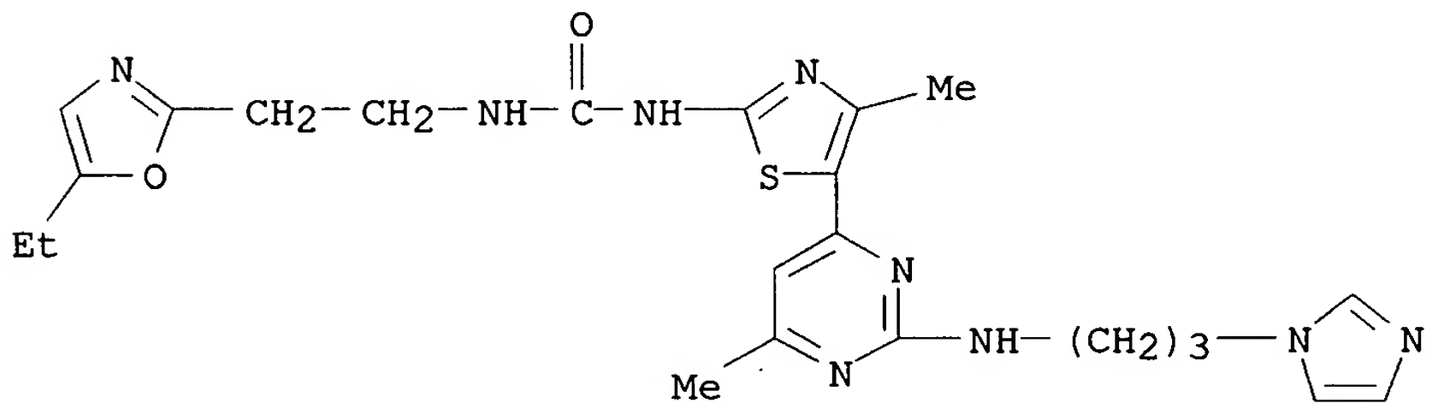
PRAI GB 2003-10234 A 20030502
OS MARPAT 141:410962
AB Title compds. represented by the formula I [wherein R1 = (un)substituted alkylcarbonyl, heterocyclic ring, aminocarbonyl, etc.; R2 = alkyl; R3 = halo, OH, amino, carboxy, etc.; R4 = H, halo, alkyl, alkoxy, etc.; Y = C or N; in free or salt form thereof] were prepared as inhibitors of phosphatidylinositol 3-kinase. For example, reaction of 2-aminopyrazine with benzoyl isothiocyanate, followed by reaction with 1-pyridin-4-ylpropan-2-one, gave II. I were tested for inhibition of phosphatidylinositol 3-kinase with IC50 values below 0.5 μM. Thus, I and their pharmaceutical compns. are useful as inhibitors of phosphatidylinositol 3-kinase for the treatment of phosphatidylinositol 3-kinase mediated disorders (no data).
IT **790702-98-6P 790703-15-0P 790703-21-8P**
790703-29-6P 790703-38-7P 790703-47-8P
790704-25-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazinyl/pyridinyl thiazolylamines as inhibitors of phosphatidylinositol 3-kinase)
RN 790702-98-6 CAPLUS
CN Urea, N-[2-(5-ethyl-2-oxazolyl)ethyl]-N'-[4-methyl-5-[6-methyl-2-[[2-(4-morpholinyl)ethyl]amino]-4-pyrimidinyl]-2-thiazolyl]- (9CI) (CA INDEX NAME)



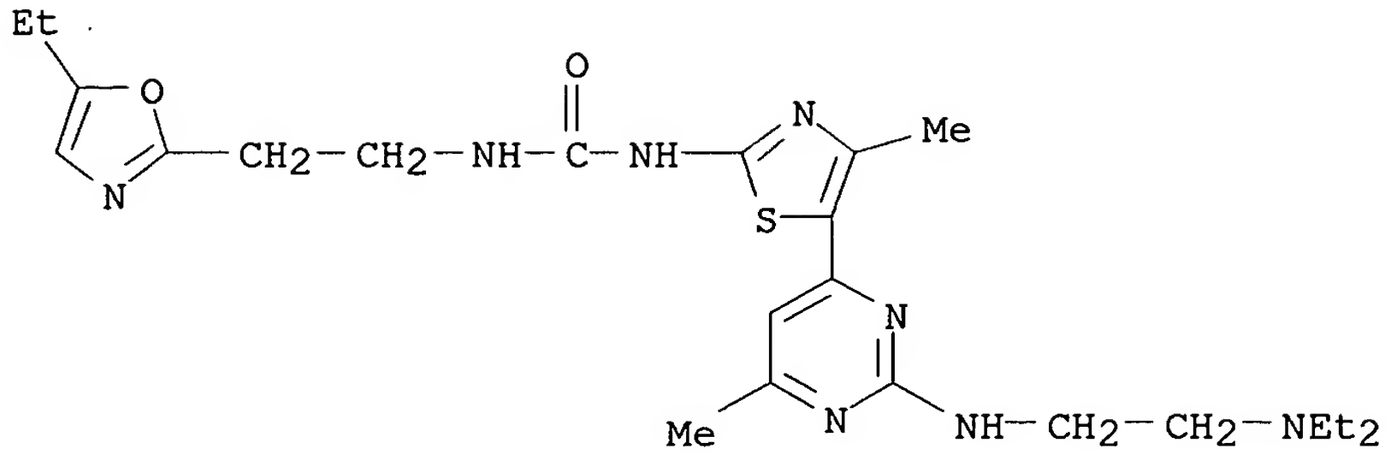
RN 790703-15-0 CAPLUS
CN Urea, N-[5-[2-[[3-(dimethylamino)propyl]amino]-6-methyl-4-pyrimidinyl]-4-methyl-2-thiazolyl]-N'-[2-(5-ethyl-2-oxazolyl)ethyl]- (9CI) (CA INDEX NAME)



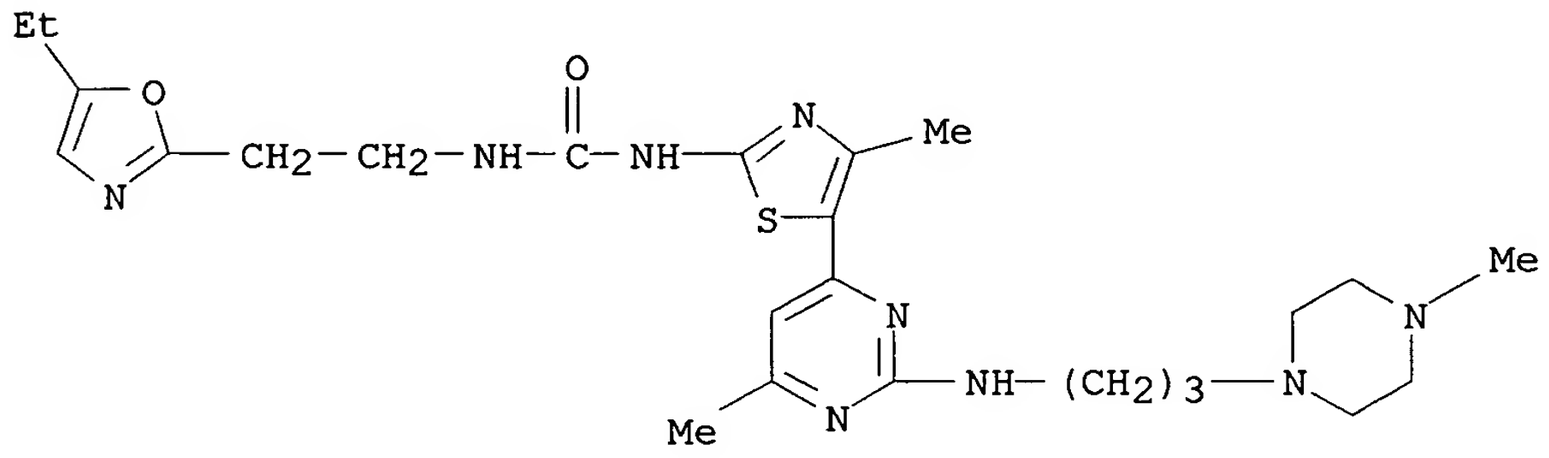
RN 790703-21-8 CAPLUS
CN Urea, N-[2-(5-ethyl-2-oxazolyl)ethyl]-N'-[5-[2-[[3-(1H-imidazol-1-yl)propyl]amino]-6-methyl-4-pyrimidinyl]-4-methyl-2-thiazolyl]- (9CI) (CA INDEX NAME)



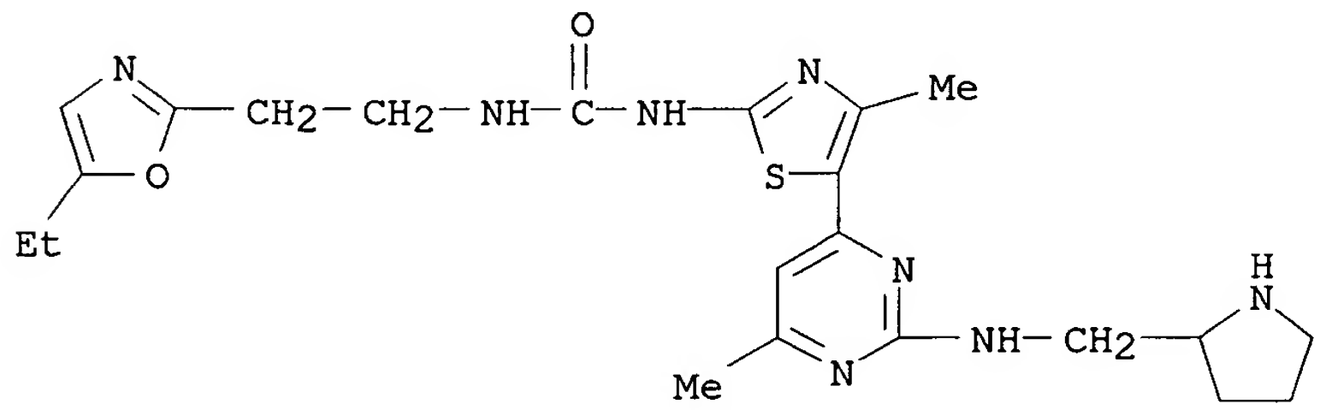
RN 790703-29-6 CAPLUS
CN Urea, N-[5-[2-[[2-(diethylamino)ethyl]amino]-6-methyl-4-pyrimidinyl]-4-methyl-2-thiazolyl]-N'-[2-(5-ethyl-2-oxazolyl)ethyl]- (9CI) (CA INDEX NAME)



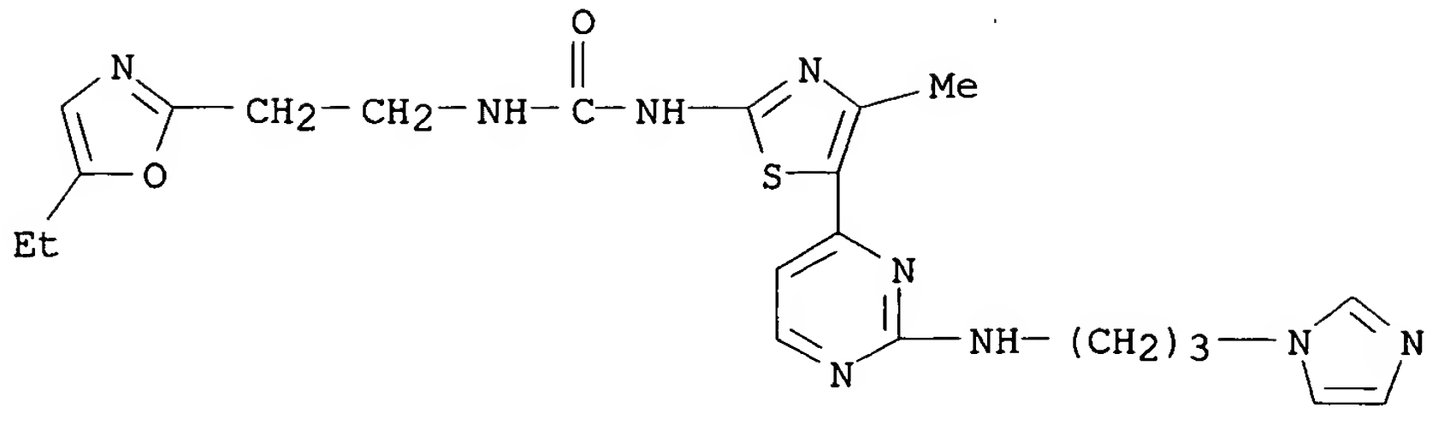
RN 790703-38-7 CAPLUS
CN Urea, N-[2-(5-ethyl-2-oxazolyl)ethyl]-N'-[4-methyl-5-[6-methyl-2-[[3-(4-methyl-1-piperazinyl)propyl]amino]-4-pyrimidinyl]-2-thiazolyl]- (9CI) (CA INDEX NAME)



RN 790703-47-8 CAPLUS
CN Urea, N-[2-(5-ethyl-2-oxazolyl)ethyl]-N'-[4-methyl-5-[6-methyl-2-[(2-pyrrolidinylmethyl)amino]-4-pyrimidinyl]-2-thiazolyl]- (9CI) (CA INDEX NAME)



RN 790704-25-5 CAPLUS
CN Urea, N-[2-(5-ethyl-2-oxazolyl)ethyl]-N'-[5-[2-[[3-(1H-imidazol-1-yl)propyl]amino]-4-pyrimidinyl]-4-methyl-2-thiazolyl]- (9CI) (CA INDEX NAME)



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

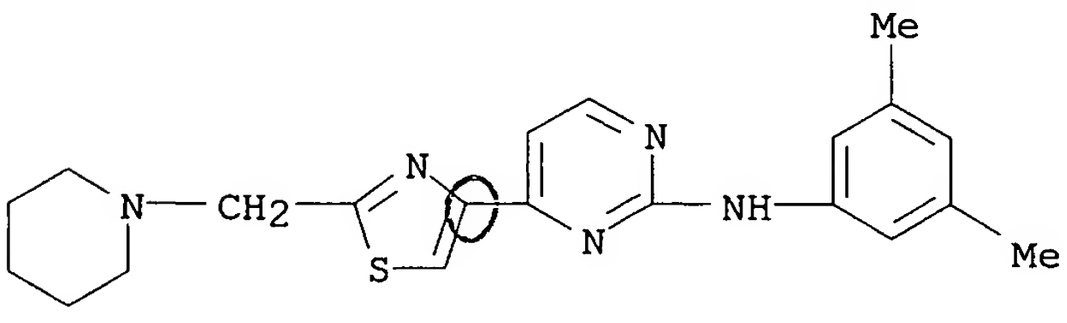
L6 ANSWER 4 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:857594 CAPLUS
DN 141:332212
TI Preparation of aminopyrimidinyl-substituted thiazoles useful as inhibitors of protein kinases
IN Farmer, Luc J.; Harrington, Edmund Martin; Salituro, Francesco G.; Wang, Jian
PA Vertex Pharmaceuticals Incorporated, USA
SO PCT Int. Appl., 76 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004087698	A2	20041014	WO 2004-US9061	20040325
	WO 2004087698	A3	20041209		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

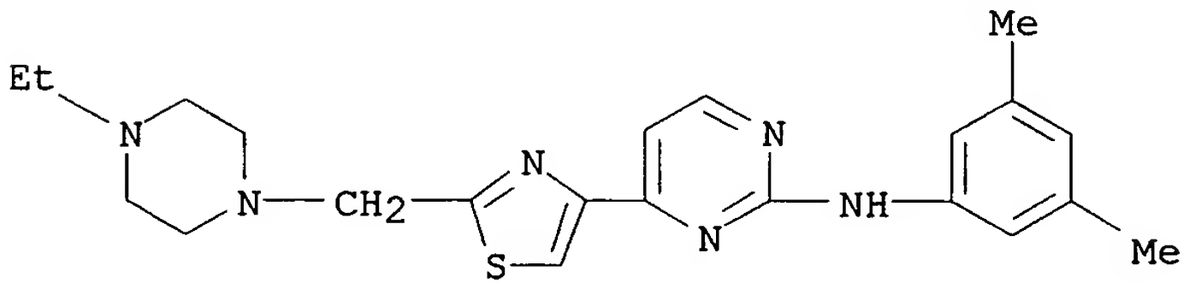
	US 2004235834	A1	20041125	US 2004-809944	20040325
PRAI	US 2003-457218P	P	20030325		

OS MARPAT 141:332212
AB Title compds. I [R1-2 = halo, CN, NO2, etc.; Ar1 = aryl, etc.; R3-4 = ZR7; Z = bond, alkylidene; R7 = halo, NO2, CN, alkoxy, etc.] are prepared General procedures are provided, e.g., [4-[2-((3,5-dimethylphenyl)amino)pyrimidin-4-yl]thiazol-2-yl]methanol. Selected example compds. of the invention exhibit Ki < 5 μM for Syk kinase. I are useful for the treatment of autoimmune disorders.
IT **769934-24-9P 769934-25-0P 769934-26-1P**
769934-27-2P 769934-28-3P 769934-29-4P
769934-30-7P 769934-38-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminopyrimidinyl-substituted thiazoles useful as inhibitors of protein kinases for autoimmune disorders)
RN 769934-24-9 CAPLUS
CN 2-Pyrimidinamine, N-(3,5-dimethylphenyl)-4-[2-(1-piperidinylmethyl)-4-thiazolyl]- (9CI) (CA INDEX NAME)

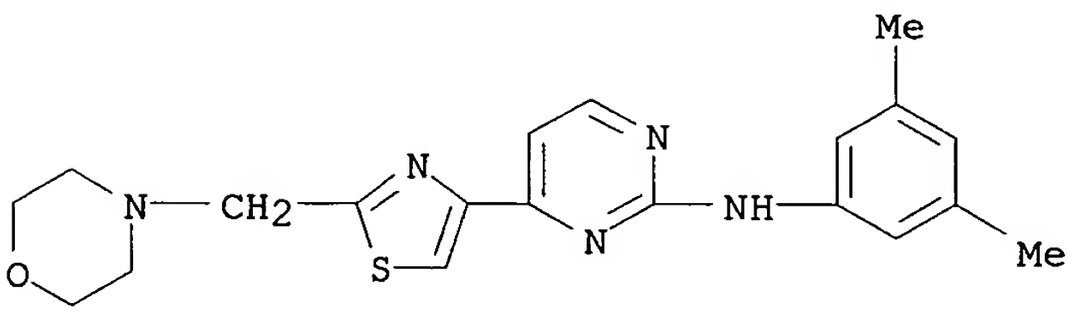


Proviso (ii)
when A is S
R' is other than optionally substd phenyl!

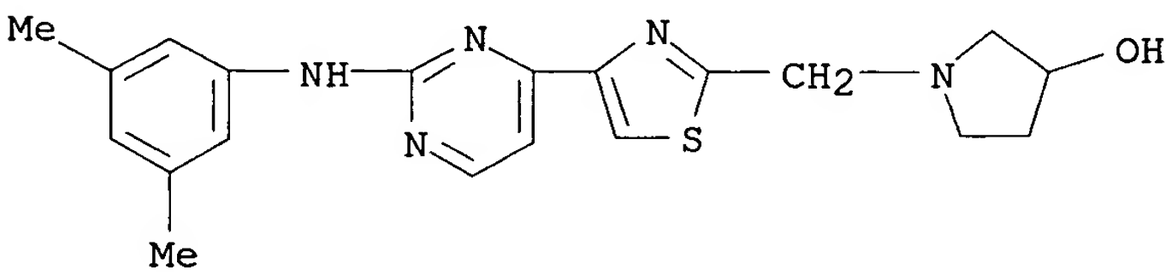
RN 769934-25-0 CAPLUS
CN 2-Pyrimidinamine, N-(3,5-dimethylphenyl)-4-[2-[(4-ethyl-1-piperazinyl)methyl]-4-thiazolyl]- (9CI) (CA INDEX NAME)



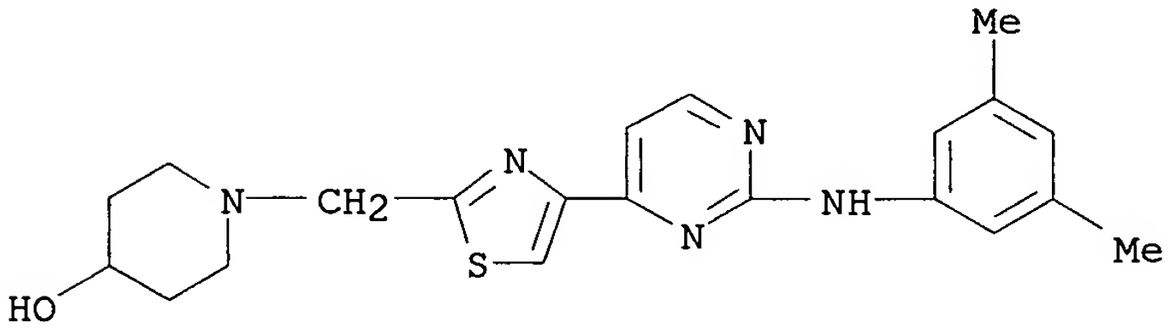
RN 769934-26-1 CAPLUS
CN 2-Pyrimidinamine, N-(3,5-dimethylphenyl)-4-[2-(4-morpholinylmethyl)-4-thiazolyl]- (9CI) (CA INDEX NAME)



RN 769934-27-2 CAPLUS
CN 3-Pyrrolidinol, 1-[[4-[2-[(3,5-dimethylphenyl)amino]-4-pyrimidinyl]-2-thiazolyl]methyl]- (9CI) (CA INDEX NAME)

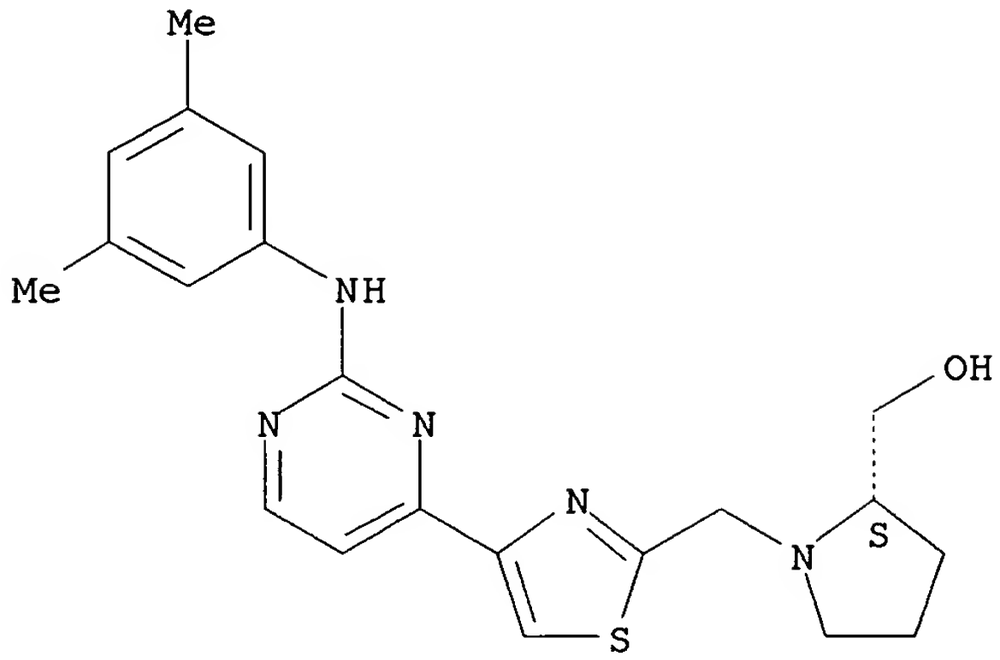


RN 769934-28-3 CAPLUS
CN 4-Piperidinol, 1-[[4-[2-[(3,5-dimethylphenyl)amino]-4-pyrimidinyl]-2-thiazolyl]methyl]- (9CI) (CA INDEX NAME)

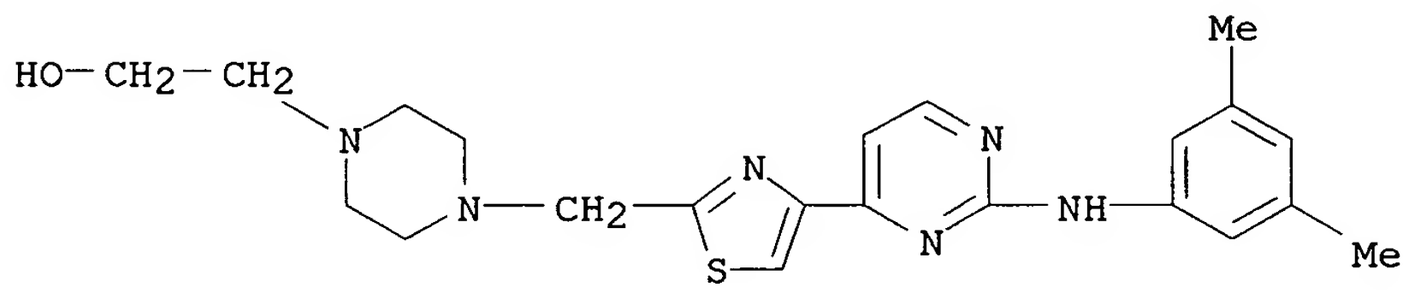


RN 769934-29-4 CAPLUS
CN 2-Pyrrolidinemethanol, 1-[[4-[2-[(3,5-dimethylphenyl)amino]-4-pyrimidinyl]-2-thiazolyl]methyl]-, (2S)- (9CI) (CA INDEX NAME)

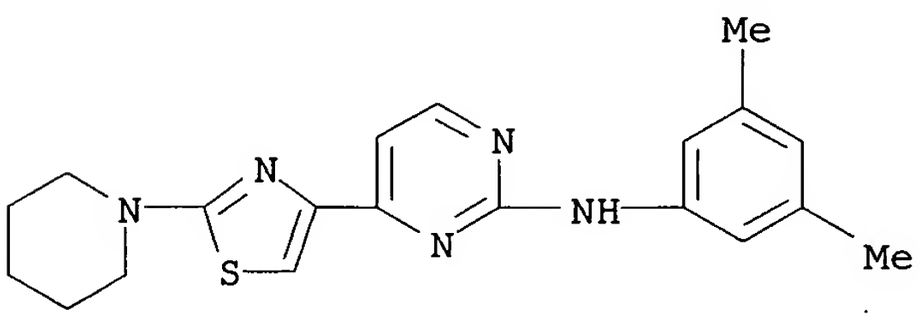
Absolute stereochemistry.



RN 769934-30-7 CAPLUS
CN 1-Piperazineethanol, 4-[[4-[2-[(3,5-dimethylphenyl)amino]-4-pyrimidinyl]-2-thiazolyl]methyl]- (9CI) (CA INDEX NAME)



RN 769934-38-5 CAPLUS
CN 2-Pyrimidinamine, N-(3,5-dimethylphenyl)-4-[2-(1-piperidinyl)-4-thiazolyl]- (9CI) (CA INDEX NAME)

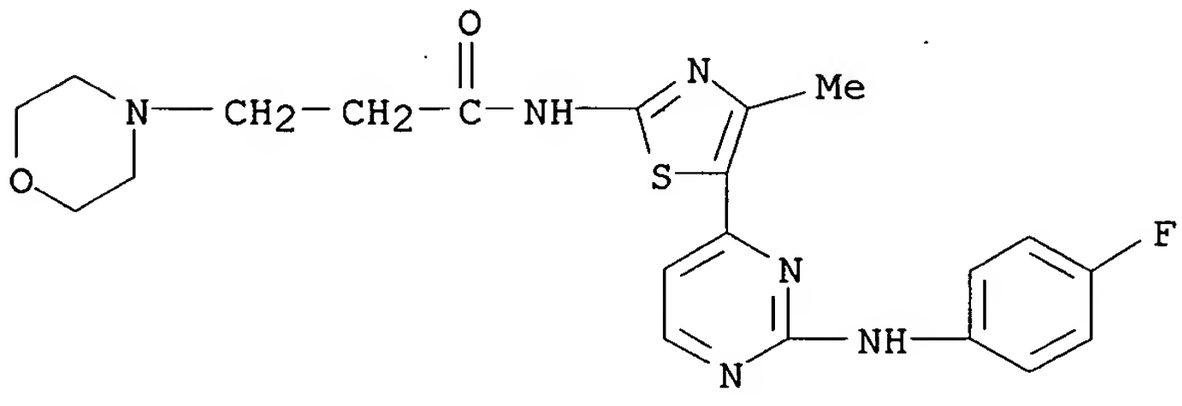


L6 ANSWER 5 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:430798 CAPLUS
DN 141:7130
TI Preparation of pyrimidine derivs. as inhibitors of cyclin-dependent kinases
IN Wang, Shudong; Meades, Christopher; Wood, Gavin; O'Boyle, Janice; McInnes, Campbell; Fischer, Peter
PA Cyclacel Limited, UK
SO PCT Int. Appl., 127 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

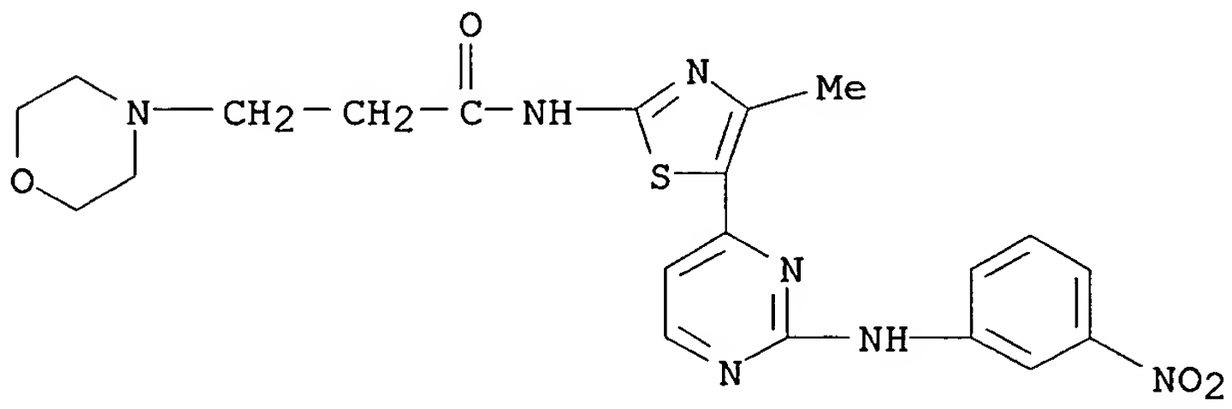
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004043953	A1	20040527	WO 2003-GB4973	20031114
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2502190	AA	20040527	CA 2003-2502190	20031114
	EP 1567522	A1	20050831	EP 2003-811029	20031114
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	US 2005192300	A1	20050901	US 2004-991942	20041117
PRAI	GB 2002-26583	A	20021114		
	WO 2003-GB4973	W	20031114		

OS MARPAT 141:7130
AB The tile compds. I [X1 = S, X2 = N or (substituted)amino or X2 = S, X1 = N or (substituted)amino; Z = NH, NHCO, NHSO2, NHCH2, CH2, CH2CH2, CH=CH, SO2, or SO; R2 = OXO, or H, (substituted)alkyl, (substituted)aryl, (substituted)aralkyl, halo, NO2, CN, OH, etc.; R1, R3, R4, R5, R6, R7, and R8 = H, (substituted)alkyl, (substituted)aryl, (substituted)aralkyl, halo, NO2, CN, OH, etc.] were prepared as inhibitors of cyclin-dependent kinases (CDKs) for the treatment of proliferative disorders and/or viral disorders. For example, condensation of N'-[5-(3-dimethylamino-acryloyl)-4-methyl-thiazol-2-yl]-N,N-dimethyl-formamidine and N-(4-morpholin-4-yl-phenyl)-guanidine nitrate afforded compound II. In an assay against multiple kinases, II selectively inhibited CDKs, showing an IC50 of 0.48 μM against CDK2/cyclin E, and 0.44 μM against CDK2/cyclin A. Addnl. bioassays indicated II possessed anti-proliferative activity against human cancer cell lines, A549, HT29, Saos-2 with IC50 2.1, 1.7, and 1.9 μM, resp.
IT **693229-01-5P 693229-03-7P 693229-05-9P**
693229-13-9P 693229-25-3P 693229-28-6P
693229-30-0P 693229-31-1P 693229-33-3P
693229-49-1P 693229-51-5P 693229-53-7P
693229-72-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrimidine derivs. as CDKs inhibitors)

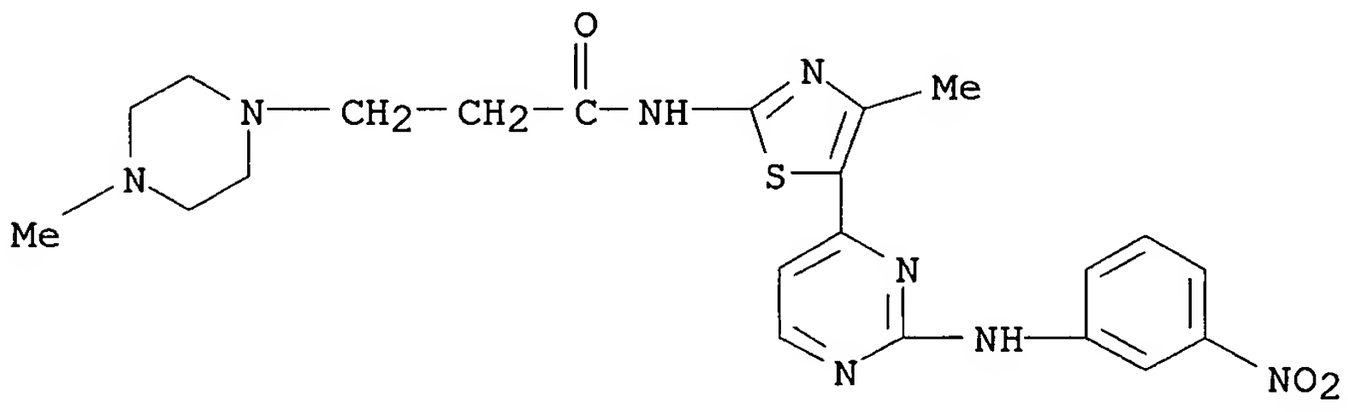
RN 693229-01-5 CAPLUS
CN 4-Morpholinepropanamide, N-[5-[2-[(4-fluorophenyl)amino]-4-pyrimidinyl]-4-methyl-2-thiazolyl]- (9CI) (CA INDEX NAME)



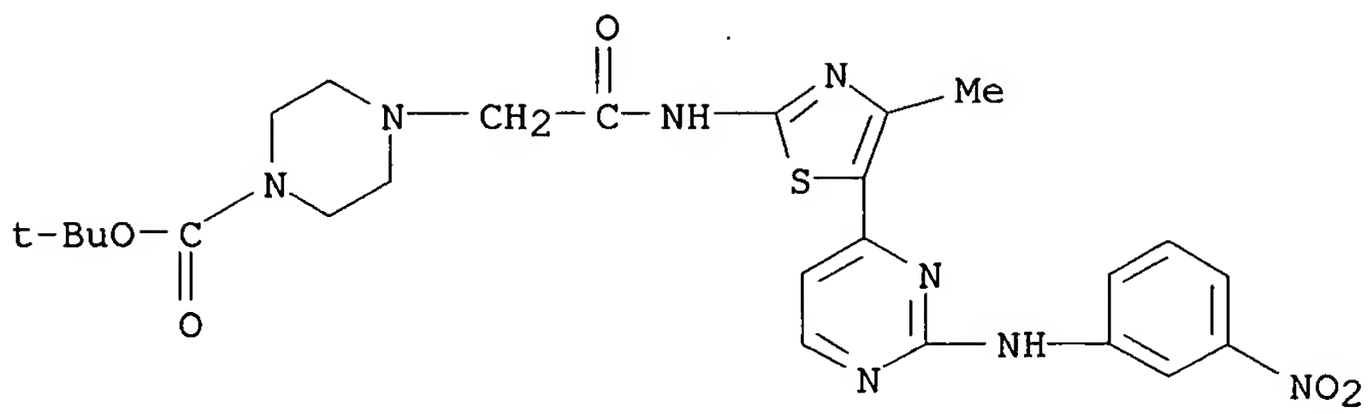
RN 693229-03-7 CAPLUS
CN 4-Morpholinepropanamide, N-[4-methyl-5-[2-[(3-nitrophenyl)amino]-4-pyrimidinyl]-2-thiazolyl]- (9CI) (CA INDEX NAME)



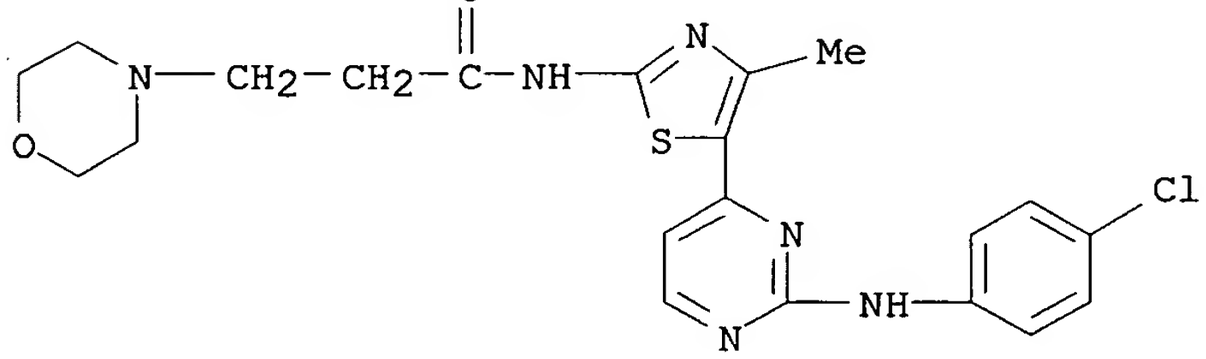
RN 693229-05-9 CAPLUS
CN 1-Piperazinepropanamide, 4-methyl-N-[4-methyl-5-[2-[(3-nitrophenyl)amino]-4-pyrimidinyl]-2-thiazolyl]- (9CI) (CA INDEX NAME)



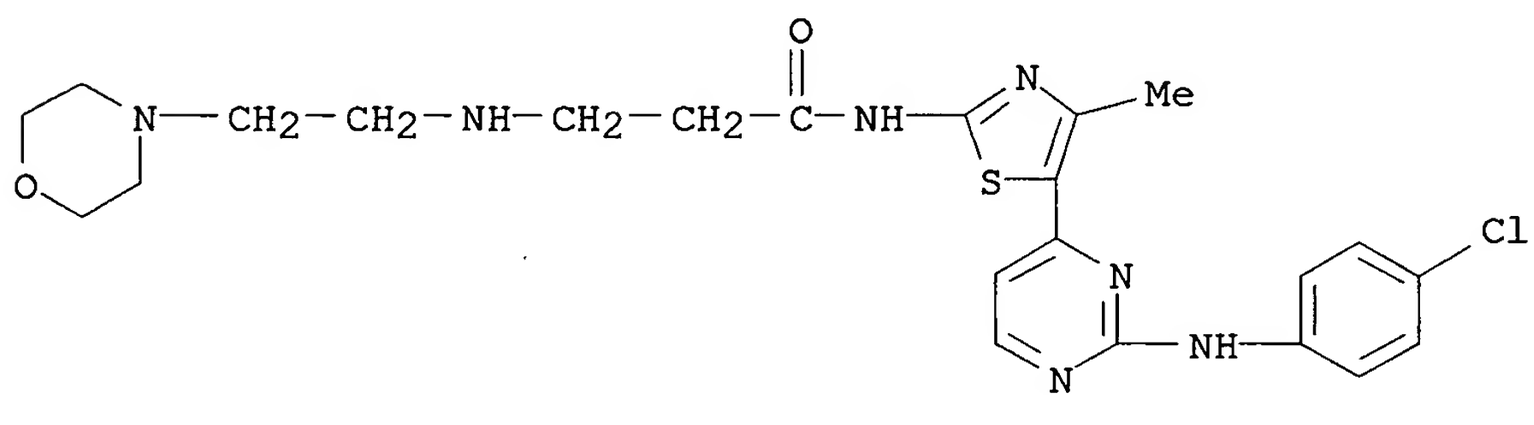
RN 693229-13-9 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[2-[[4-methyl-5-[2-[(3-nitrophenyl)amino]-4-pyrimidinyl]-2-thiazolyl]amino]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



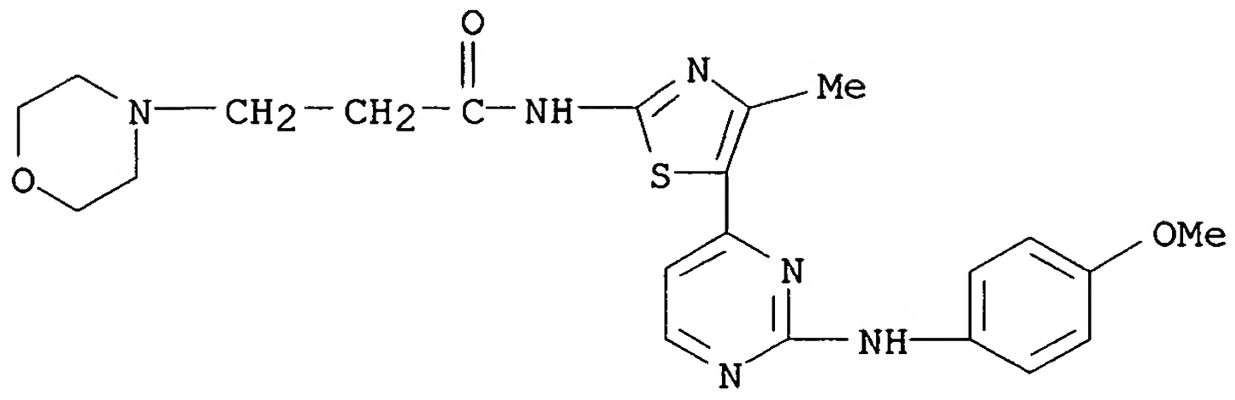
RN 693229-25-3 CAPLUS
CN 4-Morpholinepropanamide, N-[5-[2-[(4-chlorophenyl)amino]-4-pyrimidinyl]-4-methyl-2-thiazolyl]- (9CI) (CA INDEX NAME)



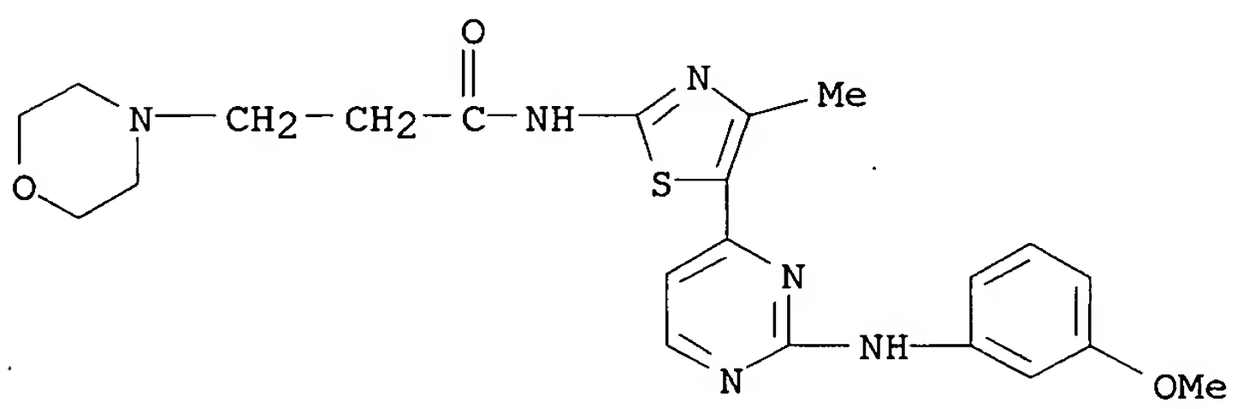
RN 693229-28-6 CAPLUS
CN Propanamide, N-[5-[2-[(4-chlorophenyl)amino]-4-pyrimidinyl]-4-methyl-2-thiazolyl]-3-[[2-(4-morpholinyl)ethyl]amino]- (9CI) (CA INDEX NAME)



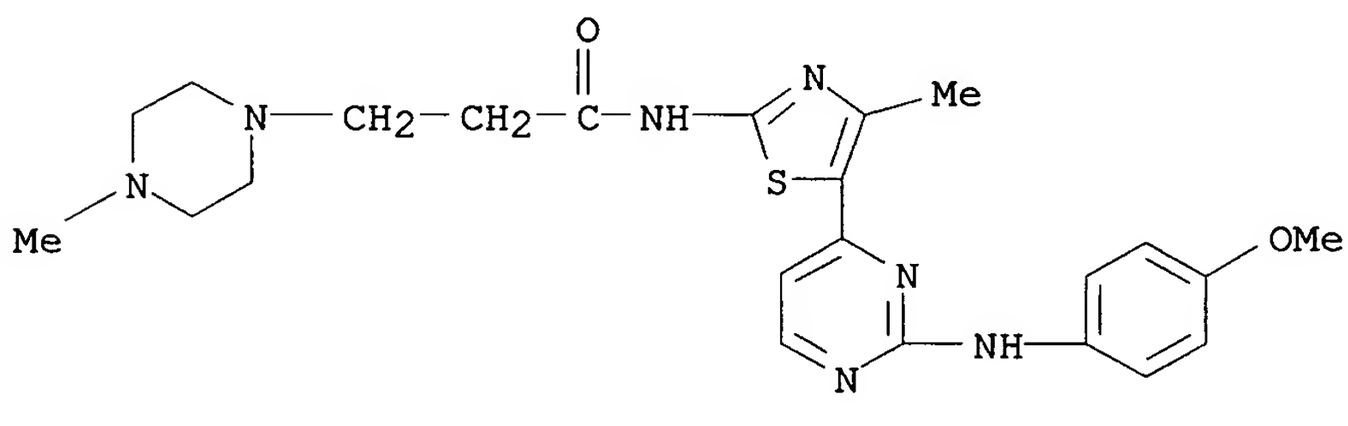
RN 693229-30-0 CAPLUS
CN 4-Morpholinepropanamide, N-[5-[2-[(4-methoxyphenyl)amino]-4-pyrimidinyl]-4-methyl-2-thiazolyl]- (9CI) (CA INDEX NAME)



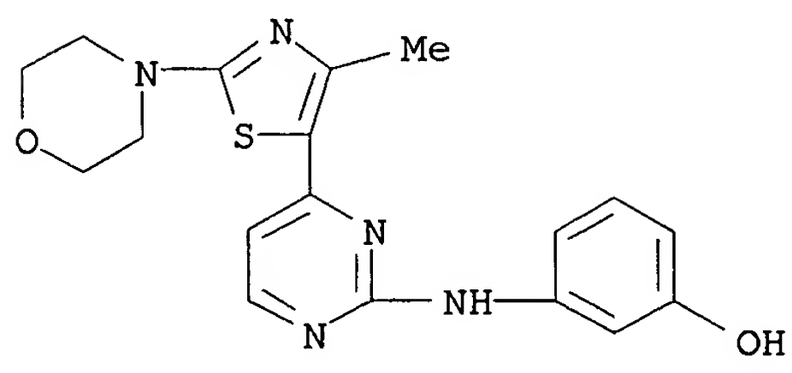
RN 693229-31-1 CAPLUS
CN 4-Morpholinepropanamide, N-[5-[2-[(3-methoxyphenyl)amino]-4-pyrimidinyl]-4-methyl-2-thiazolyl]- (9CI) (CA INDEX NAME)



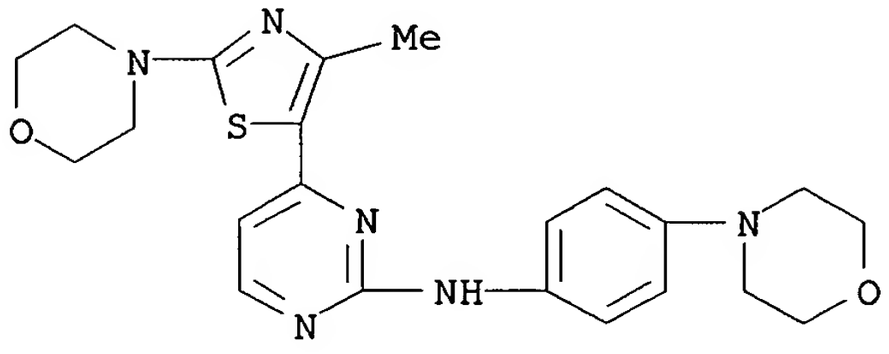
RN 693229-33-3 CAPLUS
CN 1-Piperazinepropanamide, N-[5-[2-[(4-methoxyphenyl)amino]-4-pyrimidinyl]-4-methyl-2-thiazolyl]-4-methyl- (9CI) (CA INDEX NAME)



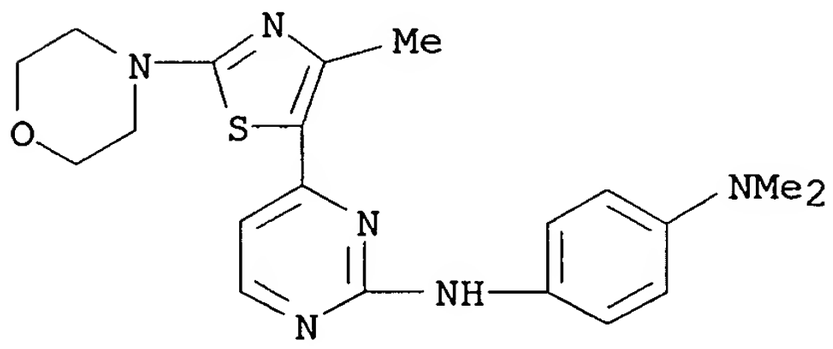
RN 693229-49-1 CAPLUS
CN Phenol, 3-[[4-[4-methyl-2-(4-morpholinyl)-5-thiazolyl]-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



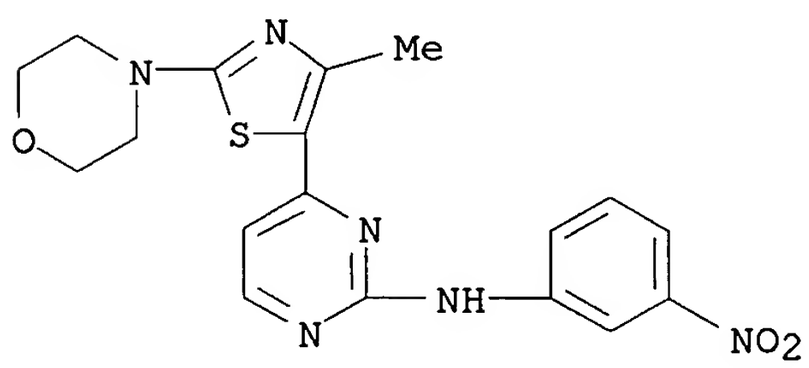
RN 693229-51-5 CAPLUS
CN 2-Pyrimidinamine, 4-[4-methyl-2-(4-morpholinyl)-5-thiazolyl]-N-[4-(4-morpholinyl)phenyl]- (9CI) (CA INDEX NAME)



RN 693229-53-7 CAPLUS
CN 1,4-Benzenediamine, N,N-dimethyl-N'-[4-[4-methyl-2-(4-morpholinyl)-5-thiazolyl]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



RN 693229-72-0 CAPLUS
CN 2-Pyrimidinamine, 4-[4-methyl-2-(4-morpholinyl)-5-thiazolyl]-N-(3-nitrophenyl)- (9CI) (CA INDEX NAME)



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 6 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:430750 CAPLUS
DN 141:7129
TI Preparation of 4-heteroarylpyrimidines as specific cyclin-dependent kinase inhibitors for treating viruses
IN Wang, Shudong; Meades, Christopher; Wood, Gavin; Blake, David; Fischer, Peter
PA Cyclacel Limited, UK
SO PCT Int. Appl., 142 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

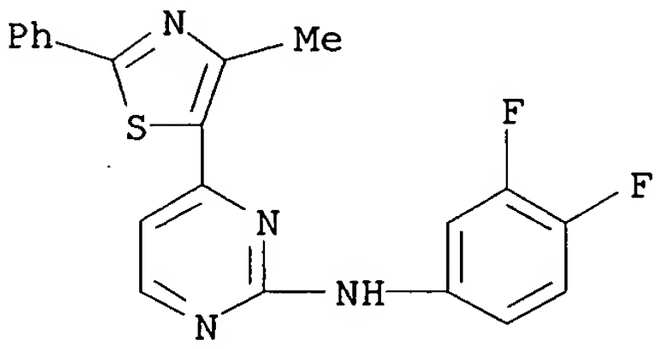
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004043467	A1	20040527	WO 2003-GB4977	20031114

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

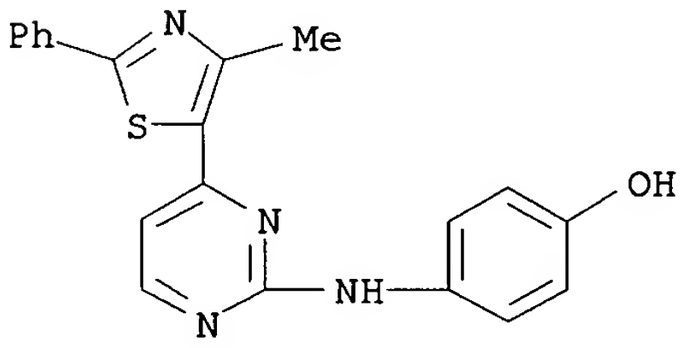
PRAI GB 2002-26582 A 20021114
OS MARPAT 141:7129
AB Title compds. I [wherein one of X1 and X2 = S, and the other of X1 and X2 = N so as to form a thiazolyl ring, R2 = independently as defined below for R1 and R3; one of X1 and X2 = S, and the other of X1 and X2 = NH and derivs. so as to form a 4,5-dihydrothiazolyl ring; R2 = oxo; the bond between C and R2 = double; Z = NH, NHCO, NHSO2, NHCH2, CH2, CH2CH2, CH:CH; R1, R3 = independently H, halo, NO2, CN, OH and derivs., NH2 and derivs., CO2H and derivs., CONH2 and derivs., SO3H, (un)substituted ar/alkyl, aryl, heterocyclyl, etc.; R4, R5, R6, R7, R8 = independently H, halo, NO2, CN, OH and derivs., NH2 and derivs., alkylheteroaryl, SO3H, SO2NH2, CF3, (un)substituted lower alkyl; and their pharmaceutically acceptable salts] were prepared for use in the treatment of viral disorders. For example, II was prepared by cyclocondensation of 3-Dimethylamino-1-(2,4-dimethylthiazol-5-yl)propenone (preparation given) with N-(3-Nitrophenyl)guanidine nitrate (preparation given) in 2-methoxyethanol in the presence of NaOH. Selected I showed high degree of selectivity for inhibition of CDKs. II displayed an average IC50 of 0.23 μM against CDK2-Cyclin E1 kinase. Thus, I are useful for treating cytomegalovirus, herpes simplex, HIV-I, and varicella-zoster virus.
IT **364334-26-9P**, (3,4-Difluorophenyl)[4-(4-methyl-2-phenylthiazol-5-yl)pyrimidin-2-yl]amine **364334-27-0P**, 4-[4-(4-Methyl-2-phenylthiazol-5-yl)pyrimidin-2-ylamino]phenol **364334-34-9P**, (4-Fluorophenyl)[4-[4-methyl-2-(pyridin-3-yl)thiazol-5-yl]pyrimidin-2-yl]amine **364334-38-3P**, [4-[4-Methyl-2-(pyridin-3-yl)thiazol-5-yl]pyrimidin-2-yl](3-nitrophenyl)amine **364334-80-5P**, 4-[[4-[2-(4-Nitrophenylamino)thiazol-5-yl]pyrimidin-2-yl]amino]phenol **364334-82-7P**, (4-Fluorophenyl)[4-[2-(4-nitrophenylamino)thiazol-5-yl]pyrimidin-2-yl]amine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(anti-viral agent; preparation of 4-heteroarylpyrimidines as specific cyclin-dependent kinase inhibitors for treating viruses)

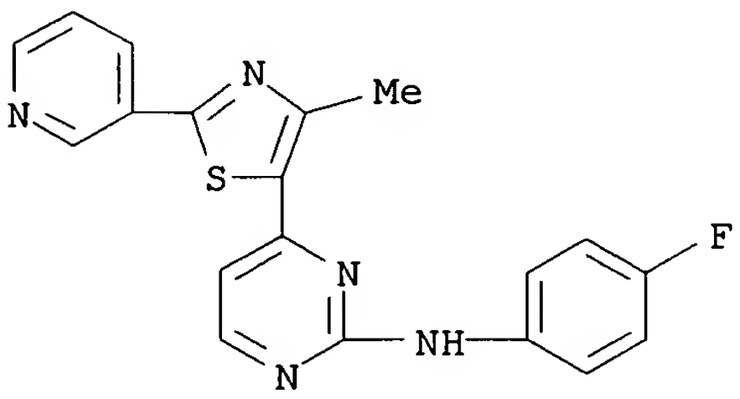
RN 364334-26-9 CAPLUS
CN 2-Pyrimidinamine, N-(3,4-difluorophenyl)-4-(4-methyl-2-phenyl-5-thiazolyl)- (9CI) (CA INDEX NAME)



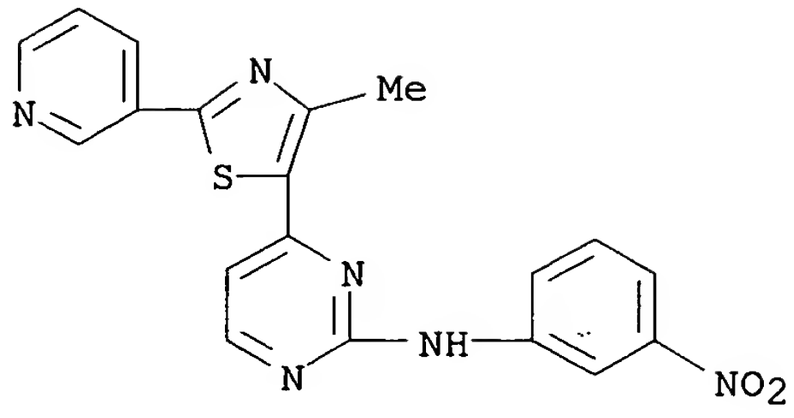
RN 364334-27-0 CAPLUS
CN Phenol, 4-[[4-(4-methyl-2-phenyl-5-thiazolyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



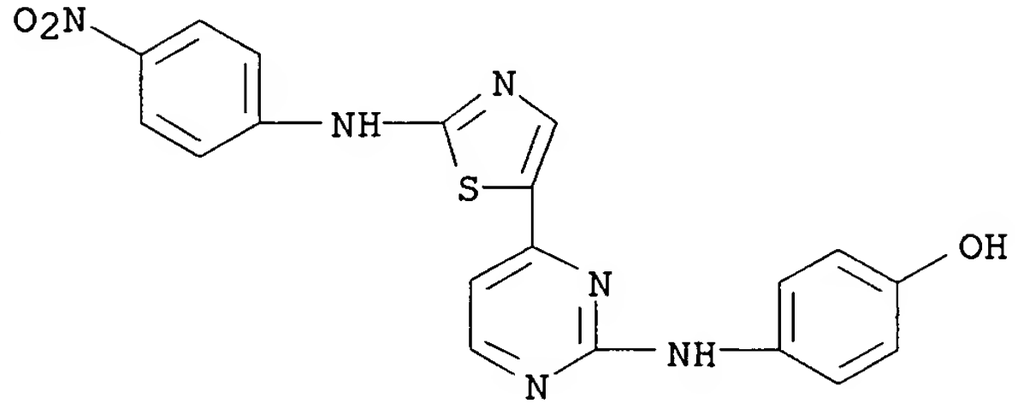
RN 364334-34-9 CAPLUS
CN 2-Pyrimidinamine, N-(4-fluorophenyl)-4-[4-methyl-2-(3-pyridinyl)-5-thiazolyl]- (9CI) (CA INDEX NAME)



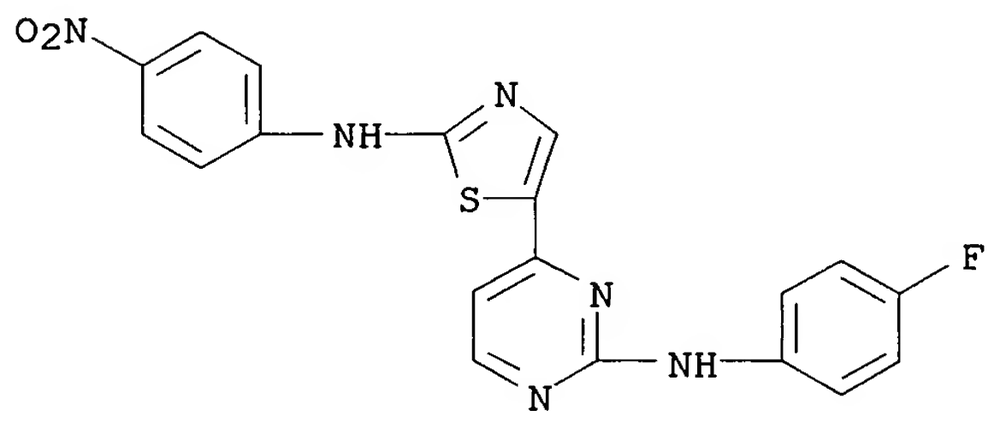
RN 364334-38-3 CAPLUS
CN 2-Pyrimidinamine, 4-[4-methyl-2-(3-pyridinyl)-5-thiazolyl]-N-(3-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 364334-80-5 CAPLUS
CN Phenol, 4-[[4-[2-[(4-nitrophenyl)amino]-5-thiazolyl]-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



RN 364334-82-7 CAPLUS
CN 2-Pyrimidinamine, N-(4-fluorophenyl)-4-[2-[(4-nitrophenyl)amino]-5-thiazolyl]- (9CI) (CA INDEX NAME)

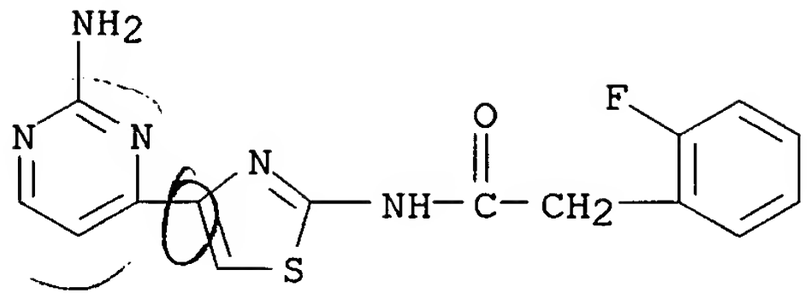


RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

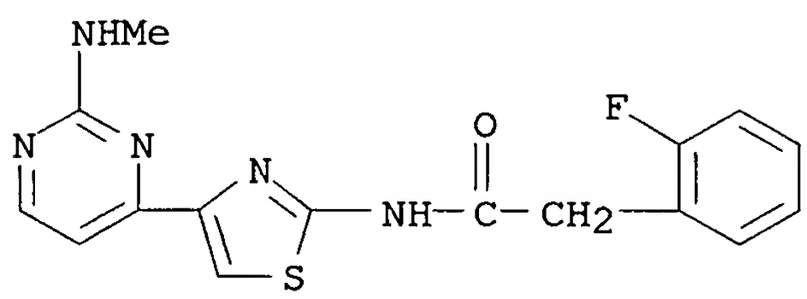
L6 ANSWER 7 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:412940 CAPLUS
DN 141:7105
TI Preparation of thienyl- and thiazolecarboxamides as inhibitors of ROCK, ERK, GSK, and AGC protein kinases
IN Cao, Jingrong; Gao, Huai; Green, Jeremy; Marhefka, Craig
PA Vertex Pharmaceuticals Incorporated, USA
SO PCT Int. Appl., 222 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004041813	A1	20040521	WO 2003-US34319	20031030
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2504320	AA	20040521	CA 2003-2504320	20031030
	US 2004122016	A1	20040624	US 2003-696862	20031030
	EP 1558607	A1	20050803	EP 2003-781448	20031030
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
PRAI	US 2002-422441P	P	20021030		
	US 2003-476433P	P	20030606		
	US 2003-476691P	P	20030606		
	US 2003-479903P	P	20030619		
	WO 2003-US34319	W	20031030		

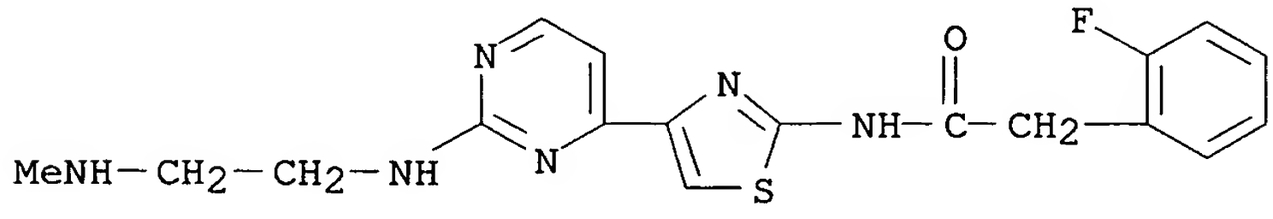
OS MARPAT 141:7105
AB Title compds. [I; B = Q4, Q5, Q6; R1 = halo, cyano, NO2, VmR; Z1, Z3 = N, CRz; Z2 = N, CR1; Rz = halo, cyano, NO2, UnR'; R2 = UnR'; X1, X2 = CR4, N; R4 = halo, cyano, NO2, VmR; U, V = (substituted) alkylidene optionally interrupted by NR, O, S, CS, SO, SO2, CO2, etc.; m, n = 0, 1; R = H, (substituted) aliphatyl; R' = R, (unsatd.) (heterocyclic) mono- or bicyclic ring; Q1 = CO, SO2, CONR, SO2NR; R3 = Q2Ar1; R2Q1R3 = atoms to form a cyclic group; Ar1 = (unsatd.) (heterocyclic) mono- or bicyclic ring; with provisos], were prepared Thus, 2-chloro-N-(4-pyridin-4-ylthiazol-2-yl)acetamide and N-methylaniline were stirred overnight in DMF at 70° to give 2-(methylphenylamino)-N-(4-pyridin-4-ylthiazol-2-yl)acetamide. Certain I were shown to inhibit ROCK I, ERK2, GSK3, and PKA with Ki <1 μM.
IT **692881-76-8P 692881-81-5P 692881-86-0P**
692881-91-7P 692881-96-2P 692882-00-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed compound; preparation of thiophene- and thiazolecarboxamides as inhibitors of ROCK, ERK, GSK, and AGC protein kinases)
RN 692881-76-8 CAPLUS
CN Benzeneacetamide, N-[4-(2-amino-4-pyrimidinyl)-2-thiazolyl]-2-fluoro- (9CI) (CA INDEX NAME)



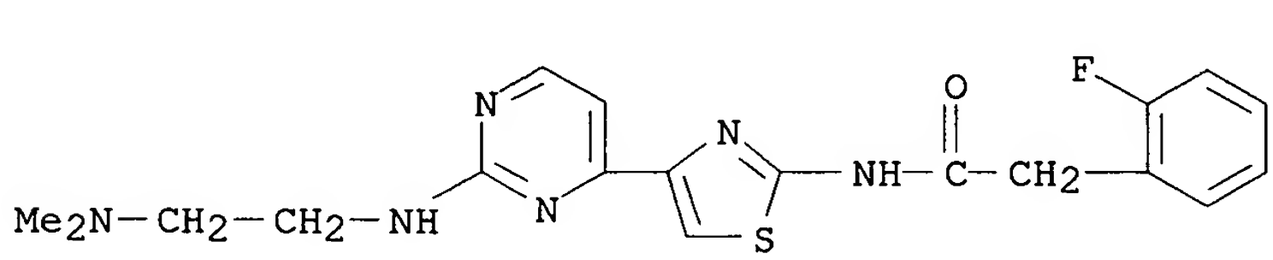
RN 692881-81-5 CAPLUS
CN Benzeneacetamide, 2-fluoro-N-[4-[2-(methylamino)-4-pyrimidinyl]-2-thiazolyl]- (9CI) (CA INDEX NAME)



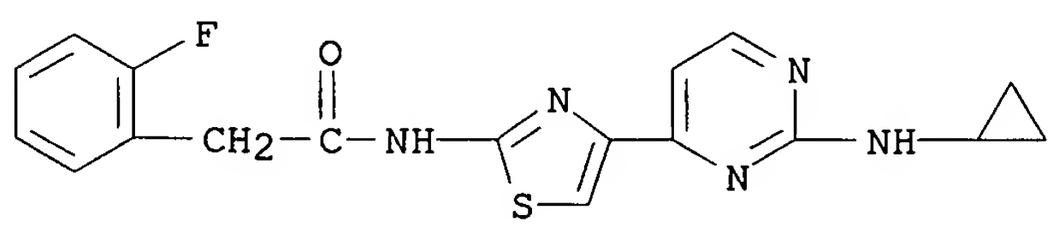
RN 692881-86-0 CAPLUS
CN Benzeneacetamide, 2-fluoro-N-[4-[2-[[2-(methylamino)ethyl]amino]-4-pyrimidinyl]-2-thiazolyl]- (9CI) (CA INDEX NAME)



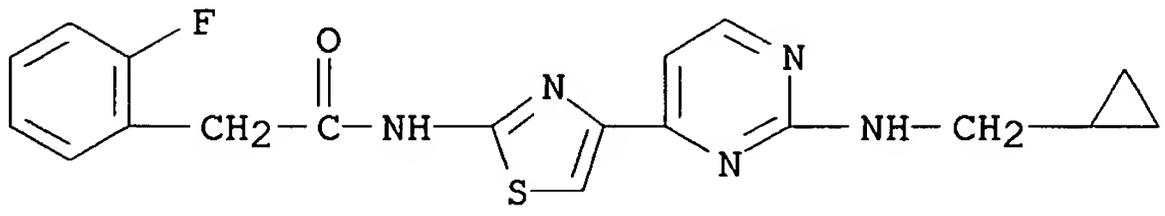
RN 692881-91-7 CAPLUS
CN Benzeneacetamide, N-[4-[2-[[2-(dimethylamino)ethyl]amino]-4-pyrimidinyl]-2-thiazolyl]-2-fluoro- (9CI) (CA INDEX NAME)



RN 692881-96-2 CAPLUS
CN Benzeneacetamide, N-[4-[2-(cyclopropylamino)-4-pyrimidinyl]-2-thiazolyl]-2-fluoro- (9CI) (CA INDEX NAME)

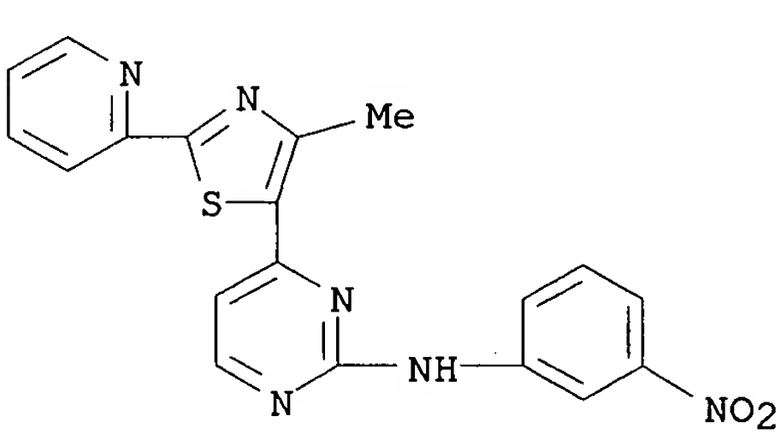


RN 692882-00-1 CAPLUS
CN Benzeneacetamide, N-[4-[2-[(cyclopropylmethyl)amino]-4-pyrimidinyl]-2-thiazolyl]-2-fluoro- (9CI) (CA INDEX NAME)



RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 8 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:102824 CAPLUS
DN 140:270811
TI 2-Anilino-4-(thiazol-5-yl)pyrimidine CDK Inhibitors: Synthesis, SAR Analysis, X-ray Crystallography, and Biological Activity
AU Wang, Shudong; Meades, Christopher; Wood, Gavin; Osnowski, Andrew; Anderson, Sian; Yuill, Rhoda; Thomas, Mark; Mezna, Mokdad; Jackson, Wayne; Midgley, Carol; Griffiths, Gary; Fleming, Ian; Green, Simon; McNae, Iain; Wu, Su-Ying; McInnes, Campbell; Zheleva, Daniella; Walkinshaw, Malcolm D.; Fischer, Peter M.
CS Cyclacel Limited, Dundee, DD1 5JJ, UK
SO Journal of Medicinal Chemistry (2004), 47(7), 1662-1675
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
AB Following the identification through virtual screening of 4-(2,4-dimethyl-thiazol-5-yl)pyrimidin-2-ylamines as moderately potent inhibitors of cyclin-dependent kinase-2 (CDK2), a CDK inhibitor analog program was initiated. The first aims were to optimize potency and to evaluate the cellular mode of action of lead candidate mols. Here the synthetic chemical, the structure-guided design approach, and the structure-activity relationships (SARs) that led to the discovery of 2-anilino-4-(thiazol-5-yl)pyrimidine ATP-antagonistic CDK2 inhibitors, many with very low nM Kis against CDK2, are reported. Furthermore, X-ray crystal structures of four representative analogs from our chemical series in complex with CDK2 are presented, and these structures are used to rationalize the observed biochem. SARs. Finally results are reported that show, using the most potent CDK2 inhibitor compound from the current series, that the observed antiproliferative and proapoptotic effects are consistent with cellular CDK2 and CDK9 inhibition.
IT **674333-62-1P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of 2-anilino-4-(thiazol-5-yl)pyrimidines as CDK inhibitors)
RN 674333-62-1 CAPLUS
CN 2-Pyrimidinamine, 4-[4-methyl-2-(2-pyridinyl)-5-thiazolyl]-N-(3-nitrophenyl)- (9CI) (CA INDEX NAME)



RE.CNT 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

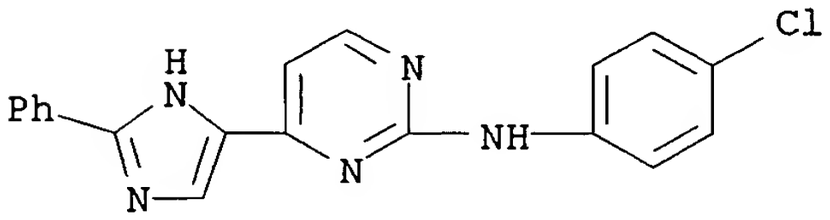
L6 ANSWER 9 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:41465 CAPLUS
DN 140:111414
TI Preparation of imidazolpyrimidines and related compounds as JNK protein kinase inhibitors
IN Ledeboer, Mark; Wang, Jian; Moon, Young Choom
PA Vertex Pharmaceuticals Incorporated, USA
SO PCT Int. Appl., 129 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004005283	A1	20040115	WO 2003-US21524	20030709
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2491895	AA	20040115	CA 2003-2491895	20030709
	US 2004097531	A1	20040520	US 2003-616560	20030709
	EP 1554269	A1	20050720	EP 2003-763424	20030709
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
PRAI	US 2002-395202P	P	20020709		
	WO 2003-US21524	W	20030709		

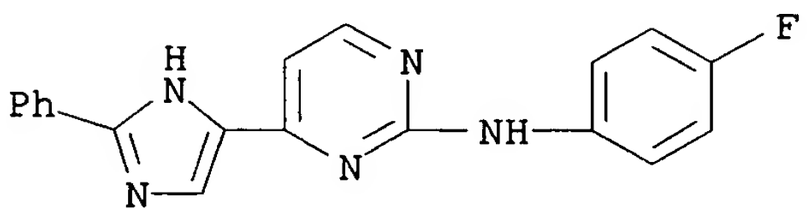
OS MARPAT 140:111414
AB Title compds. I [W = N, CH; G = H, alkyl with provisos; A = O, S, N-Tn-R; R = H, (un)substituted aliphatic; T = alkylidene chain wherein one methylene unit is optionally replaced by CO, CO2, CONH, etc.; n = 0, 1; R1 = Tn-R, Tn-Ar1; Ar1 = 3-7 membered monocyclic saturated, partially saturated or aromatic ring; R2 = Qn-Ar2; Q = alkylidene chain with provisos; Ar2 = 3-7 membered monocyclic saturated, partially saturated or aromatic ring] and their pharmaceutically acceptable salts and formulations were prepared For example, condensation of enone II, e.g., prepared from 4-methoxybut-3-en-2-one in 3-steps, and N-(4-fluorophenyl)guanidine afforded imidazolpyrimidine III in 56% yield. In human JNK3 protein kinase inhibition assays, 36-examples of compds. I exhibited Ki values ranging from 0.1->1.0 μM. Compds. I are claimed useful as inhibitors of JNK, a mammalian protein kinase involved cell proliferation, cell death and response to extracellular stimuli.
IT **647030-53-3P 647030-54-4P 647030-55-5P**
647030-56-6P 647030-57-7P 647030-58-8P
647030-59-9P 647030-60-2P 647030-61-3P
647030-62-4P 647030-63-5P 647030-64-6P
647030-65-7P 647030-66-8P 647030-67-9P
647030-68-0P 647030-69-1P 647030-70-4P
647030-71-5P 647030-72-6P 647030-73-7P
647030-74-8P 647030-75-9P 647030-76-0P
647030-77-1P 647030-78-2P 647030-79-3P
647030-80-6P 647030-81-7P 647030-82-8P

647030-83-9P 647030-84-0P 647030-85-1P
647030-86-2P 647030-88-4P 647030-89-5P
647030-90-8P 647030-91-9P 647030-92-0P
647030-93-1P 647030-94-2P 647030-95-3P
647030-96-4P 647030-97-5P 647030-98-6P
647030-99-7P 647031-00-3P 647031-01-4P
647031-02-5P 647031-03-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compound; preparation of imidazolpyrimidines and related compds. as JNK protein kinase inhibitors)

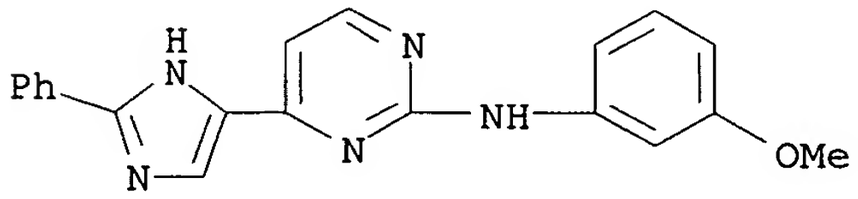
RN 647030-53-3 CAPLUS
CN 2-Pyrimidinamine, N-(4-chlorophenyl)-4-(2-phenyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



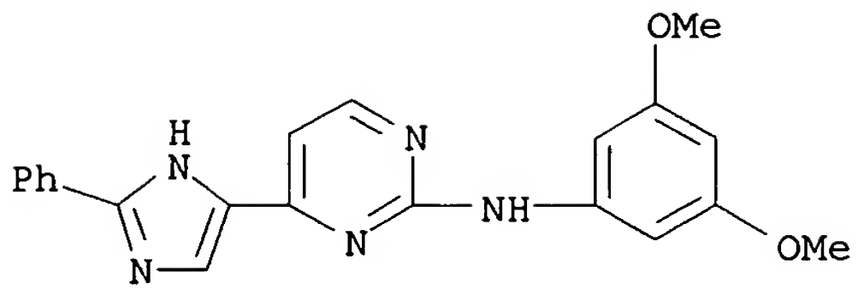
RN 647030-54-4 CAPLUS
CN 2-Pyrimidinamine, N-(4-fluorophenyl)-4-(2-phenyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



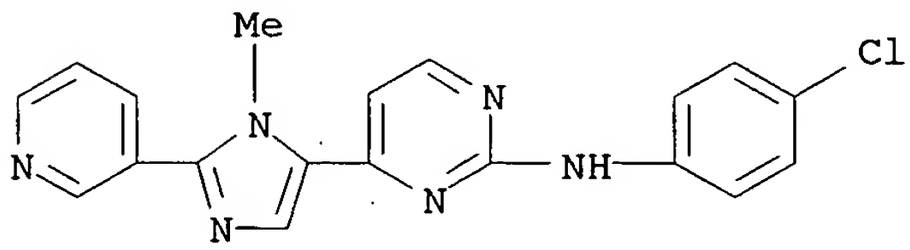
RN 647030-55-5 CAPLUS
CN 2-Pyrimidinamine, N-(3-methoxyphenyl)-4-(2-phenyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



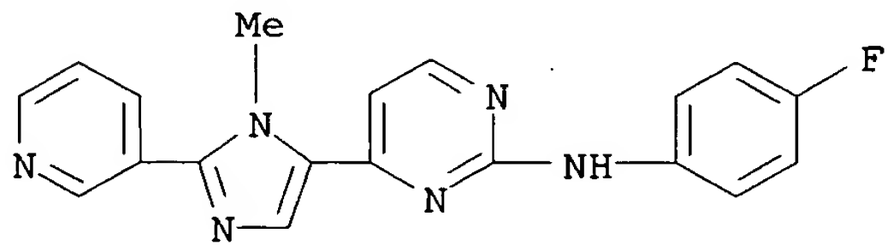
RN 647030-56-6 CAPLUS
CN 2-Pyrimidinamine, N-(3,5-dimethoxyphenyl)-4-(2-phenyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



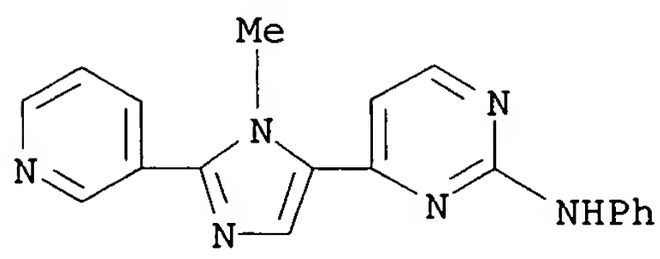
RN 647030-57-7 CAPLUS
CN 2-Pyrimidinamine, N-(4-chlorophenyl)-4-[1-methyl-2-(3-pyridinyl)-1H-imidazol-5-yl]- (9CI) (CA INDEX NAME)



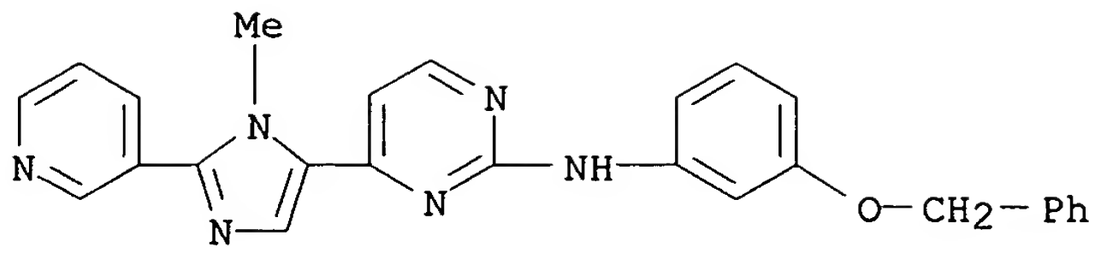
RN 647030-58-8 CAPLUS
CN 2-Pyrimidinamine, N-(4-fluorophenyl)-4-[1-methyl-2-(3-pyridinyl)-1H-imidazol-5-yl]- (9CI) (CA INDEX NAME)



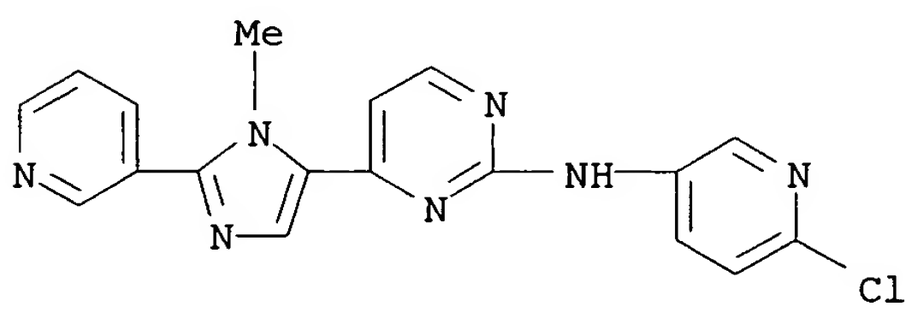
RN 647030-59-9 CAPLUS
CN 2-Pyrimidinamine, 4-[1-methyl-2-(3-pyridinyl)-1H-imidazol-5-yl]-N-phenyl- (9CI) (CA INDEX NAME)



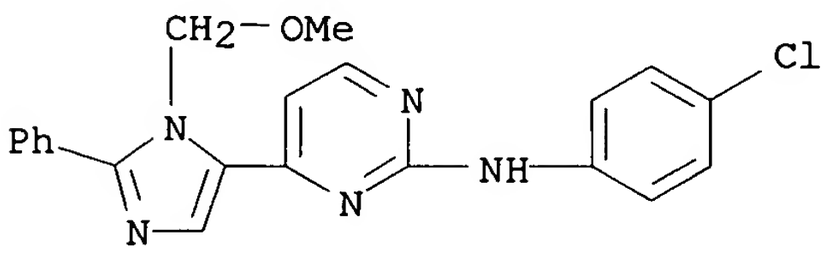
RN 647030-60-2 CAPLUS
CN 2-Pyrimidinamine, 4-[1-methyl-2-(3-pyridinyl)-1H-imidazol-5-yl]-N-[3-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)



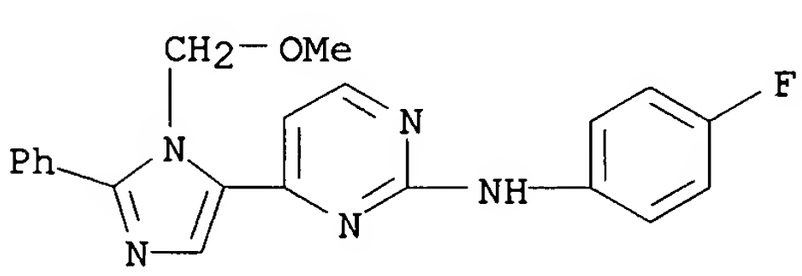
RN 647030-61-3 CAPLUS
CN 2-Pyrimidinamine, N-(6-chloro-3-pyridinyl)-4-[1-methyl-2-(3-pyridinyl)-1H-imidazol-5-yl]- (9CI) (CA INDEX NAME)



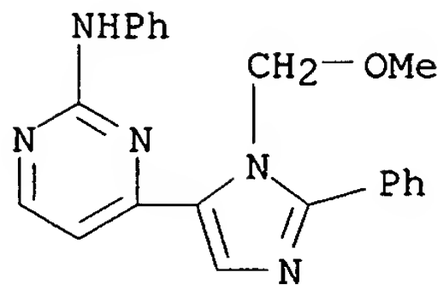
RN 647030-62-4 CAPLUS
CN 2-Pyrimidinamine, N-(4-chlorophenyl)-4-[1-(methoxymethyl)-2-phenyl-1H-imidazol-5-yl]- (9CI) (CA INDEX NAME)



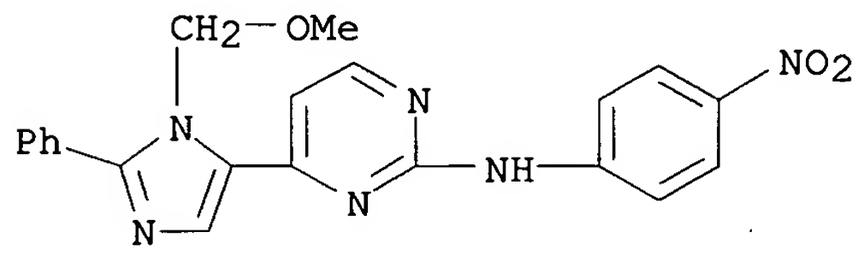
RN 647030-63-5 CAPLUS
CN 2-Pyrimidinamine, N-(4-fluorophenyl)-4-[1-(methoxymethyl)-2-phenyl-1H-imidazol-5-yl]- (9CI) (CA INDEX NAME)



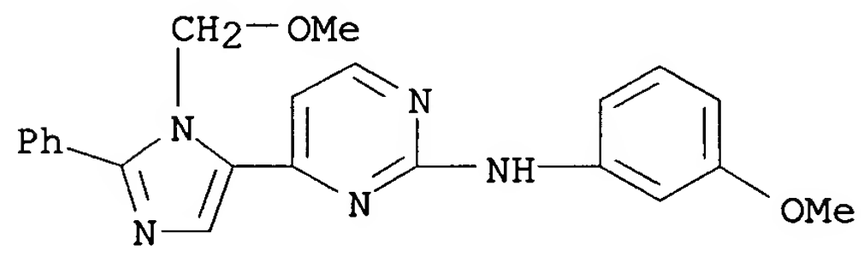
RN 647030-64-6 CAPLUS
CN 2-Pyrimidinamine, 4-[1-(methoxymethyl)-2-phenyl-1H-imidazol-5-yl]-N-phenyl- (9CI) (CA INDEX NAME)



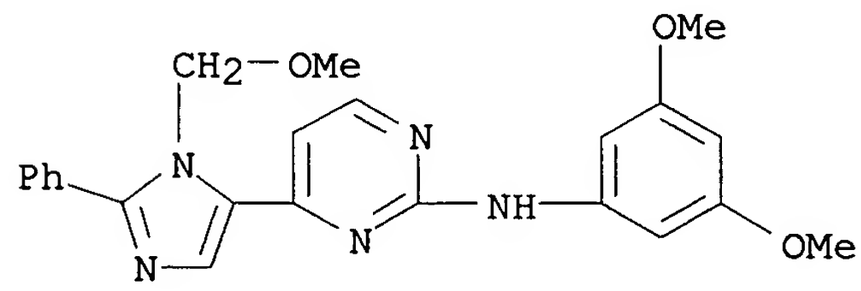
RN 647030-65-7 CAPLUS
CN 2-Pyrimidinamine, 4-[1-(methoxymethyl)-2-phenyl-1H-imidazol-5-yl]-N-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



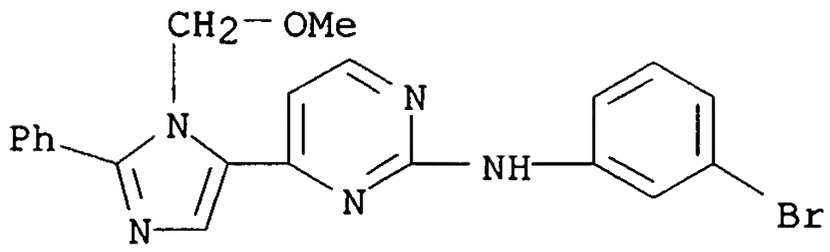
RN 647030-66-8 CAPLUS
CN 2-Pyrimidinamine, 4-[1-(methoxymethyl)-2-phenyl-1H-imidazol-5-yl]-N-(3-methoxyphenyl)- (9CI) (CA INDEX NAME)



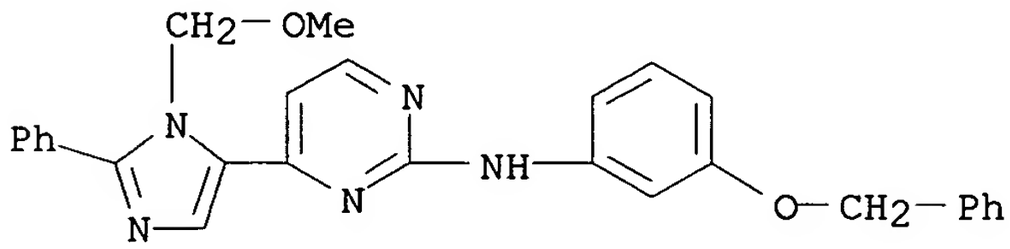
RN 647030-67-9 CAPLUS
CN 2-Pyrimidinamine, N-(3,5-dimethoxyphenyl)-4-[1-(methoxymethyl)-2-phenyl-1H-imidazol-5-yl]- (9CI) (CA INDEX NAME)



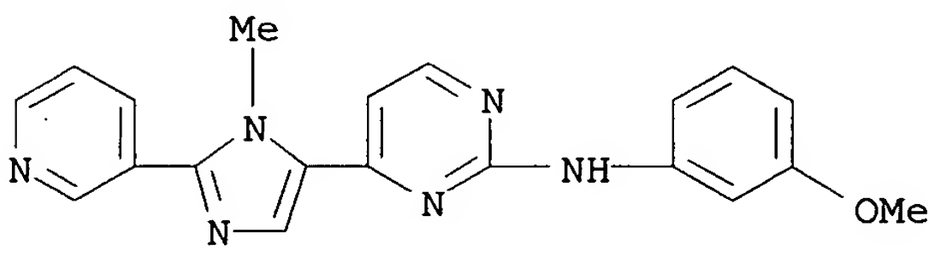
RN 647030-68-0 CAPLUS
CN 2-Pyrimidinamine, N-(3-bromophenyl)-4-[1-(methoxymethyl)-2-phenyl-1H-imidazol-5-yl]- (9CI) (CA INDEX NAME)



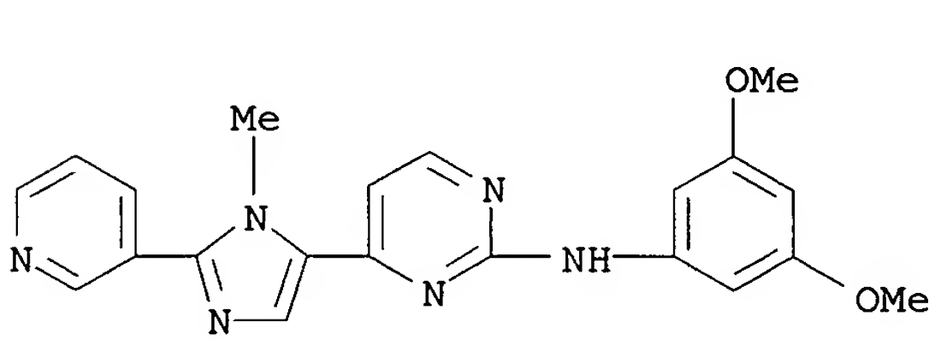
RN 647030-69-1 CAPLUS
CN 2-Pyrimidinamine, 4-[1-(methoxymethyl)-2-phenyl-1H-imidazol-5-yl]-N-[3-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)



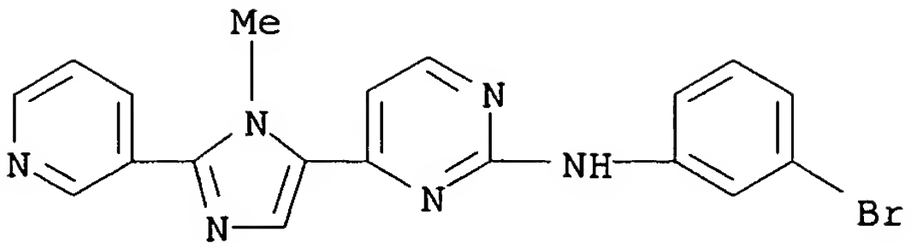
RN 647030-70-4 CAPLUS
CN 2-Pyrimidinamine, N-(3-methoxyphenyl)-4-[1-methyl-2-(3-pyridinyl)-1H-imidazol-5-yl]- (9CI) (CA INDEX NAME)



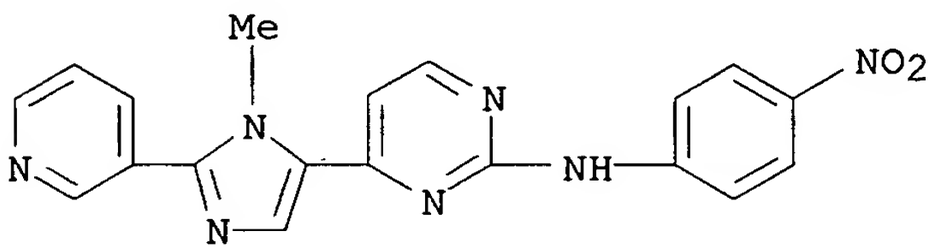
RN 647030-71-5 CAPLUS
CN 2-Pyrimidinamine, N-(3,5-dimethoxyphenyl)-4-[1-methyl-2-(3-pyridinyl)-1H-imidazol-5-yl]- (9CI) (CA INDEX NAME)



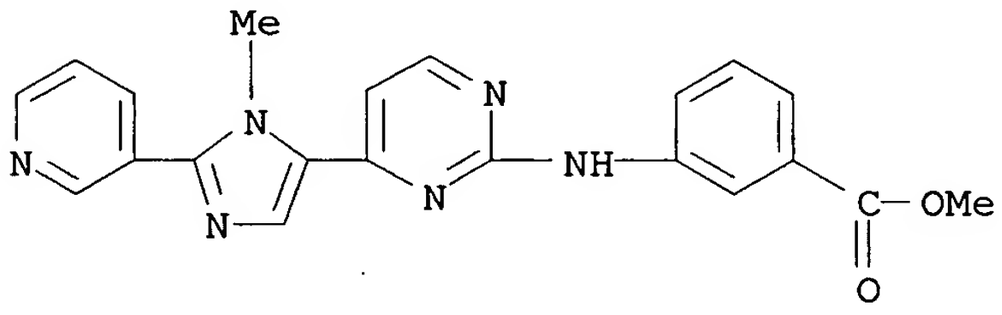
RN 647030-72-6 CAPLUS
CN 2-Pyrimidinamine, N-(3-bromophenyl)-4-[1-methyl-2-(3-pyridinyl)-1H-imidazol-5-yl]- (9CI) (CA INDEX NAME)



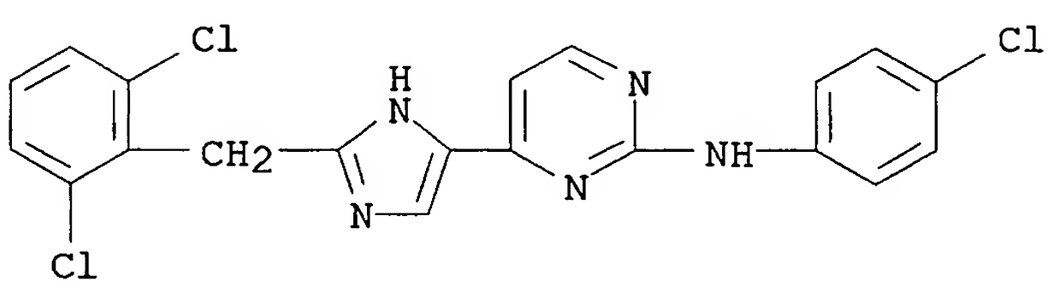
RN 647030-73-7 CAPLUS
CN 2-Pyrimidinamine, 4-[1-methyl-2-(3-pyridinyl)-1H-imidazol-5-yl]-N-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



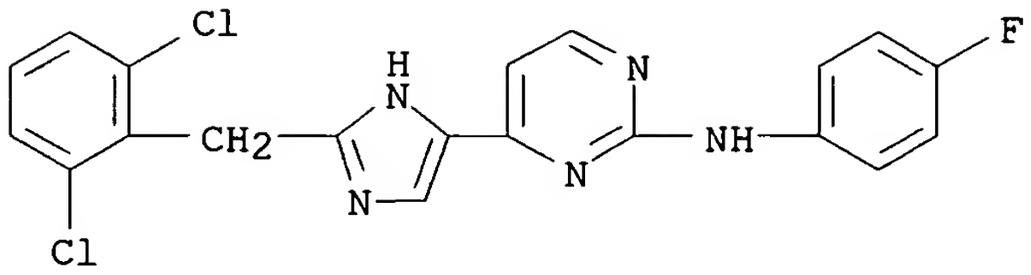
RN 647030-74-8 CAPLUS
CN Benzoic acid, 3-[[4-[1-methyl-2-(3-pyridinyl)-1H-imidazol-5-yl]-2-pyrimidinyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



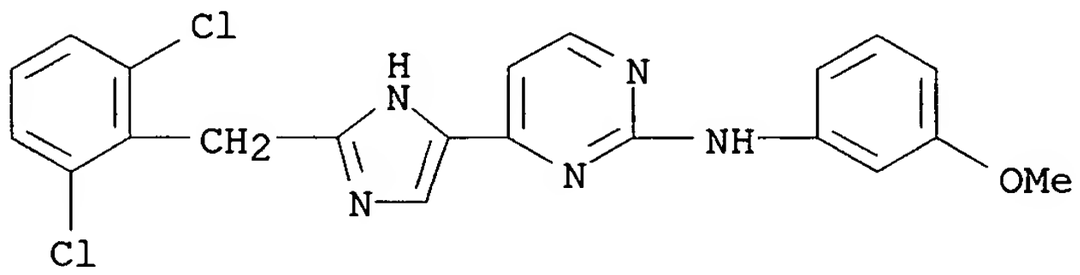
RN 647030-75-9 CAPLUS
CN 2-Pyrimidinamine, N-(4-chlorophenyl)-4-[2-[(2,6-dichlorophenyl)methyl]-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



RN 647030-76-0 CAPLUS
CN 2-Pyrimidinamine, 4-[2-[(2,6-dichlorophenyl)methyl]-1H-imidazol-4-yl]-N-(4-fluorophenyl)- (9CI) (CA INDEX NAME)

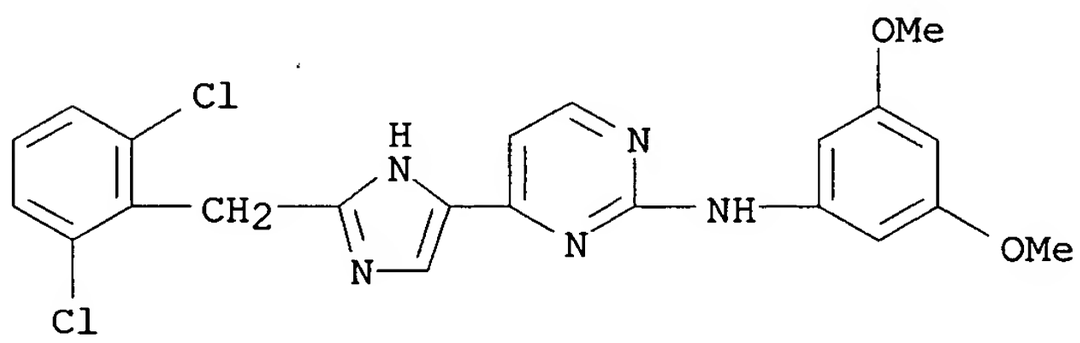


RN 647030-77-1 CAPLUS
CN 2-Pyrimidinamine, 4-[2-[(2,6-dichlorophenyl)methyl]-1H-imidazol-4-yl]-N-(3-methoxyphenyl)- (9CI) (CA INDEX NAME)

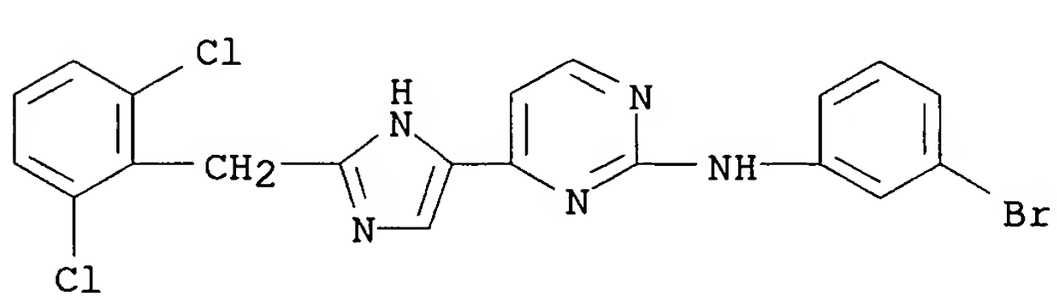


Elected species.

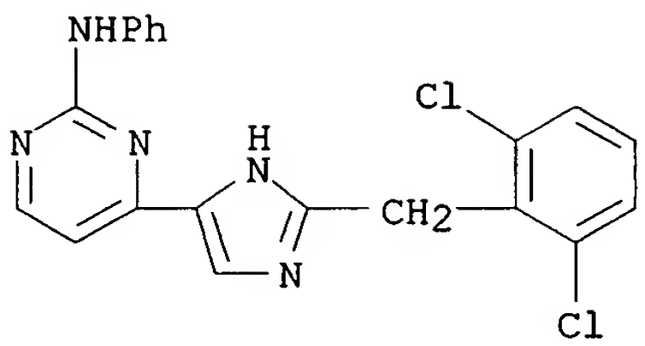
RN 647030-78-2 CAPLUS
CN 2-Pyrimidinamine, 4-[2-[(2,6-dichlorophenyl)methyl]-1H-imidazol-4-yl]-N-(3,5-dimethoxyphenyl)- (9CI) (CA INDEX NAME)



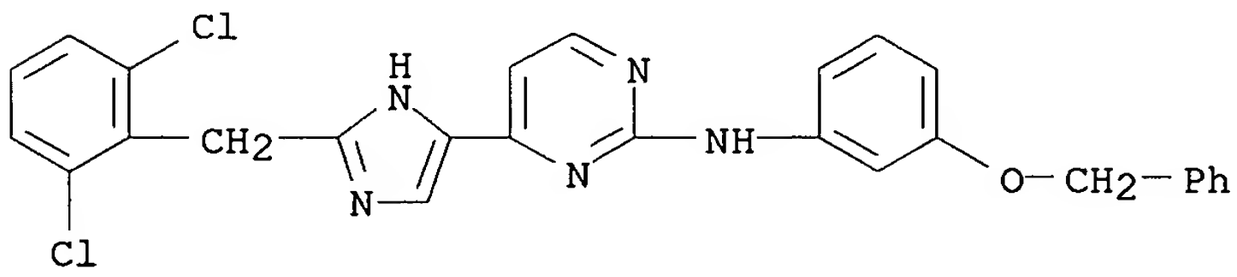
RN 647030-79-3 CAPLUS
CN 2-Pyrimidinamine, N-(3-bromophenyl)-4-[2-[(2,6-dichlorophenyl)methyl]-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



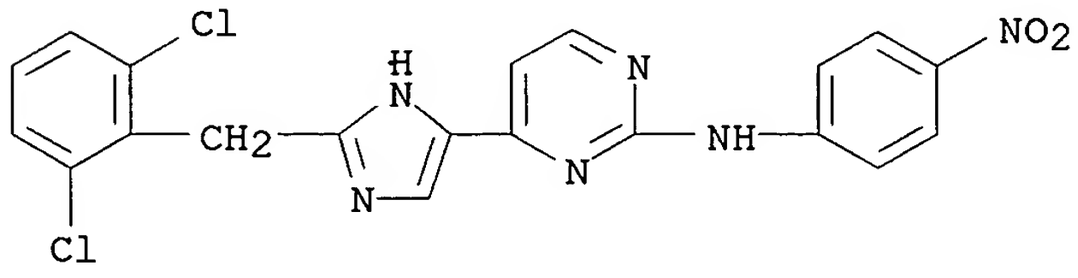
RN 647030-80-6 CAPLUS
CN 2-Pyrimidinamine, 4-[2-[(2,6-dichlorophenyl)methyl]-1H-imidazol-4-yl]-N-phenyl- (9CI) (CA INDEX NAME)



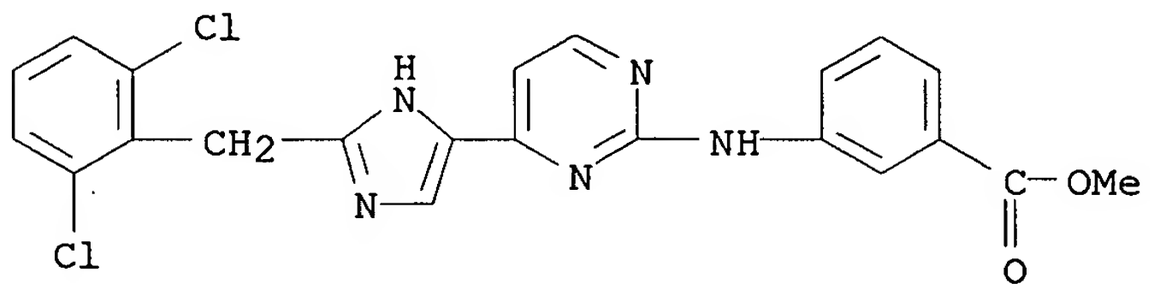
RN 647030-81-7 CAPLUS
CN 2-Pyrimidinamine, 4-[2-[(2,6-dichlorophenyl)methyl]-1H-imidazol-4-yl]-N-[3-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)



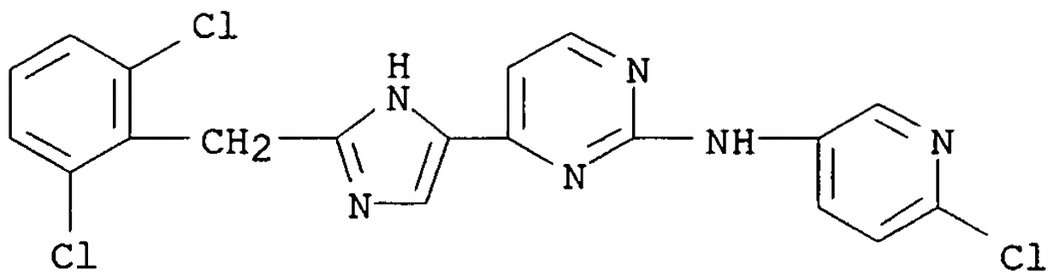
RN 647030-82-8 CAPLUS
CN 2-Pyrimidinamine, 4-[2-[(2,6-dichlorophenyl)methyl]-1H-imidazol-4-yl]-N-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



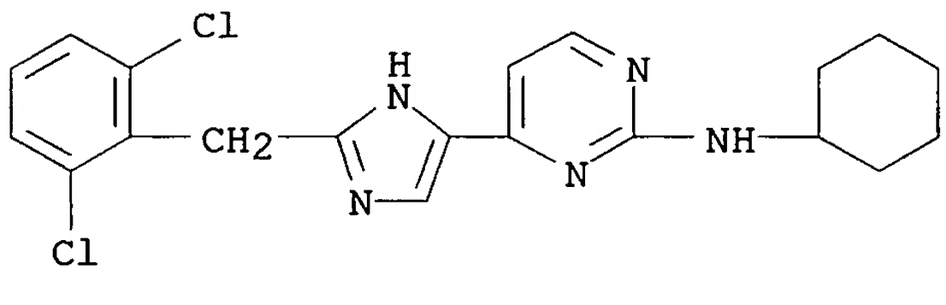
RN 647030-83-9 CAPLUS
CN Benzoic acid, 3-[[4-[2-[(2,6-dichlorophenyl)methyl]-1H-imidazol-4-yl]-2-pyrimidinyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



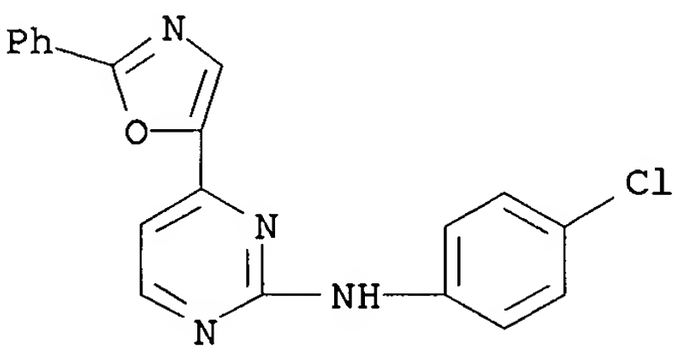
RN 647030-84-0 CAPLUS
CN 2-Pyrimidinamine, N-(6-chloro-3-pyridinyl)-4-[2-[(2,6-dichlorophenyl)methyl]-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



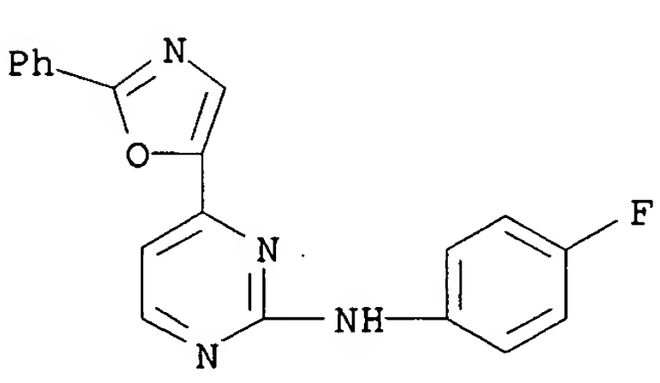
RN 647030-85-1 CAPLUS
CN 2-Pyrimidinamine, N-cyclohexyl-4-[2-[(2,6-dichlorophenyl)methyl]-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



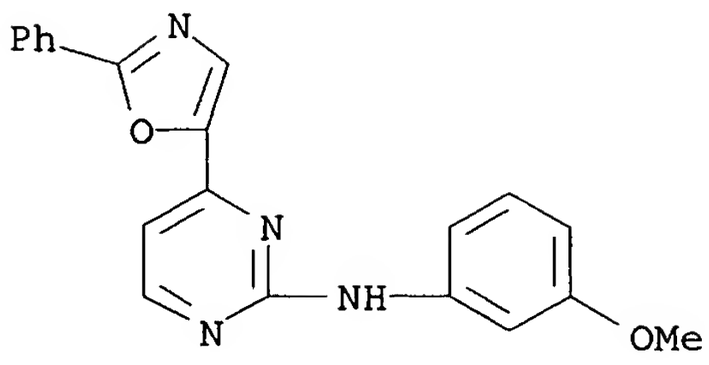
RN 647030-86-2 CAPLUS
CN 2-Pyrimidinamine, N-(4-chlorophenyl)-4-(2-phenyl-5-oxazolyl)- (9CI) (CA INDEX NAME)



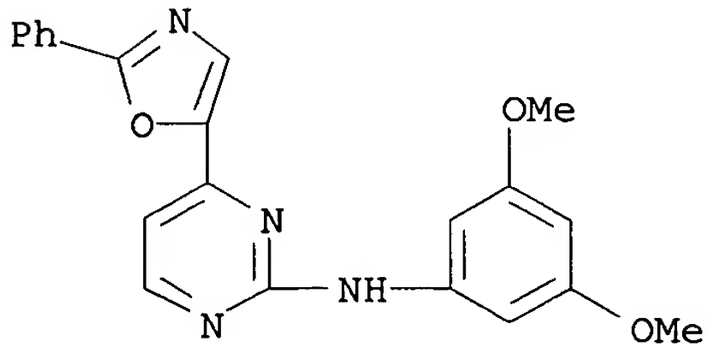
RN 647030-88-4 CAPLUS
CN 2-Pyrimidinamine, N-(4-fluorophenyl)-4-(2-phenyl-5-oxazolyl)- (9CI) (CA INDEX NAME)



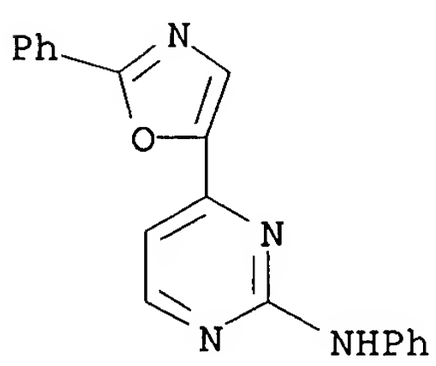
RN 647030-89-5 CAPLUS
CN 2-Pyrimidinamine, N-(3-methoxyphenyl)-4-(2-phenyl-5-oxazolyl)- (9CI) (CA INDEX NAME)



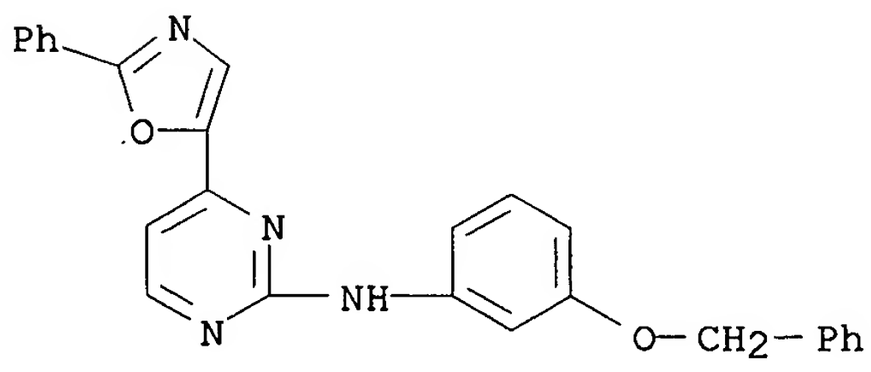
RN 647030-90-8 CAPLUS
CN 2-Pyrimidinamine, N-(3,5-dimethoxyphenyl)-4-(2-phenyl-5-oxazolyl)- (9CI) (CA INDEX NAME)



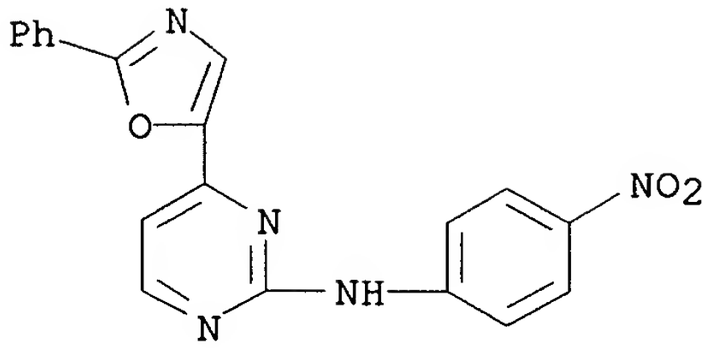
RN 647030-91-9 CAPLUS
CN 2-Pyrimidinamine, N-phenyl-4-(2-phenyl-5-oxazolyl)- (9CI) (CA INDEX NAME)



RN 647030-92-0 CAPLUS
CN 2-Pyrimidinamine, N-[3-(phenylmethoxy)phenyl]-4-(2-phenyl-5-oxazolyl)- (9CI) (CA INDEX NAME)

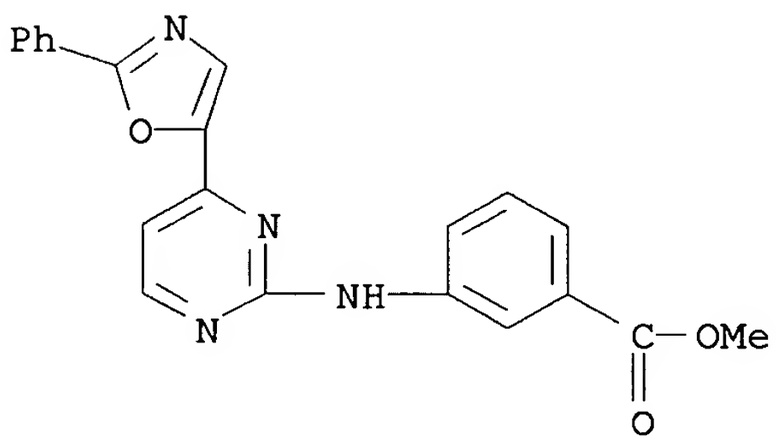


RN 647030-93-1 CAPLUS
CN 2-Pyrimidinamine, N-(4-nitrophenyl)-4-(2-phenyl-5-oxazolyl)- (9CI) (CA INDEX NAME)

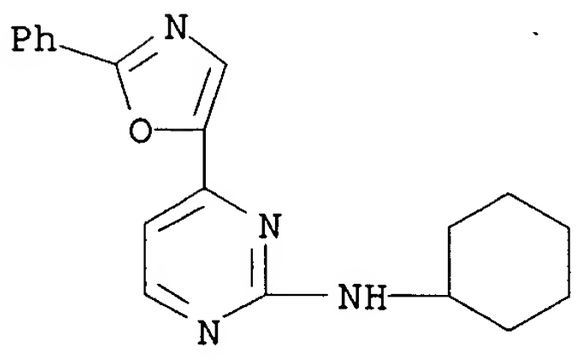


RN 647030-94-2 CAPLUS
CN 2-Pyrimidinamine, N-(3-bromophenyl)-4-(2-phenyl-5-oxazolyl)- (9CI) (CA INDEX NAME)

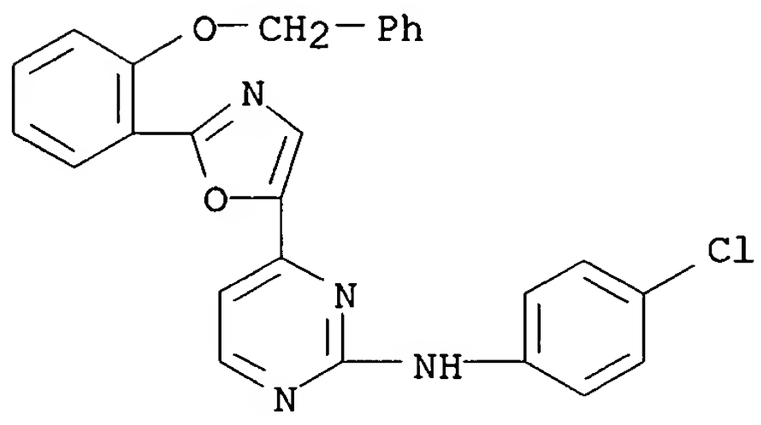
RN 647030-95-3 CAPLUS
CN Benzoic acid, 3-[[4-(2-phenyl-5-oxazolyl)-2-pyrimidinyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



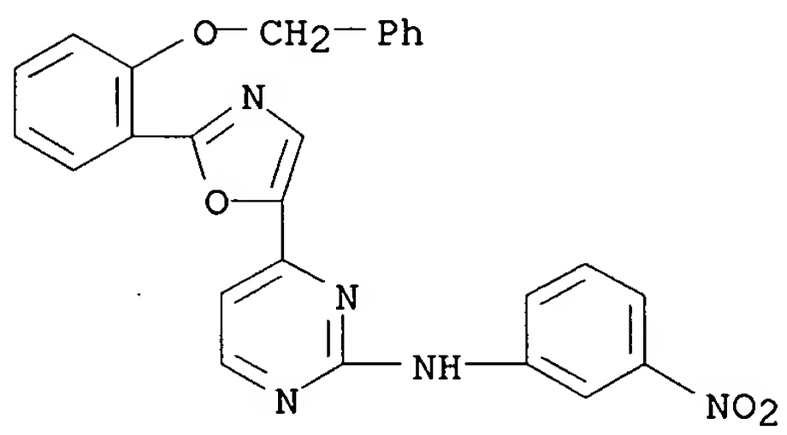
RN 647030-96-4 CAPLUS
CN 2-Pyrimidinamine, N-cyclohexyl-4-(2-phenyl-5-oxazolyl)- (9CI) (CA INDEX NAME)



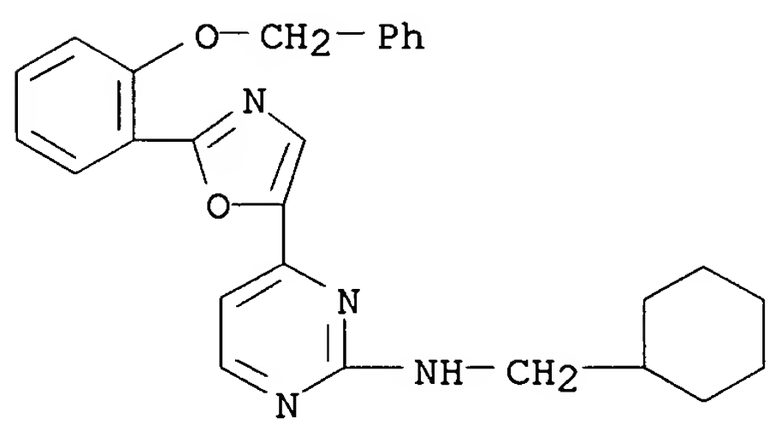
RN 647030-97-5 CAPLUS
CN 2-Pyrimidinamine, N-(4-chlorophenyl)-4-[2-[2-(phenylmethoxy)phenyl]-5-oxazolyl]- (9CI) (CA INDEX NAME)



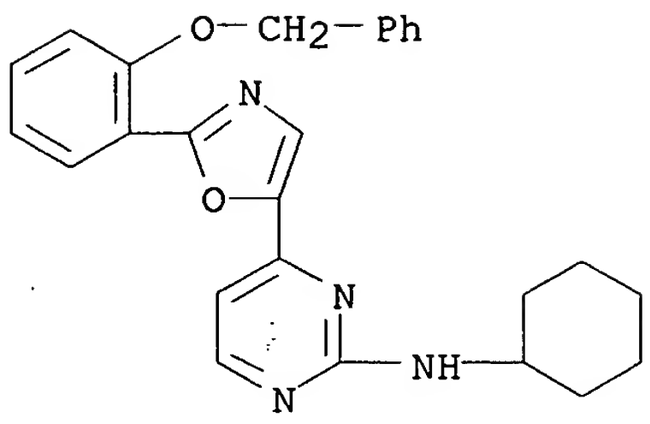
RN 647030-98-6 CAPLUS
CN 2-Pyrimidinamine, N-(3-nitrophenyl)-4-[2-[2-(phenylmethoxy)phenyl]-5-oxazolyl]- (9CI) (CA INDEX NAME)



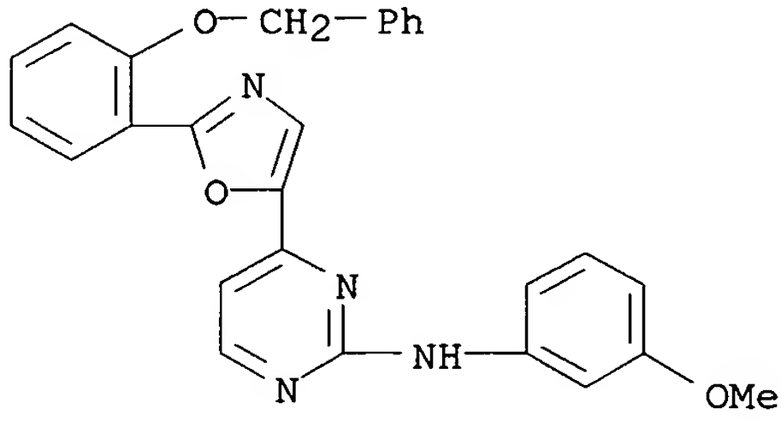
RN 647030-99-7 CAPLUS
CN 2-Pyrimidinamine, N-(cyclohexylmethyl)-4-[2-[2-(phenylmethoxy)phenyl]-5-oxazolyl]- (9CI) (CA INDEX NAME)



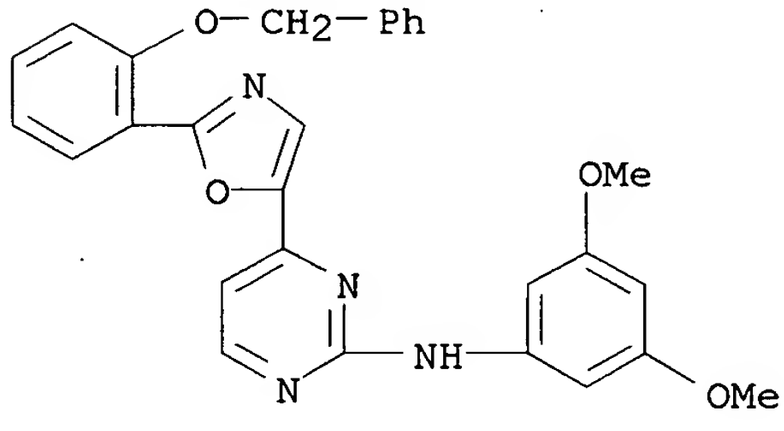
RN 647031-00-3 CAPLUS
CN 2-Pyrimidinamine, N-cyclohexyl-4-[2-[2-(phenylmethoxy)phenyl]-5-oxazolyl]- (9CI) (CA INDEX NAME)



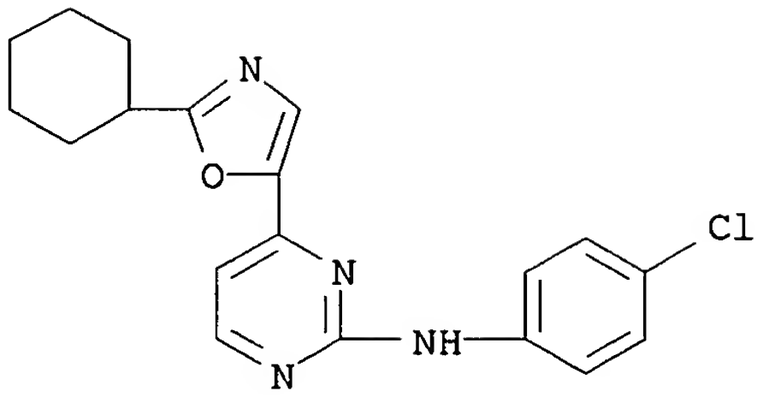
RN 647031-01-4 CAPLUS
CN 2-Pyrimidinamine, N-(3-methoxyphenyl)-4-[2-[2-(phenylmethoxy)phenyl]-5-oxazolyl]- (9CI) (CA INDEX NAME)



RN 647031-02-5 CAPLUS
CN 2-Pyrimidinamine, N-(3,5-dimethoxyphenyl)-4-[2-[2-(phenylmethoxy)phenyl]-5-oxazolyl]- (9CI) (CA INDEX NAME)



RN 647031-03-6 CAPLUS
CN 2-Pyrimidinamine, N-(4-chlorophenyl)-4-(2-cyclohexyl-5-oxazolyl)- (9CI) (CA INDEX NAME)

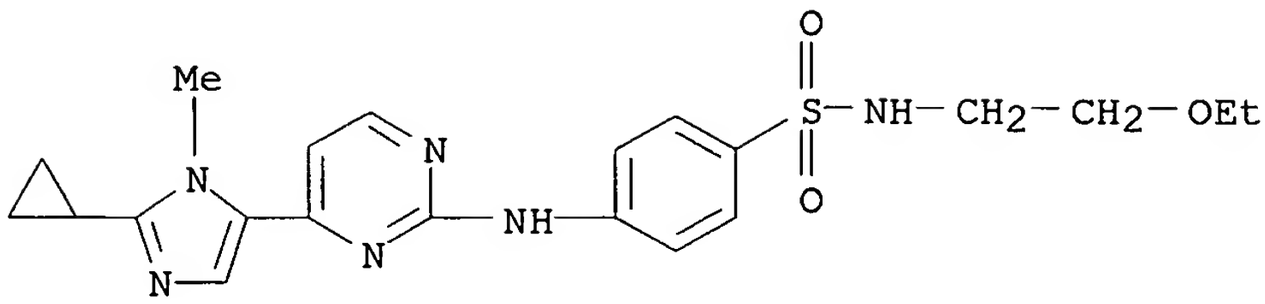


RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

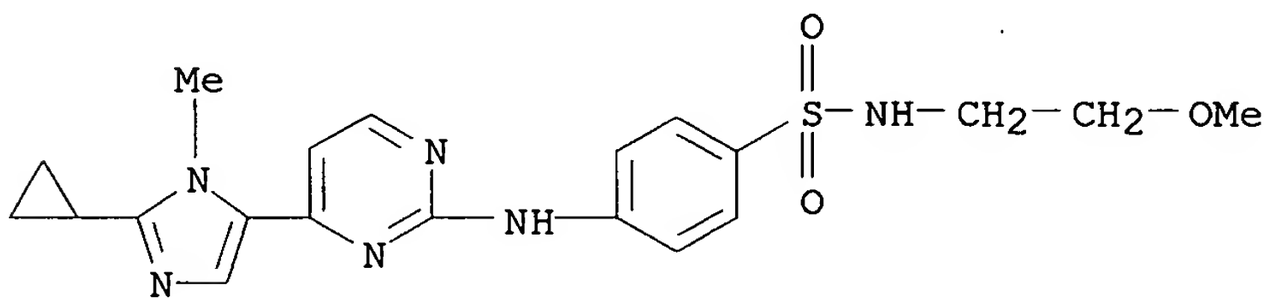
L6 ANSWER 10 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2003:737753 CAPLUS
DN 139:261316
TI Preparation of 4-imidazolyl substituted pyrimidines with CDK inhibitory activity
IN Newcombe, Nicholas John; Thomas, Andrew Peter
PA Astrazeneca AB, Swed.; Astrazeneca UK Limited
SO PCT Int. Appl., 90 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003076434	A1	20030918	WO 2003-GB935	20030306
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	EP 1490354	A1	20041229	EP 2003-706767	20030306
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	JP 2005524672	T2	20050818	JP 2003-574651	20030306
PRAI	GB 2002-5695	A	20020309		
	GB 2002-17633	A	20020731		
	WO 2003-GB935	W	20030306		

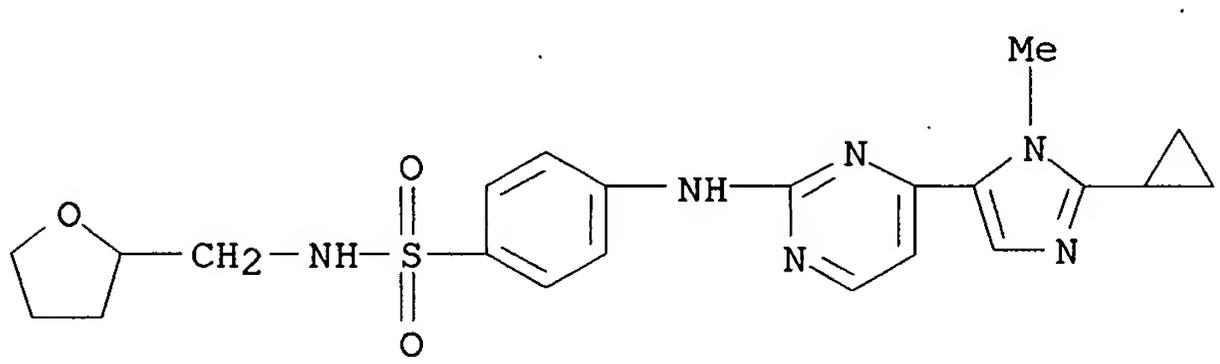
OS MARPAT 139:261316
AB The title compds. [I; R1 = halo, CN, alkyl, alkoxy; p = 0-2; R2 = H, alkyl, cycloalkyl, etc.; R3 = H, halo, CN; R4 = alkyl, alkoxyalkyl; R5 = Me, cycloalkyl, (un)substituted alkyl or alkenyl] and their pharmaceutically acceptable salts and in vivo hydrolysable esters, useful as medicaments, particularly medicaments for producing a cell cycle inhibitory (anti-cell-proliferation) effect in a warm-blooded animal, such as man, were prepared and formulated. Thus, treating 2-anilino-4-(1-methyl-2-isopropylimidazol-5-yl)pyrimidine (preparation given) with ClSO3H in SOCl2 followed by reacting the resulting intermediate with cyclobutylamine afforded 65% I [p = 0; R2 = cyclobutyl; R3 = H; R4 = Me; R5 = iso-Pr]. In general, CDK inhibitory activity possessed by compds. I may be demonstrated at IC50 values in the range 250μM to 1 nM in the in vitro assay.
IT **600637-87-4P 600637-88-5P 600637-89-6P**
600637-90-9P 600637-91-0P 600637-92-1P
600637-93-2P 600638-14-0P 600638-15-1P
600638-16-2P 600638-17-3P 600638-56-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 4-imidazolyl substituted pyrimidines with CDK inhibitory activity)
RN 600637-87-4 CAPLUS
CN Benzenesulfonamide, 4-[[4-(2-cyclopropyl-1-methyl-1H-imidazol-5-yl)-2-pyrimidinyl]amino]-N-(2-ethoxyethyl)- (9CI) (CA INDEX NAME)



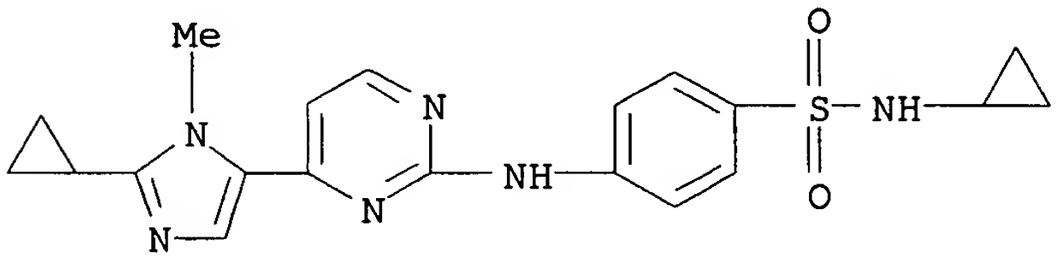
RN 600637-88-5 CAPLUS
CN Benzenesulfonamide, 4-[[4-(2-cyclopropyl-1-methyl-1H-imidazol-5-yl)-2-pyrimidinyl]amino]-N-(2-methoxyethyl)- (9CI) (CA INDEX NAME)



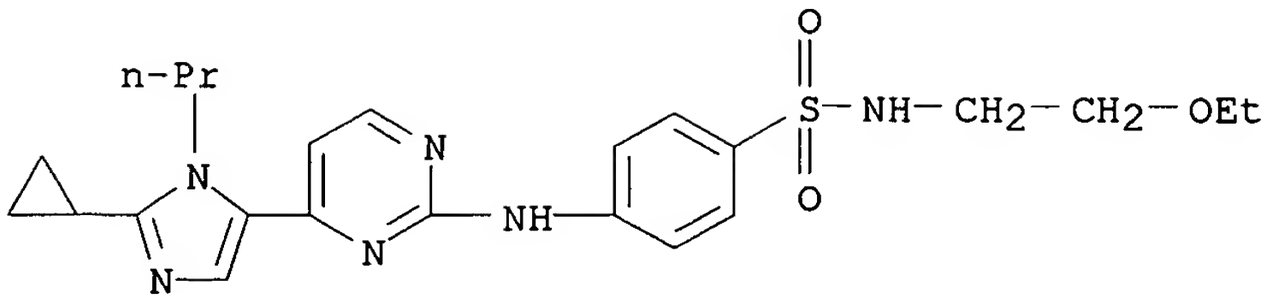
RN 600637-89-6 CAPLUS
CN Benzenesulfonamide, 4-[[4-(2-cyclopropyl-1-methyl-1H-imidazol-5-yl)-2-pyrimidinyl]amino]-N-[(tetrahydro-2-furanyl)methyl]- (9CI) (CA INDEX NAME)



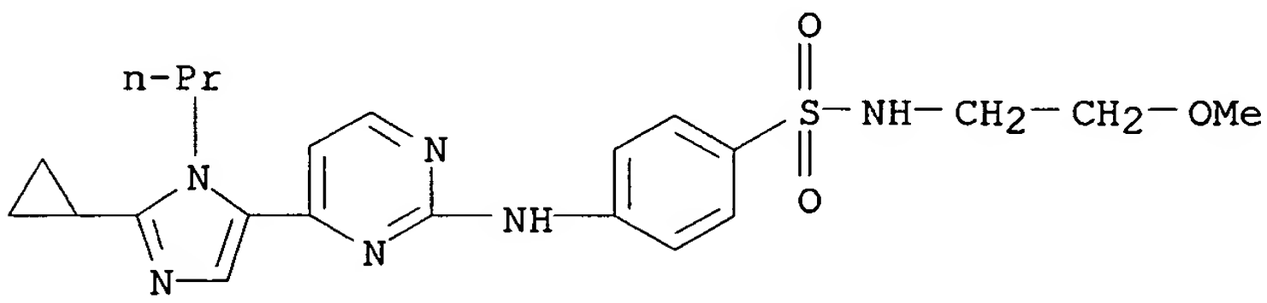
RN 600637-90-9 CAPLUS
CN Benzenesulfonamide, N-cyclopropyl-4-[[4-(2-cyclopropyl-1-methyl-1H-imidazol-5-yl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



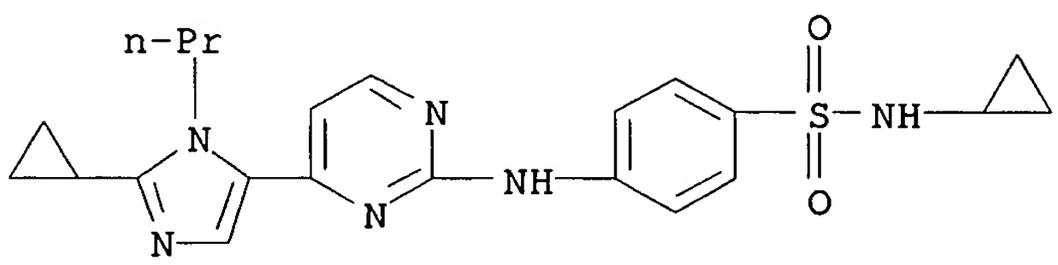
RN 600637-91-0 CAPLUS
CN Benzenesulfonamide, 4-[[4-(2-cyclopropyl-1-propyl-1H-imidazol-5-yl)-2-pyrimidinyl]amino]-N-(2-ethoxyethyl)- (9CI) (CA INDEX NAME)



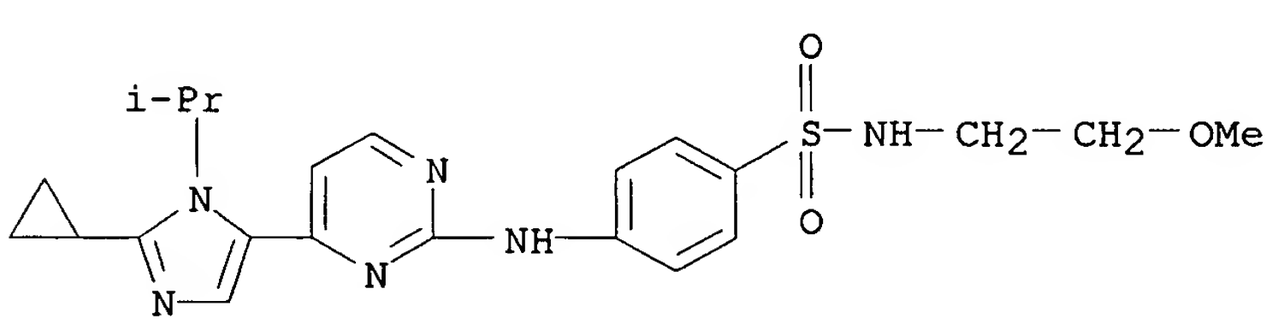
RN 600637-92-1 CAPLUS
CN Benzenesulfonamide, 4-[[4-(2-cyclopropyl-1-propyl-1H-imidazol-5-yl)-2-pyrimidinyl]amino]-N-(2-methoxyethyl)- (9CI) (CA INDEX NAME)



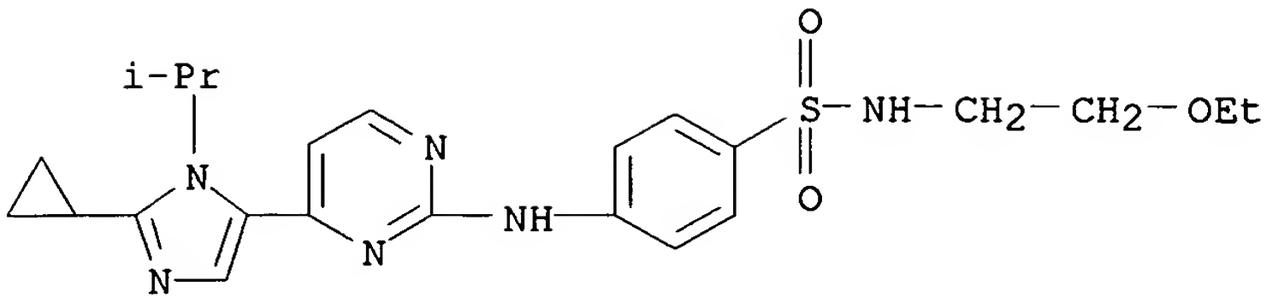
RN 600637-93-2 CAPLUS
CN Benzenesulfonamide, N-cyclopropyl-4-[[4-(2-cyclopropyl-1-propyl-1H-imidazol-5-yl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



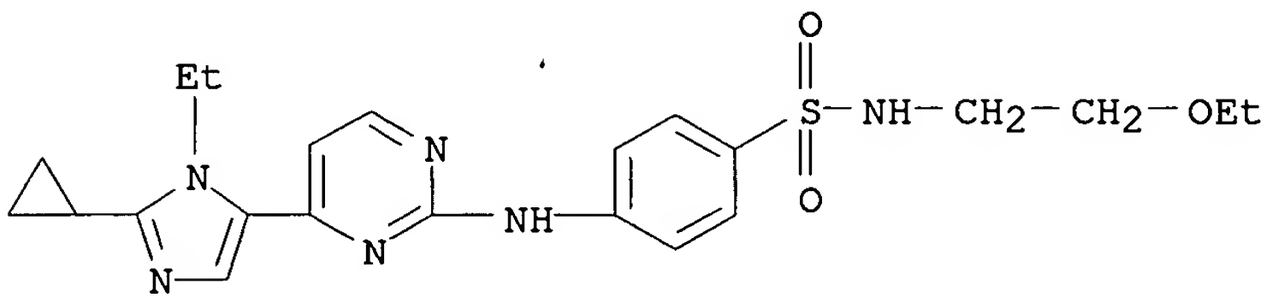
RN 600638-14-0 CAPLUS
CN Benzenesulfonamide, 4-[[4-[2-cyclopropyl-1-(1-methylethyl)-1H-imidazol-5-yl]-2-pyrimidinyl]amino]-N-(2-methoxyethyl)- (9CI) (CA INDEX NAME)



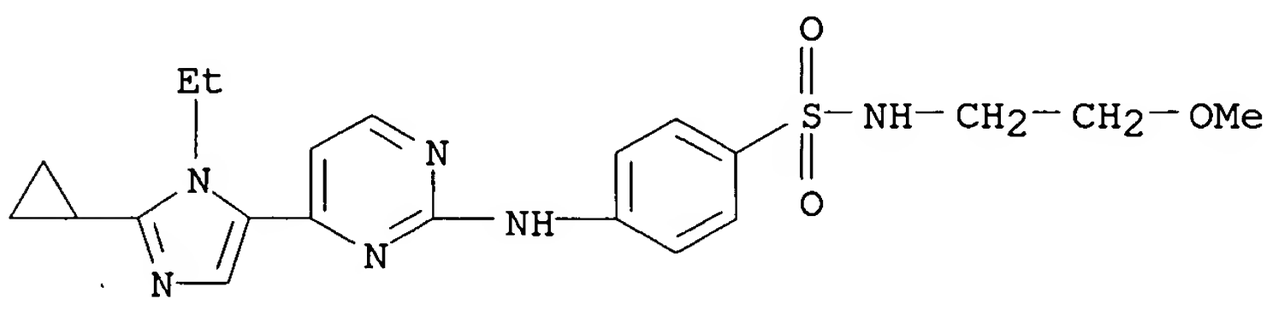
RN 600638-15-1 CAPLUS
CN Benzenesulfonamide, 4-[[4-[2-cyclopropyl-1-(1-methylethyl)-1H-imidazol-5-yl]-2-pyrimidinyl]amino]-N-(2-ethoxyethyl)- (9CI) (CA INDEX NAME)



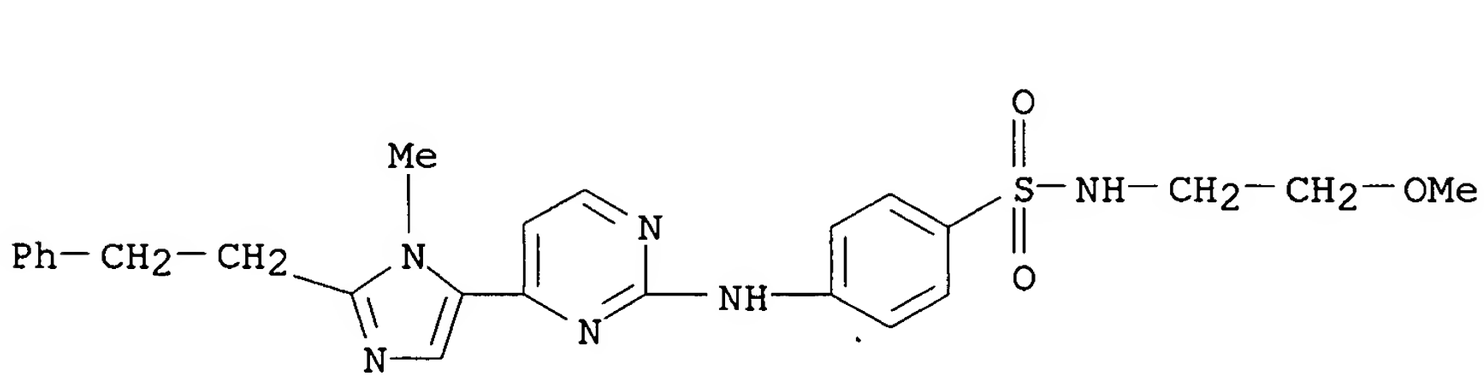
RN 600638-16-2 CAPLUS
CN Benzenesulfonamide, 4-[[4-(2-cyclopropyl-1-ethyl-1H-imidazol-5-yl)-2-pyrimidinyl]amino]-N-(2-ethoxyethyl)- (9CI) (CA INDEX NAME)



RN 600638-17-3 CAPLUS
CN Benzenesulfonamide, 4-[[4-(2-cyclopropyl-1-ethyl-1H-imidazol-5-yl)-2-pyrimidinyl]amino]-N-(2-methoxyethyl)- (9CI) (CA INDEX NAME)

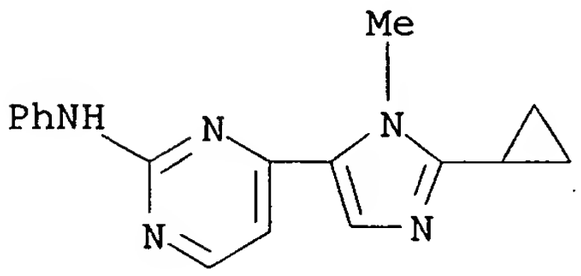


RN 600638-56-0 CAPLUS
CN Benzenesulfonamide, N-(2-methoxyethyl)-4-[[4-[1-methyl-2-(2-phenylethyl)-1H-imidazol-5-yl]-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)

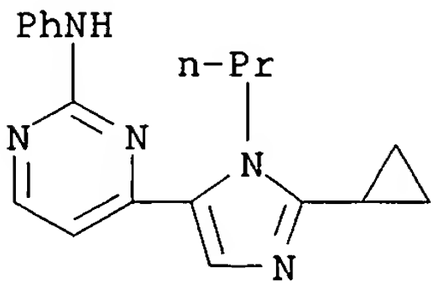


IT **600639-13-2P 600639-14-3P 600639-15-4P**
600639-16-5P 600639-35-8P 600639-50-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 4-imidazolyl substituted pyrimidines with CDK inhibitory activity)

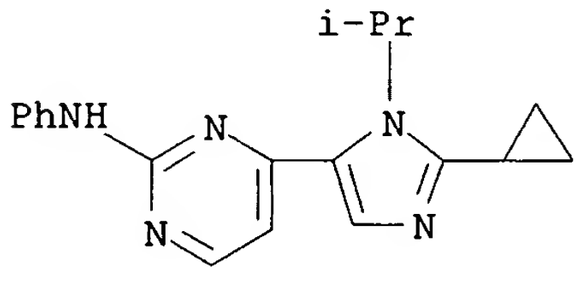
RN 600639-13-2 CAPLUS
CN 2-Pyrimidinamine, 4-(2-cyclopropyl-1-methyl-1H-imidazol-5-yl)-N-phenyl- (9CI) (CA INDEX NAME)



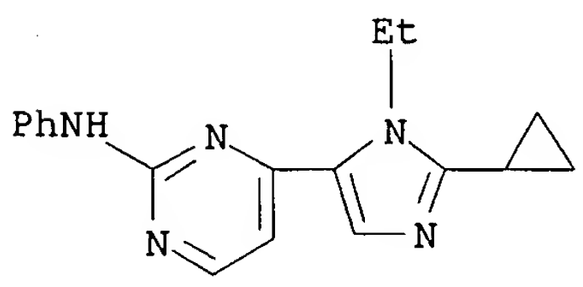
RN 600639-14-3 CAPLUS
CN 2-Pyrimidinamine, 4-(2-cyclopropyl-1-propyl-1H-imidazol-5-yl)-N-phenyl- (9CI) (CA INDEX NAME)



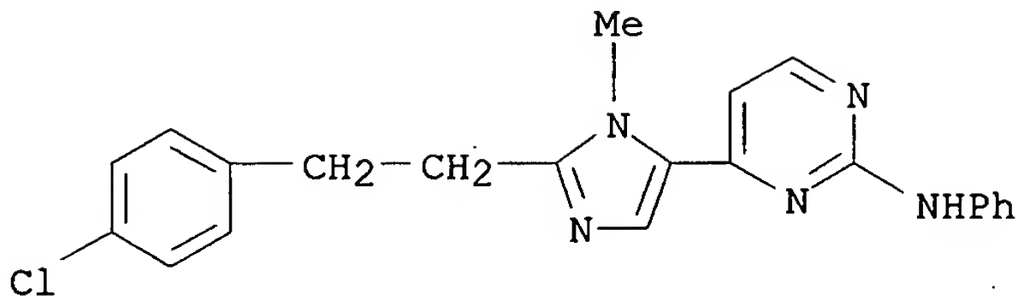
RN 600639-15-4 CAPLUS
CN 2-Pyrimidinamine, 4-[2-cyclopropyl-1-(1-methylethyl)-1H-imidazol-5-yl]-N-phenyl- (9CI) (CA INDEX NAME)



RN 600639-16-5 CAPLUS
CN 2-Pyrimidinamine, 4-(2-cyclopropyl-1-ethyl-1H-imidazol-5-yl)-N-phenyl- (9CI) (CA INDEX NAME)

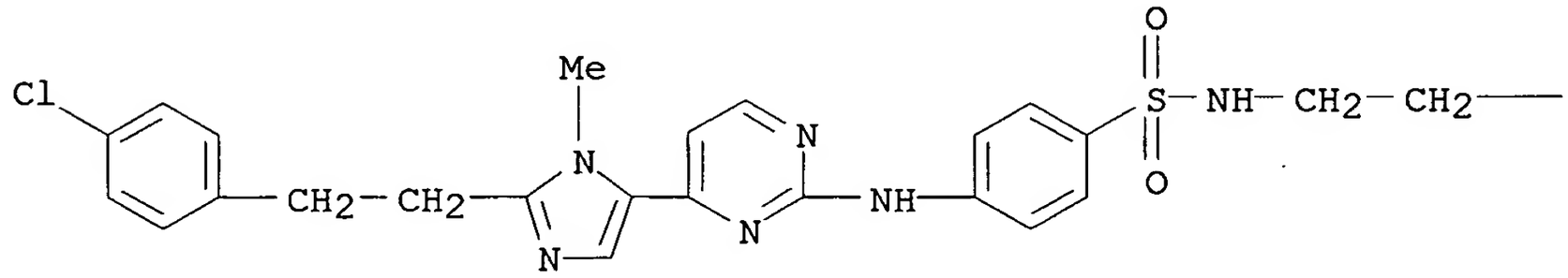


RN 600639-35-8 CAPLUS
CN 2-Pyrimidinamine, 4-[2-[2-(4-chlorophenyl)ethyl]-1-methyl-1H-imidazol-5-yl]-N-phenyl- (9CI) (CA INDEX NAME)



RN 600639-50-7 CAPLUS
CN Benzenesulfonamide, 4-[[4-[2-[2-(4-chlorophenyl)ethyl]-1-methyl-1H-imidazol-5-yl]-2-pyrimidinyl]amino]-N-(2-methoxyethyl)- (9CI) (CA INDEX NAME)

PAGE 1-A

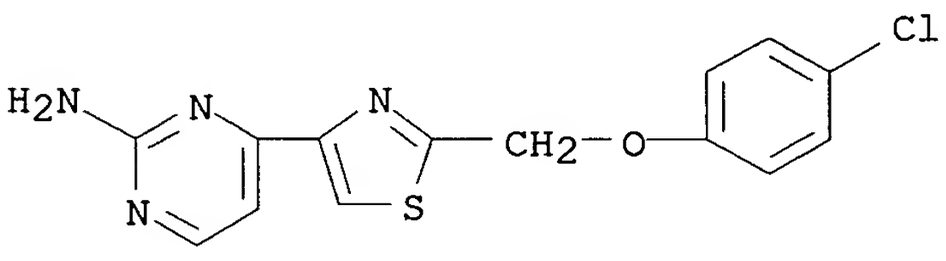


PAGE 1-B

— OMe

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2003:730617 CAPLUS
DN 139:190644
TI Docking and Database Screening Reveal New Classes of Plasmodium falciparum Dihydrofolate Reductase Inhibitors
AU Rastelli, Giulio; Pacchioni, Sara; Sirawaraporn, Worachart; Sirawaraporn, Rachada; Parenti, Marco Daniele; Ferrari, Anna Maria
CS Dip. di Sci. Farmaceutiche, Univ. di Modena e Reggio Emilia, Modena, 41100, Italy
SO Journal of Medicinal Chemistry (2003), 46(14), 2834-2845
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
AB Plasmodium falciparum dihydrofolate reductase (PfDHFR) is an important target for antimalarial chemotherapy. Unfortunately, the emergence of resistant parasites has significantly reduced the efficiency of classical antifolate drugs such as cycloguanil and pyrimethamine. In this study, an approach toward mol. docking of the structures contained in the Available Chems. Directory (ACD) database to search for novel inhibitors of PfDHFR is described. Instead of docking the whole ACD database, specific 3D pharmacophores were used to reduce the number of mols. in the database by excluding a priori mols. lacking essential requisites for the interaction with the enzyme and potentially unable to bind to resistant mutant PfDHFRs. The mols. in the resulting "focused" database were then evaluated with regard to their fit into the PfDHFR active site. Twelve new compds. whose structures are completely unrelated to known antifolates were identified and found to inhibit, at the micromolar level, the wild-type and resistant mutant PfDHFRs harboring A16V, S108T, A16V + S108T, C59R + S108N + I164L, and N51I + C59R + S108N + I164L mutations. Depending on the functional groups interacting with key active site residues of the enzyme, these inhibitors were classified as N-hydroxyamidine, hydrazine, urea, and thiourea derivs. The structures of the complexes of the most active inhibitors, as refined by mol. mechanics and mol. dynamics, provided insight into how these inhibitors bind to the enzyme and suggested prospects for these novel derivs. as potential leads for antimalarial development.
IT **263761-85-9**
RL: PRP (Properties)
(docking and database screening reveal new classes of Plasmodium falciparum dihydrofolate reductase inhibitors)
RN 263761-85-9 CAPLUS
CN 2-Pyrimidinamine, 4-[2-[(4-chlorophenoxy)methyl]-4-thiazolyl]- (9CI) (CA INDEX NAME)



RE.CNT 56 THERE ARE 56 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

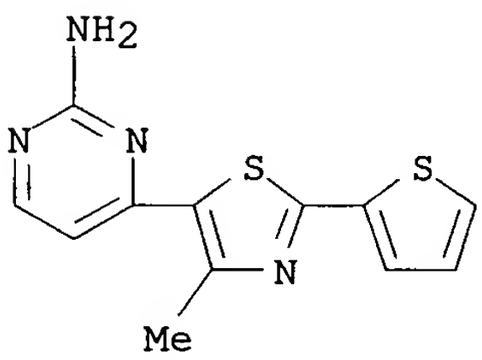
L6 ANSWER 12 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2003:154244 CAPLUS
DN 138:187786
TI Preparation of pyrimidinylthiazoles as antiinflammatories.
IN Love, Christopher John; Van Wauwe, Jean Pierre Frans; De Brabander, Marc J.; Moses, Roger Clive; Goncharenko, Mykhalyo; Cooymans, Ludwig Paul; Vandermaesen, Nele; Diels, Gaston Stanislas Marcella; Sibley, Anthony William; Noula, Caterina
PA Janssen Pharmaceutica N.V., Belg.
SO PCT Int. Appl., 97 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003015776	A1	20030227	WO 2002-EP8956	20020809
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2451981	AA	20030227	CA 2002-2451981	20020809
	EP 1418911	A1	20040519	EP 2002-767360	20020809
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
	BR 2002011910	A	20041019	BR 2002-11910	20020809
	JP 2005504767	T2	20050217	JP 2003-520735	20020809
	US 2004254192	A1	20041216	US 2004-486820	20040211
	NO 2004000631	A	20040312	NO 2004-631	20040212
PRAI	EP 2001-203088	A	20010813		
	WO 2002-EP8956	W	20020809		

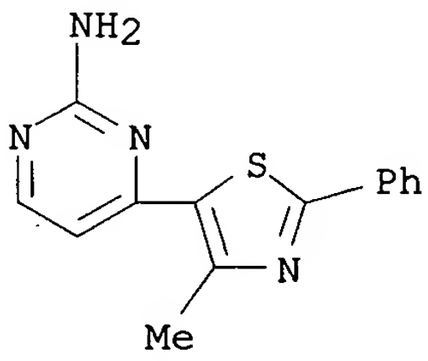
OS MARPAT 138:187786
AB Use of title compds. [I; Z = halo, alkyl; hydroxyalkyl, carboxyalkyl, cyanoalkyl, aminoalkyl, aminoalkyl, aminocarbonylalkyl, alkoxyalkyl, polyhaloalkyl, alkoxy, cyano, amino, aminocarbonyl, aminocarbonyl, alkyloxycarbonyl, alkylcarbonyloxy, etc.; Q = (substituted) cycloalkyl, furyl, thienyl, pyrrolyl, oxazolyl, thiazolyl, imidazolyl, pyridyl, pyrimidinyl, pyrazinyl, pyridazinyl, benzothiazolyl, benzoxazolyl, benzimidazolyl, indazolyl, imidazopyridyl, etc.; L = substituted Ph, (substituted) monocyclic 5-6 membered partially saturated or aromatic heterocycle, bicyclic partially saturated or aromatic heterocycle] for the manufacture of a medicament for the prevention or the treatment of diseases mediated through tumor necrosis factor-alpha (TNF-α) and/or interleukin-12 (IL-12), is claimed. Thus, Me 3-[4-methyl-2-(4-trifluoromethylphenyl)thiazol-5-yl]-3-oxopropanoate was added to a mixture prepared from NaOMe and diguanidine carbonate in EtOCH2CH2OH followed by 3 h reflux to give 76% 5- (2-aminopyrimidin-4-yl)-4-methyl-2-(4-trifluoromethylphenyl)thiazole. The latter at 10-8 M gave 92% inhibition of IL-12p70.
IT **263386-01-2P 499795-77-6P 499795-81-2P**

499795-83-4P 499795-85-6P 499795-87-8P
499795-89-0P 499795-91-4P 499795-93-6P
499795-95-8P 499795-96-9P 499795-97-0P
499795-98-1P 499795-99-2P 499796-00-8P
499796-01-9P 499796-07-5P 499796-09-7P
499796-10-0P 499796-14-4P 499796-15-5P
499796-16-6P 499796-18-8P 499796-20-2P
499796-22-4P 499796-45-1P 499796-46-2P
499796-47-3P 499796-48-4P 499796-49-5P
499796-50-8P 499796-51-9P 499796-52-0P
499796-53-1P 499796-54-2P 499796-55-3P
499796-56-4P 499796-57-5P 499796-58-6P
499796-59-7P 499796-60-0P 499796-61-1P
499796-62-2P 499796-63-3P 499796-64-4P
499796-65-5P 499796-66-6P 499796-67-7P
499796-68-8P 499796-69-9P 499796-70-2P
499796-97-3P 499796-98-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrimidinylthiazoles as antiinflammatories)

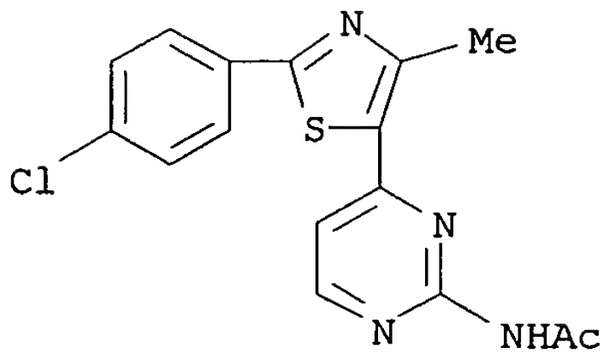
RN 263386-01-2 CAPLUS
CN 2-Pyrimidinamine, 4-[4-methyl-2-(2-thienyl)-5-thiazolyl]- (9CI) (CA INDEX NAME)



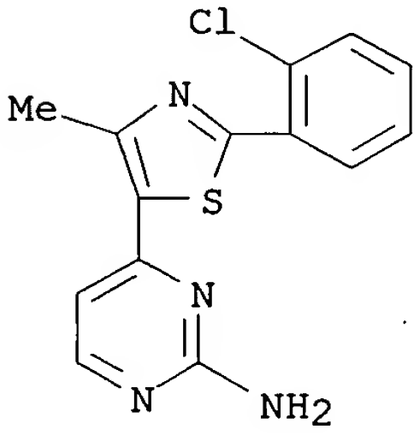
RN 499795-77-6 CAPLUS
CN 2-Pyrimidinamine, 4-(4-methyl-2-phenyl-5-thiazolyl)- (9CI) (CA INDEX NAME)



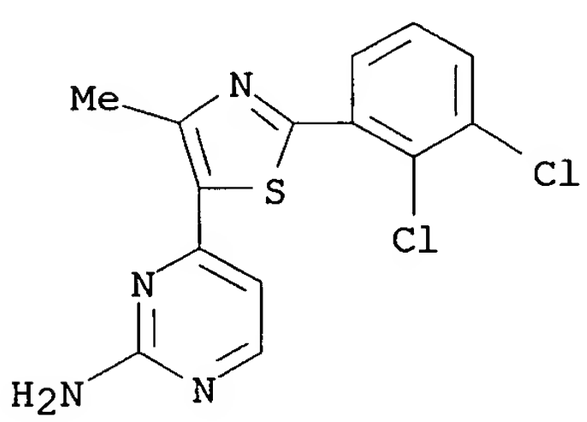
RN 499795-81-2 CAPLUS
CN Acetamide, N-[4-[2-(4-chlorophenyl)-4-methyl-5-thiazolyl]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



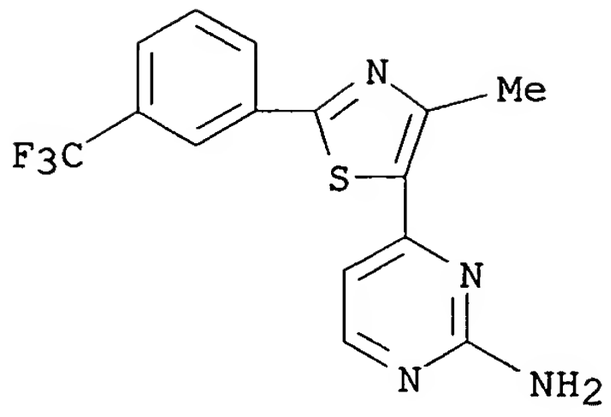
RN 499795-83-4 CAPLUS
CN 2-Pyrimidinamine, 4-[2-(2-chlorophenyl)-4-methyl-5-thiazolyl]- (9CI) (CA INDEX NAME)



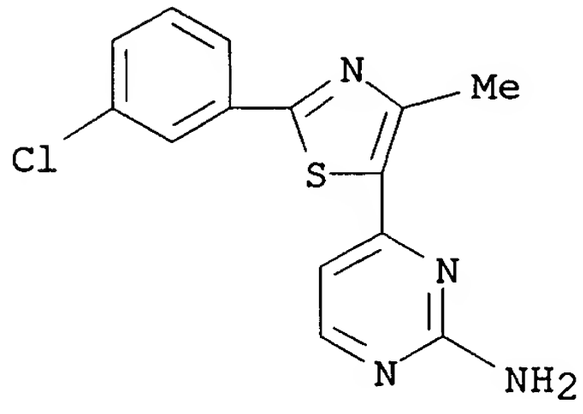
RN 499795-85-6 CAPLUS
CN 2-Pyrimidinamine, 4-[2-(2,3-dichlorophenyl)-4-methyl-5-thiazolyl]- (9CI) (CA INDEX NAME)



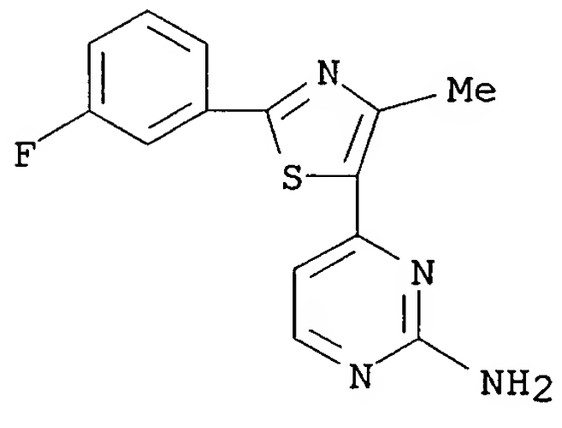
RN 499795-87-8 CAPLUS
CN 2-Pyrimidinamine, 4-[4-methyl-2-[3-(trifluoromethyl)phenyl]-5-thiazolyl]- (9CI) (CA INDEX NAME)



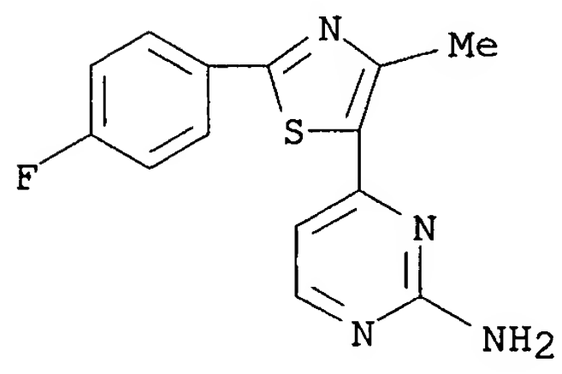
RN 499795-89-0 CAPLUS
CN 2-Pyrimidinamine, 4-[2-(3-chlorophenyl)-4-methyl-5-thiazolyl]- (9CI) (CA INDEX NAME)



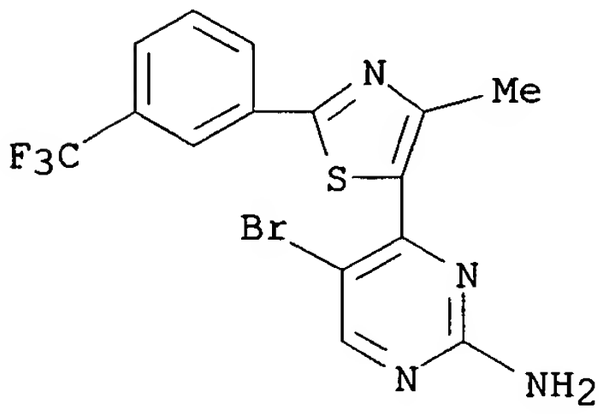
RN 499795-91-4 CAPLUS
CN 2-Pyrimidinamine, 4-[2-(3-fluorophenyl)-4-methyl-5-thiazolyl]- (9CI) (CA INDEX NAME)



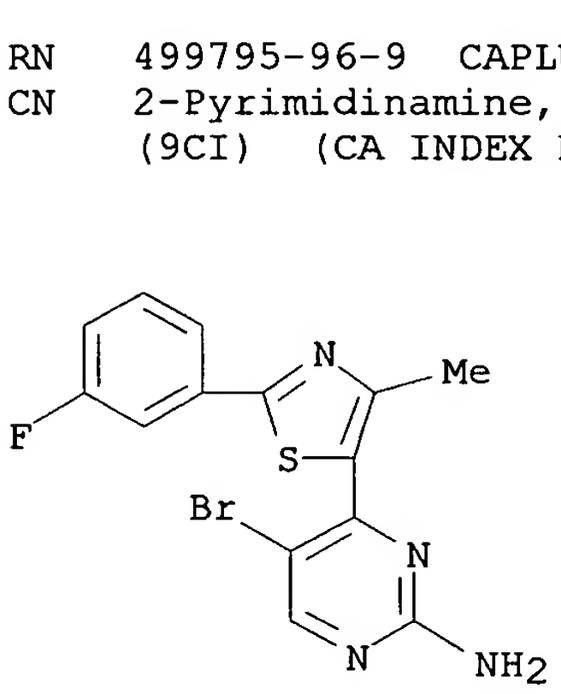
RN 499795-93-6 CAPLUS
CN 2-Pyrimidinamine, 4-[2-(4-fluorophenyl)-4-methyl-5-thiazolyl]- (9CI) (CA INDEX NAME)



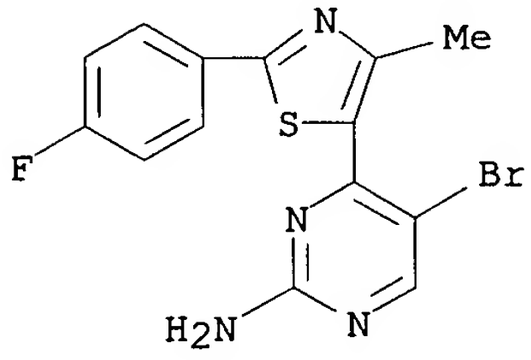
RN 499795-95-8 CAPLUS
CN 2-Pyrimidinamine, 5-bromo-4-[4-methyl-2-[3-(trifluoromethyl)phenyl]-5-thiazolyl]- (9CI) (CA INDEX NAME)



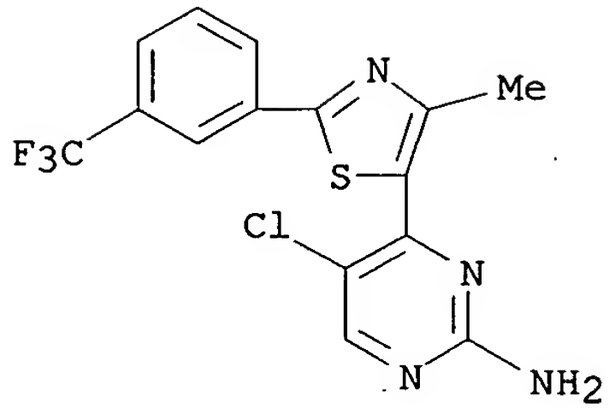
RN 499795-96-9 CAPLUS
CN 2-Pyrimidinamine, 5-bromo-4-[2-(3-fluorophenyl)-4-methyl-5-thiazolyl]- (9CI) (CA INDEX NAME)



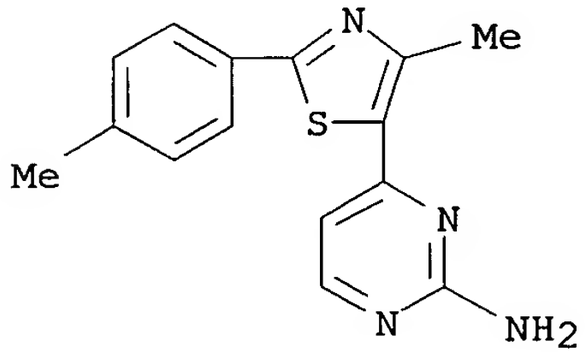
RN 499795-97-0 CAPLUS
CN 2-Pyrimidinamine, 5-bromo-4-[2-(4-fluorophenyl)-4-methyl-5-thiazolyl]- (9CI) (CA INDEX NAME)



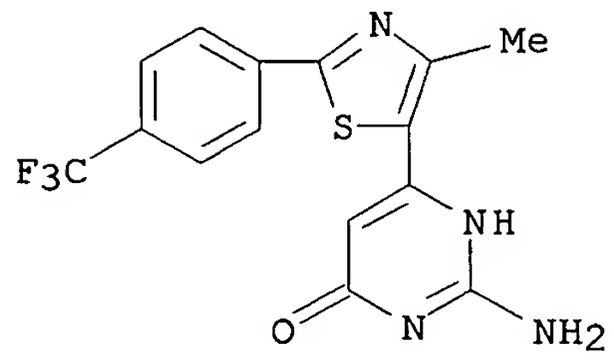
RN 499795-98-1 CAPLUS
CN 2-Pyrimidinamine, 5-chloro-4-[4-methyl-2-[3-(trifluoromethyl)phenyl]-5-thiazolyl]- (9CI) (CA INDEX NAME)



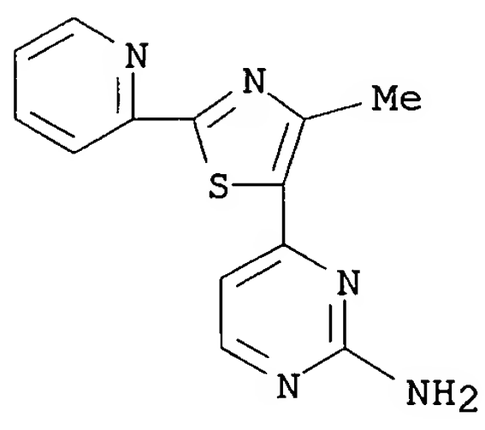
RN 499795-99-2 CAPLUS
CN 2-Pyrimidinamine, 4-[4-methyl-2-(4-methylphenyl)-5-thiazolyl]- (9CI) (CA INDEX NAME)



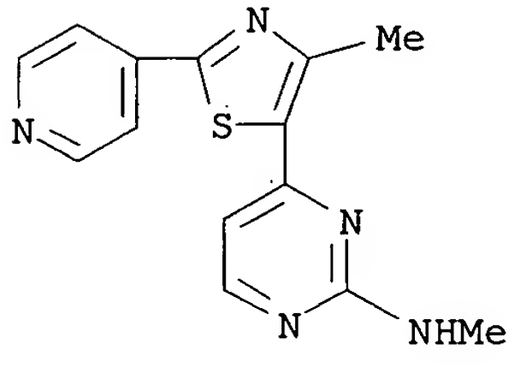
RN 499796-00-8 CAPLUS
CN 4(1H)-Pyrimidinone, 2-amino-6-[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]- (9CI) (CA INDEX NAME)



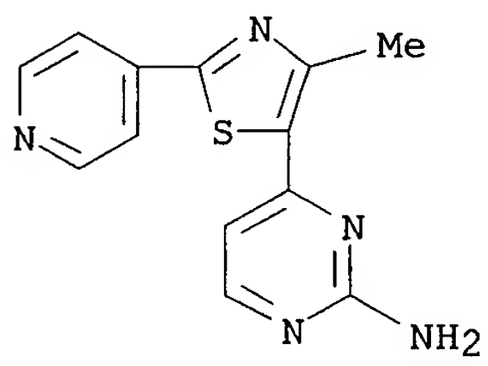
RN 499796-01-9 CAPLUS
CN 2-Pyrimidinamine, 4-[4-methyl-2-(2-pyridinyl)-5-thiazolyl]- (9CI) (CA INDEX NAME)



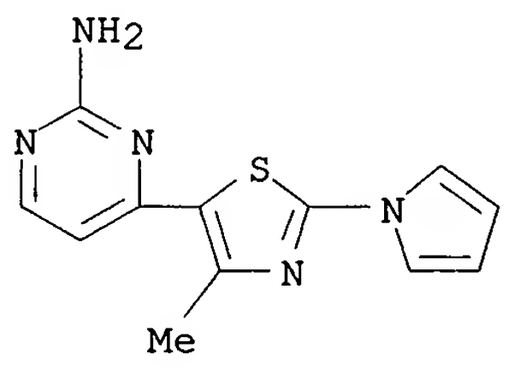
RN 499796-07-5 CAPLUS
CN 2-Pyrimidinamine, N-methyl-4-[4-methyl-2-(4-pyridinyl)-5-thiazolyl]- (9CI) (CA INDEX NAME)



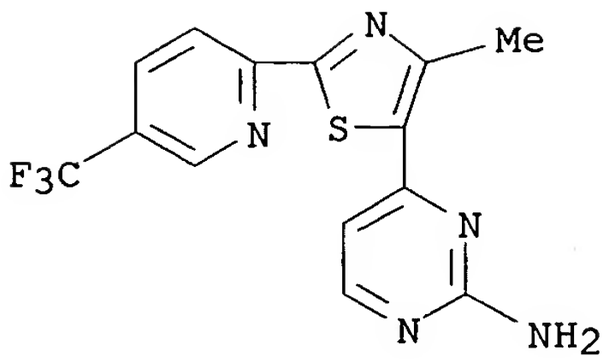
RN 499796-09-7 CAPLUS
CN 2-Pyrimidinamine, 4-[4-methyl-2-(4-pyridinyl)-5-thiazolyl]- (9CI) (CA INDEX NAME)



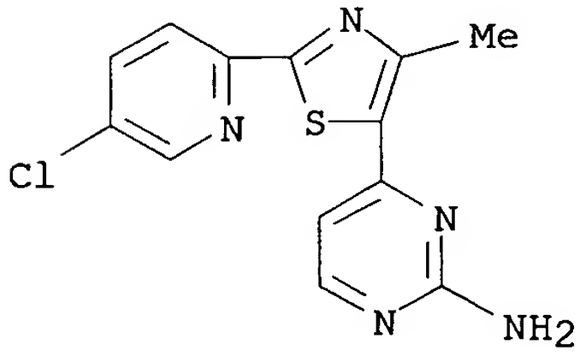
RN 499796-10-0 CAPLUS
CN 2-Pyrimidinamine, 4-[4-methyl-2-(1H-pyrrol-1-yl)-5-thiazolyl]- (9CI) (CA INDEX NAME)



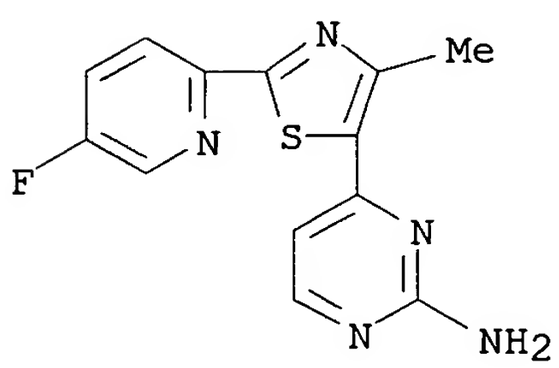
RN 499796-14-4 CAPLUS
CN 2-Pyrimidinamine, 4-[4-methyl-2-[5-(trifluoromethyl)-2-pyridinyl]-5-thiazolyl]- (9CI) (CA INDEX NAME)



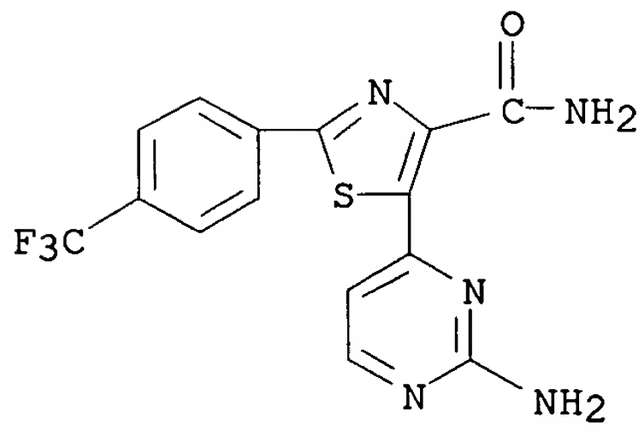
RN 499796-15-5 CAPLUS
CN 2-Pyrimidinamine, 4-[2-(5-chloro-2-pyridinyl)-4-methyl-5-thiazolyl]- (9CI) (CA INDEX NAME)



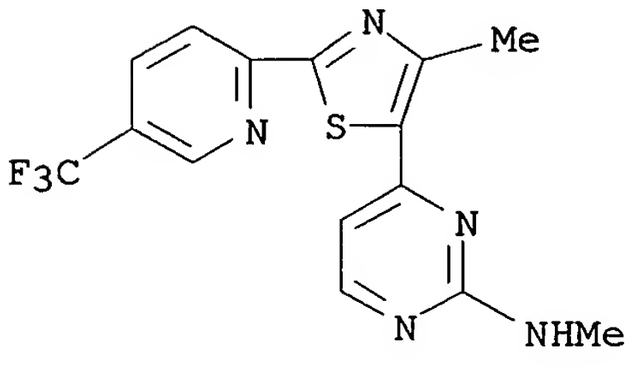
RN 499796-16-6 CAPLUS
CN 2-Pyrimidinamine, 4-[2-(5-fluoro-2-pyridinyl)-4-methyl-5-thiazolyl]- (9CI) (CA INDEX NAME)



RN 499796-18-8 CAPLUS
CN 4-Thiazolecarboxamide, 5-(2-amino-4-pyrimidinyl)-2-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

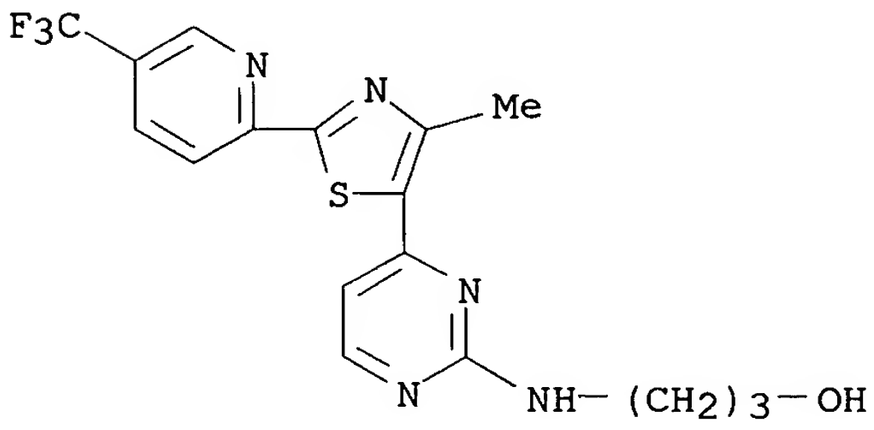


RN 499796-20-2 CAPLUS
CN 2-Pyrimidinamine, N-methyl-4-[4-methyl-2-[5-(trifluoromethyl)-2-pyridinyl]-5-thiazolyl]-, monohydrochloride (9CI) (CA INDEX NAME)

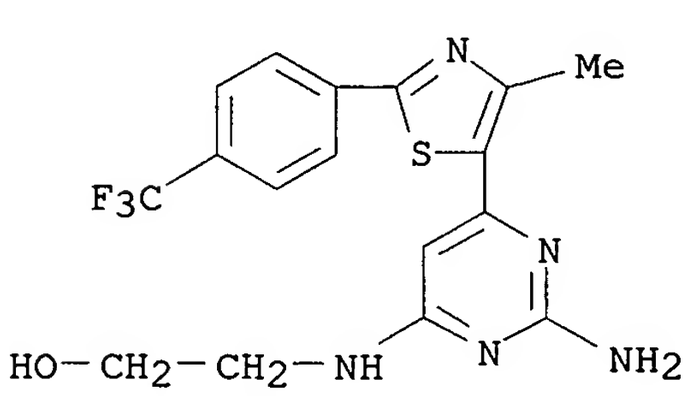


● HCl

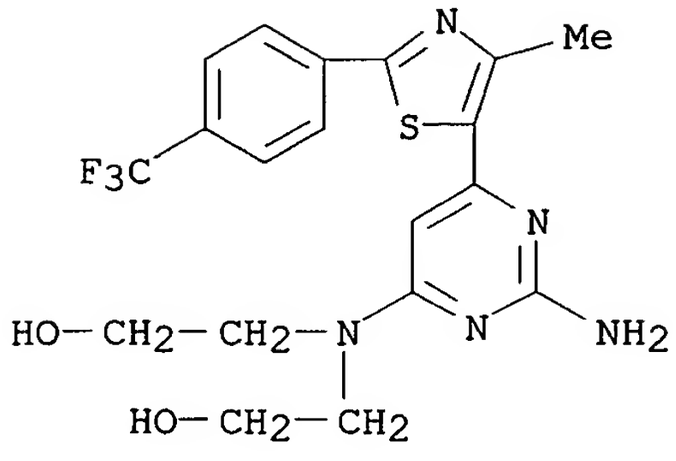
RN 499796-22-4 CAPLUS
CN 1-Propanol, 3-[[4-[4-methyl-2-[5-(trifluoromethyl)-2-pyridinyl]-5-thiazolyl]-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



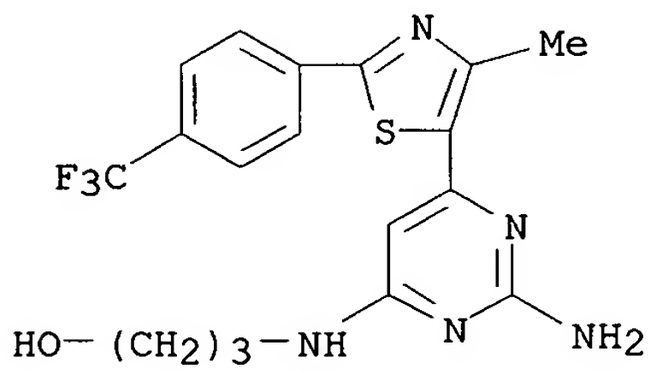
RN 499796-45-1 CAPLUS
CN Ethanol, 2-[[2-amino-6-[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]-4-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



RN 499796-46-2 CAPLUS
CN Ethanol, 2,2'-[[2-amino-6-[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]-4-pyrimidinyl]imino]bis- (9CI) (CA INDEX NAME)

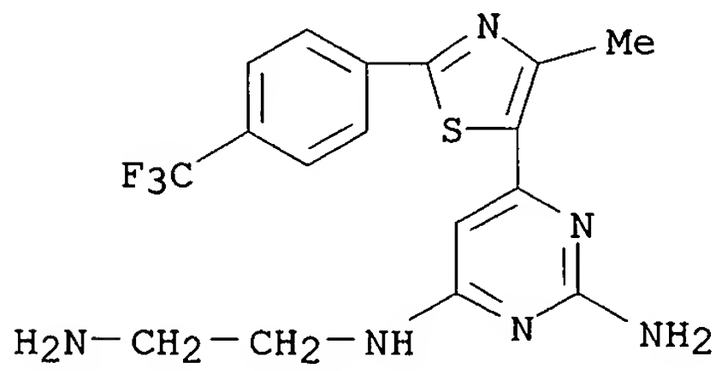


RN 499796-47-3 CAPLUS
CN 1-Propanol, 3-[[2-amino-6-[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]-4-pyrimidinyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)

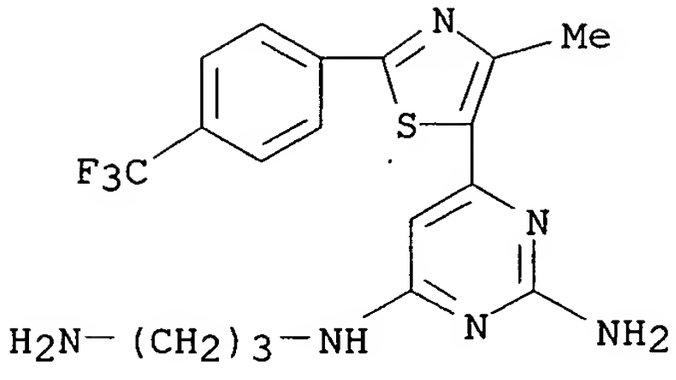


● HCl

RN 499796-48-4 CAPLUS
CN 2,4-Pyrimidinediamine, N4-(2-aminoethyl)-6-[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]- (9CI) (CA INDEX NAME)

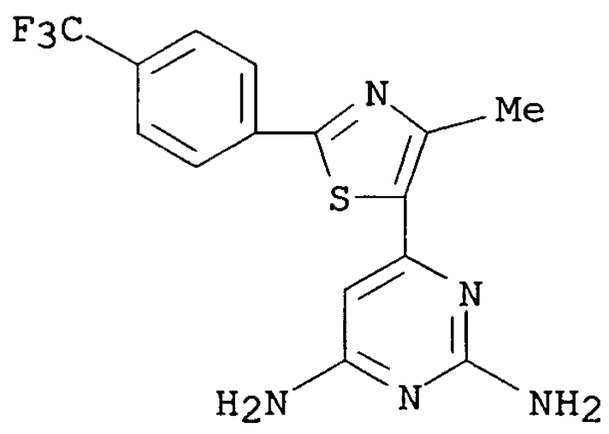


RN 499796-49-5 CAPLUS
CN 2,4-Pyrimidinediamine, N4-(3-aminopropyl)-6-[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]-, monohydrochloride (9CI) (CA INDEX NAME)

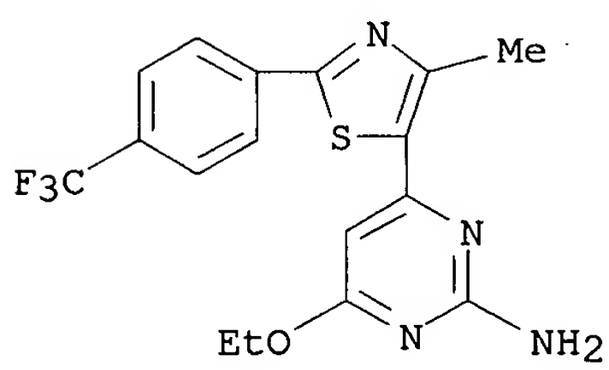


● HCl

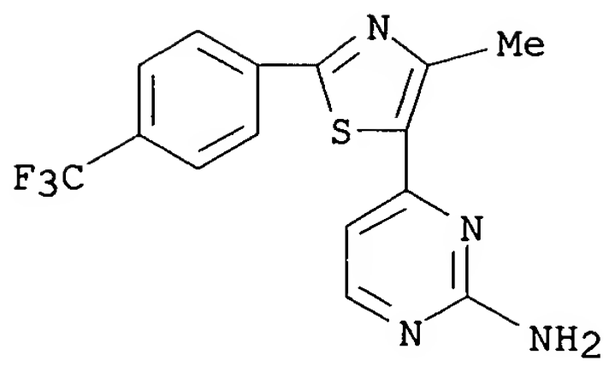
RN 499796-50-8 CAPLUS
CN 2,4-Pyrimidinediamine, 6-[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]- (9CI) (CA INDEX NAME)



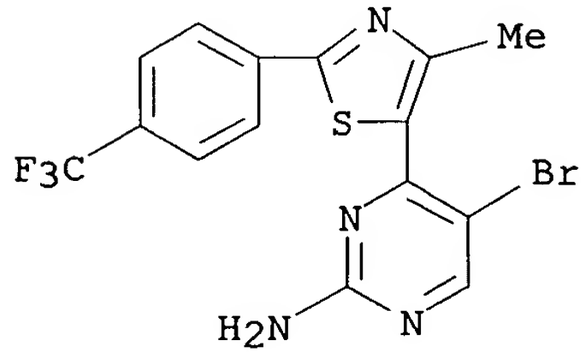
RN 499796-51-9 CAPLUS
CN 2-Pyrimidinamine, 4-ethoxy-6-[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]- (9CI) (CA INDEX NAME)



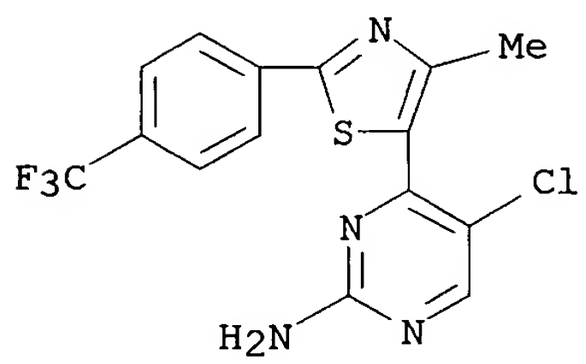
RN 499796-52-0 CAPLUS
CN 2-Pyrimidinamine, 4-[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]- (9CI) (CA INDEX NAME)



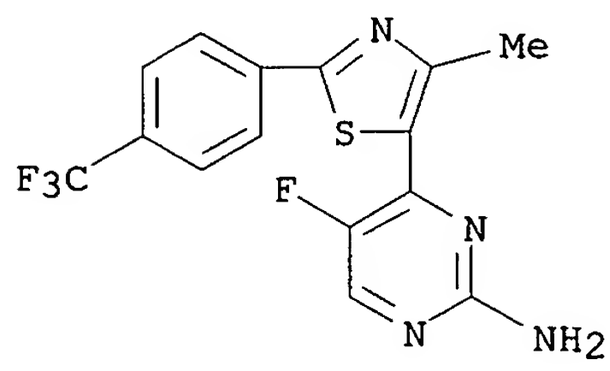
RN 499796-53-1 CAPLUS
CN 2-Pyrimidinamine, 5-bromo-4-[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]- (9CI) (CA INDEX NAME)



RN 499796-54-2 CAPLUS
CN 2-Pyrimidinamine, 5-chloro-4-[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]- (9CI) (CA INDEX NAME)

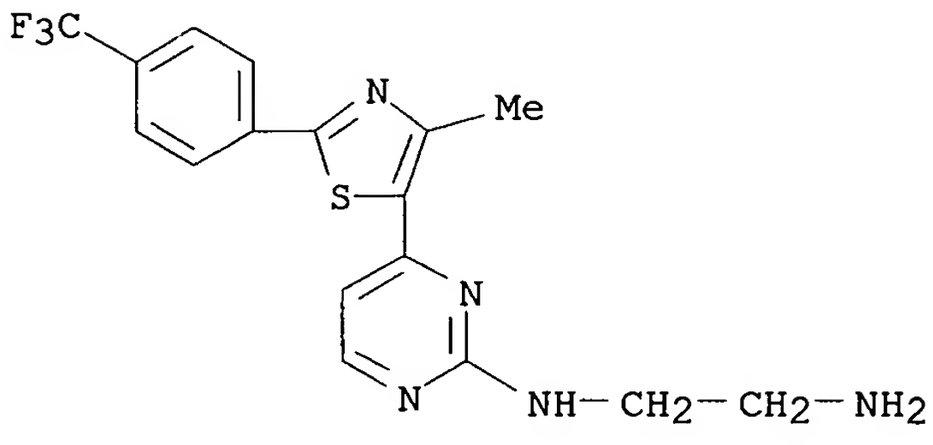


RN 499796-55-3 CAPLUS
CN 2-Pyrimidinamine, 5-fluoro-4-[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]- (9CI) (CA INDEX NAME)

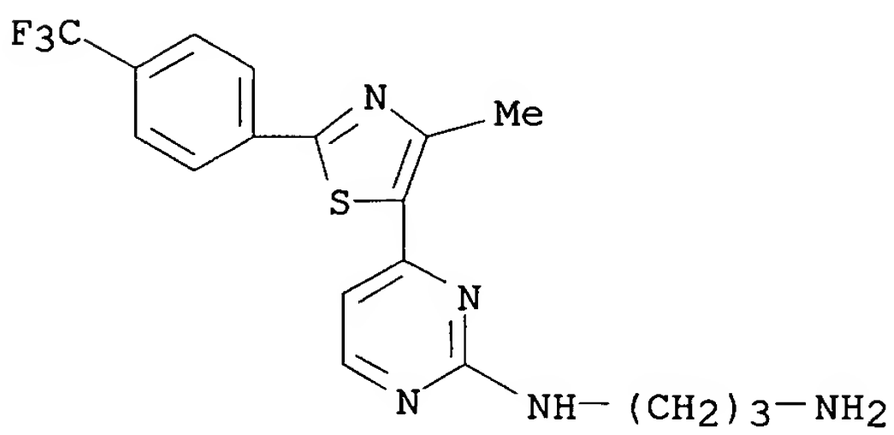


RN 499796-56-4 CAPLUS
CN 1,2-Ethanediamine, N-[4-[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-

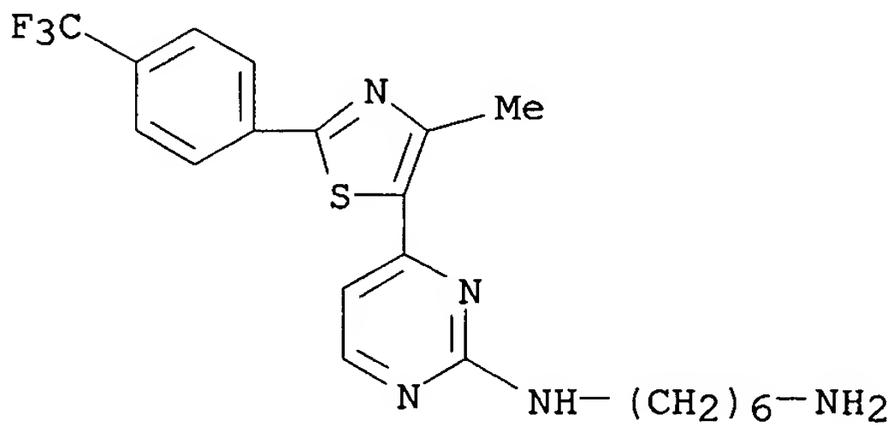
thiazolyl]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



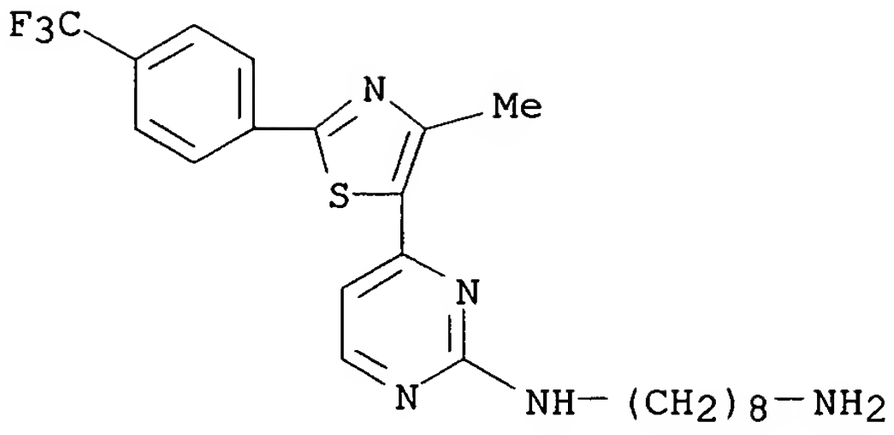
RN 499796-57-5 CAPLUS
CN 1,3-Propanediamine, N-[4-[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



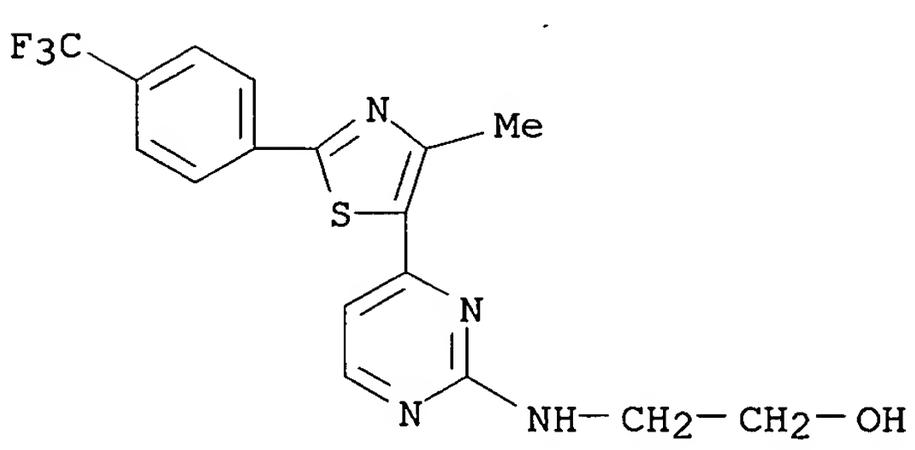
RN 499796-58-6 CAPLUS
CN 1,6-Hexanediamine, N-[4-[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



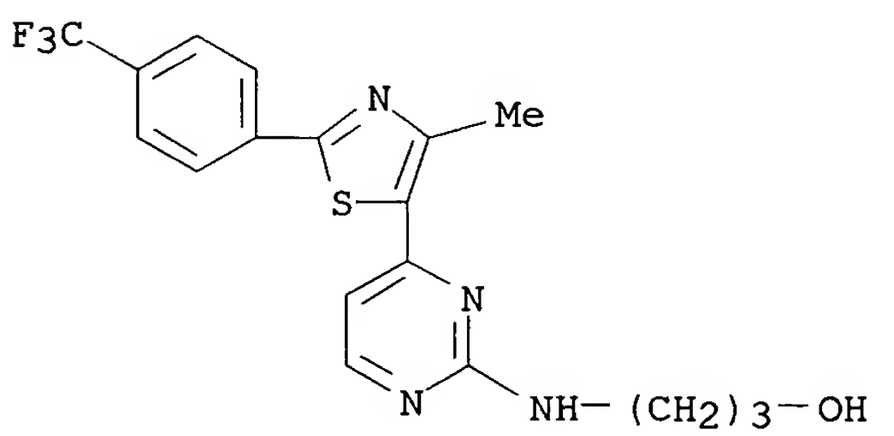
RN 499796-59-7 CAPLUS
CN 1,8-Octanediamine, N-[4-[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



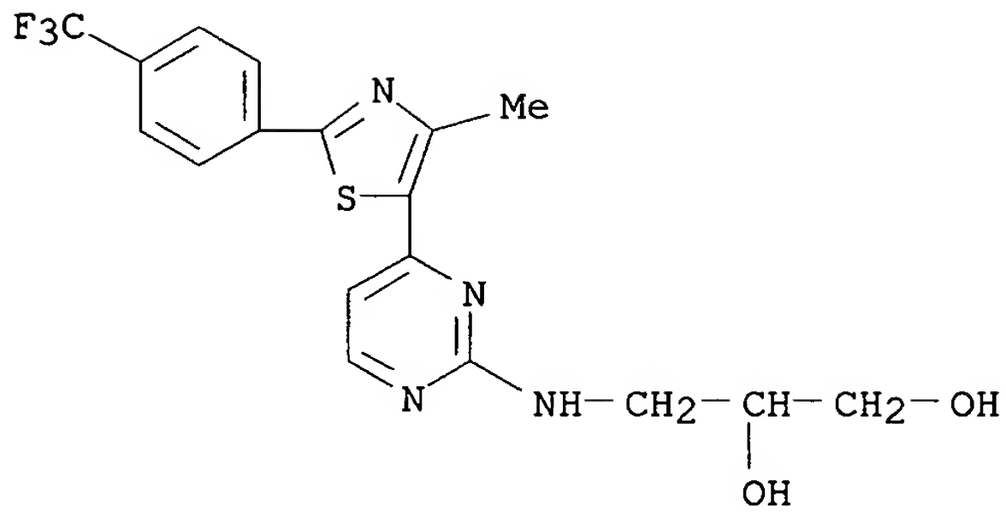
RN 499796-60-0 CAPLUS
CN Ethanol, 2-[[4-[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



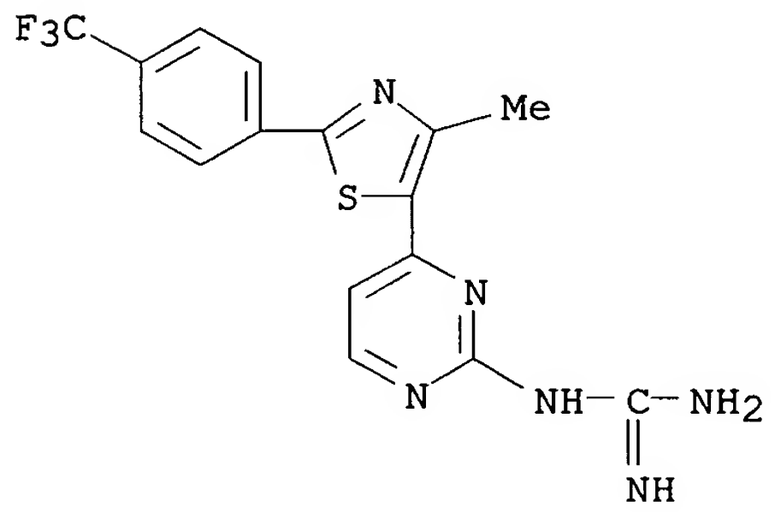
RN 499796-61-1 CAPLUS
CN 1-Propanol, 3-[[4-[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



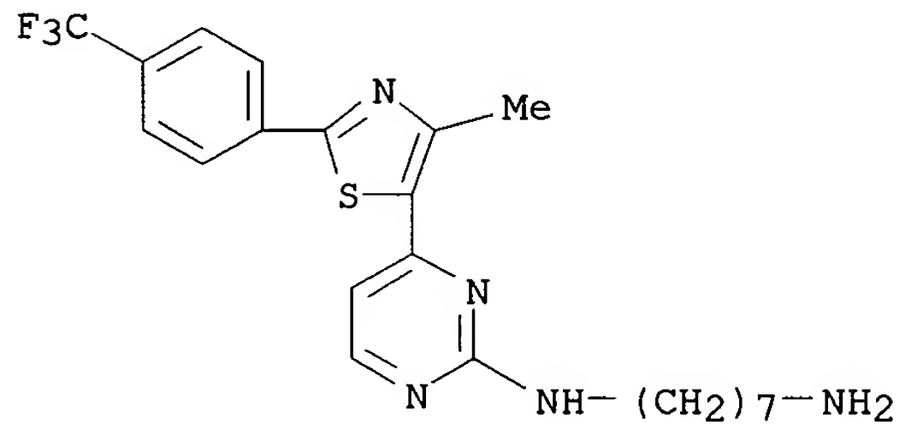
RN 499796-62-2 CAPLUS
CN 1,2-Propanediol, 3-[[4-[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



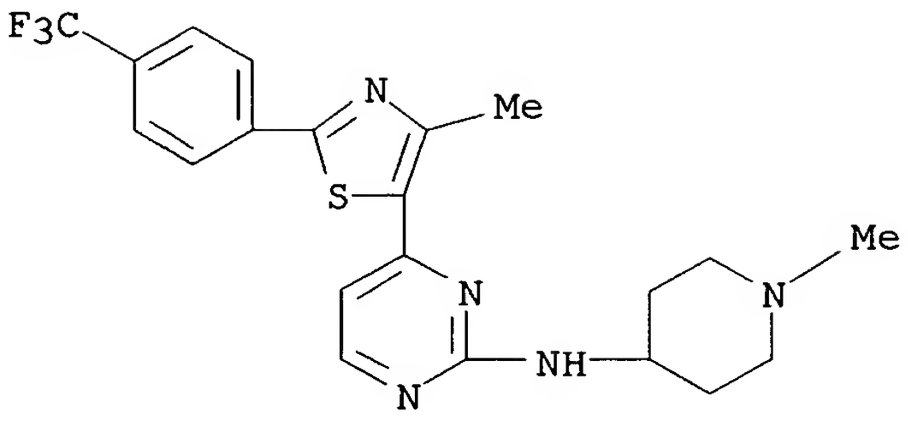
RN 499796-63-3 CAPLUS
CN Guanidine, [4-[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



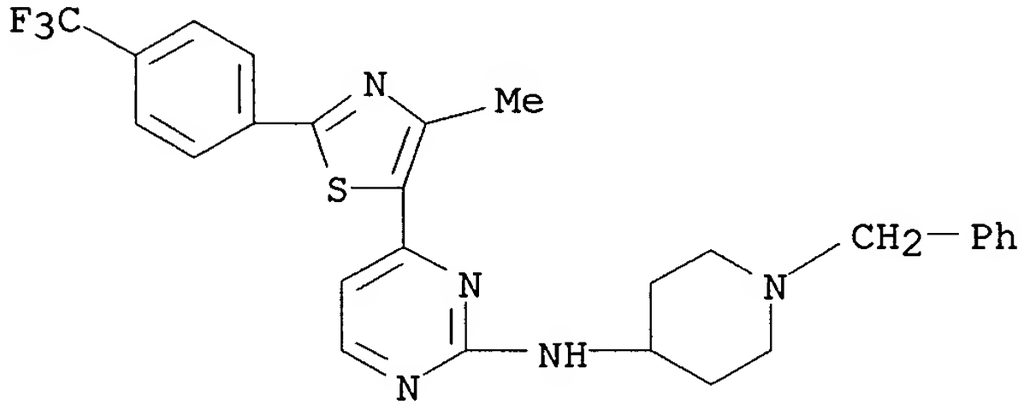
RN 499796-64-4 CAPLUS
CN 1,7-Heptanediamine, N-[4-[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



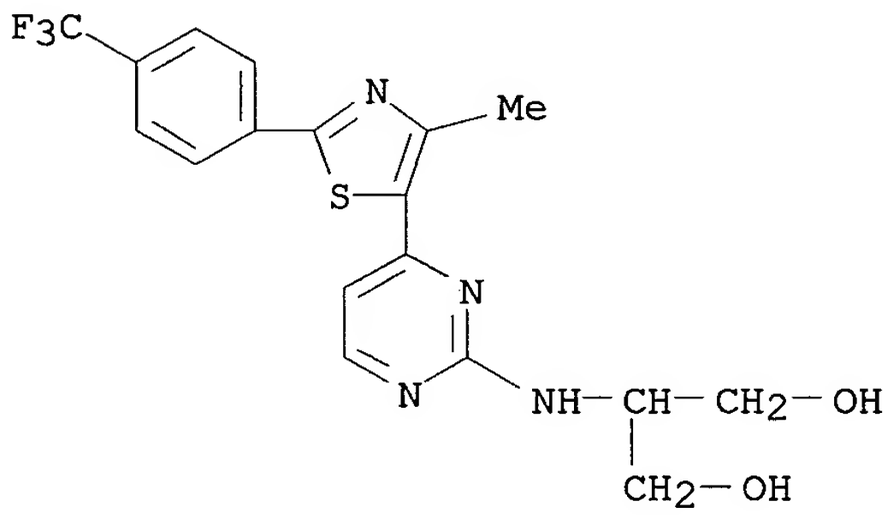
RN 499796-65-5 CAPLUS
CN 2-Pyrimidinamine, N-(1-methyl-4-piperidinyl)-4-[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]- (9CI) (CA INDEX NAME)



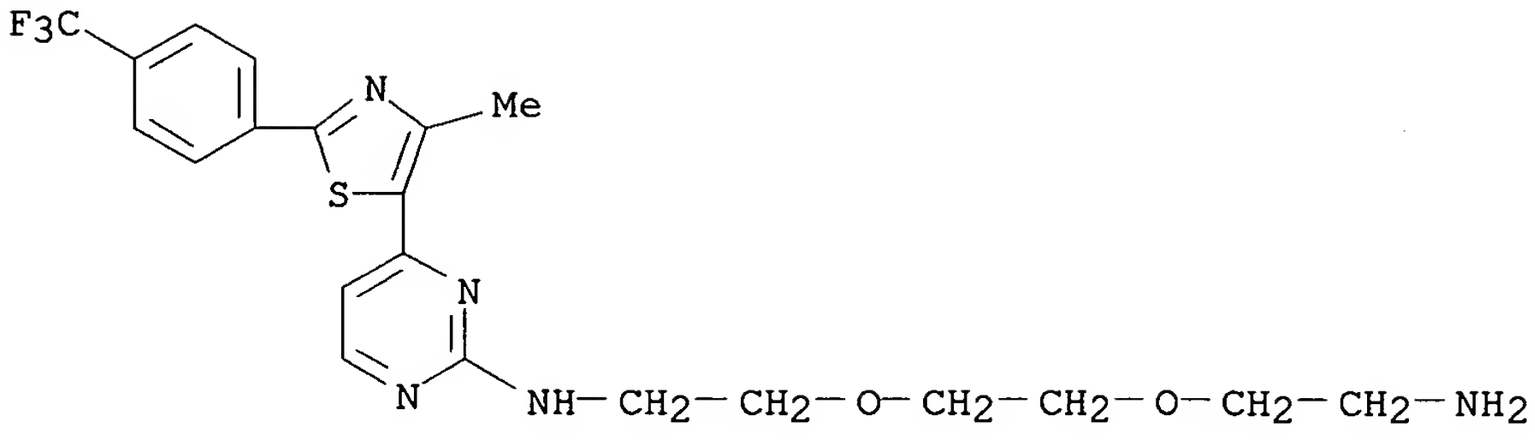
RN 499796-66-6 CAPLUS
CN 2-Pyrimidinamine, 4-[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]-N-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



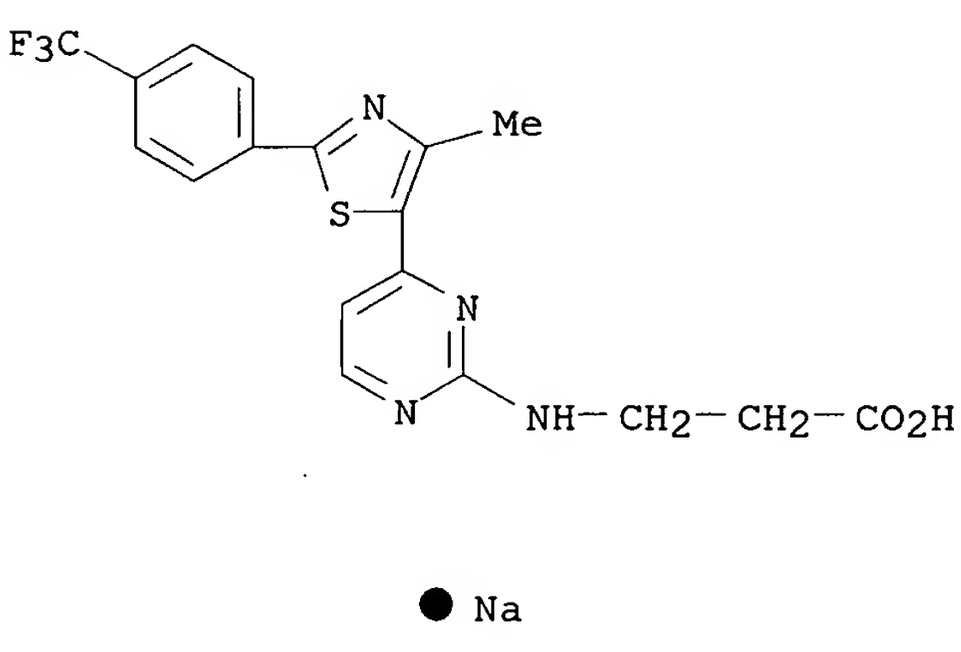
RN 499796-67-7 CAPLUS
CN 1,3-Propanediol, 2-[[4-[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



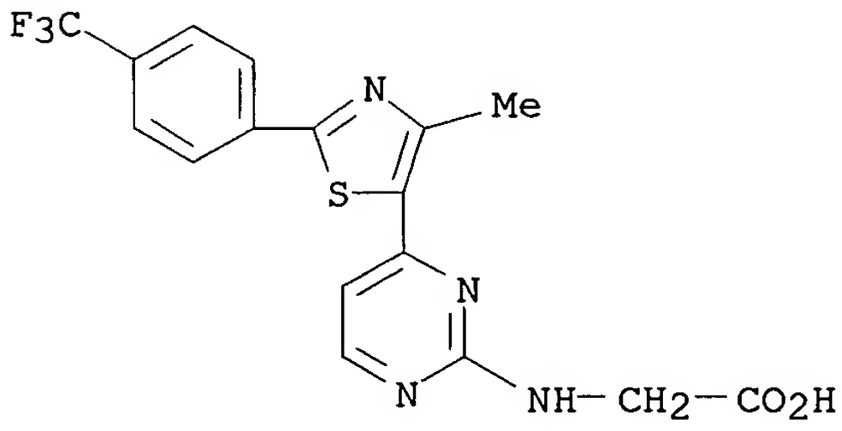
RN 499796-68-8 CAPLUS
CN 2-Pyrimidinamine, N-[2-[2-(2-aminoethoxy)ethoxy]ethyl]-4-[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]- (9CI) (CA INDEX NAME)



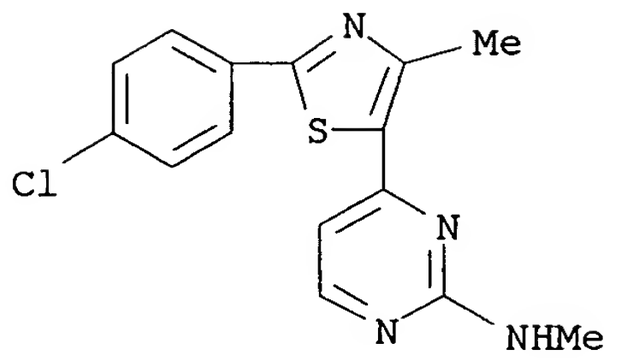
RN 499796-69-9 CAPLUS
CN β-Alanine, N-[4-[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]-2-pyrimidinyl]-, monosodium salt (9CI) (CA INDEX NAME)



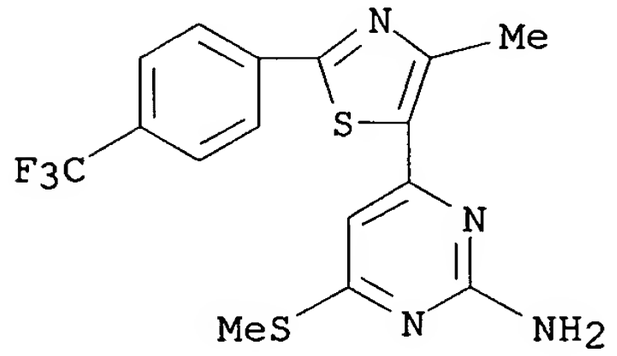
RN 499796-70-2 CAPLUS
CN Glycine, N-[4-[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



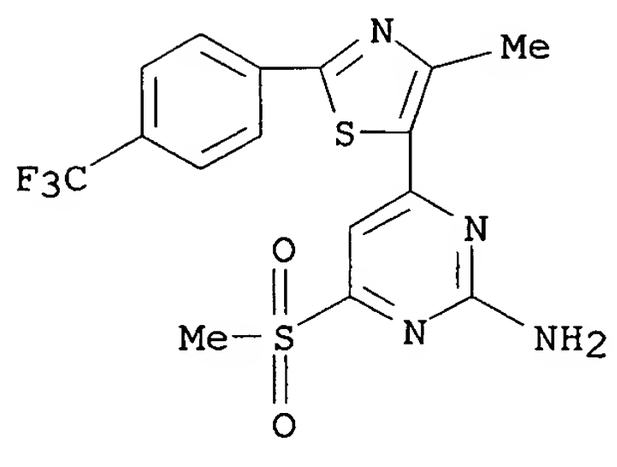
RN 499796-97-3 CAPLUS
CN 2-Pyrimidinamine, 4-[2-(4-chlorophenyl)-4-methyl-5-thiazolyl]-N-methyl- (9CI) (CA INDEX NAME)



RN 499796-98-4 CAPLUS
CN 2-Pyrimidinamine, 4-(methylthio)-6-[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]- (9CI) (CA INDEX NAME)



IT **499796-96-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrimidinylthiazoles as antiinflammatories)
RN 499796-96-2 CAPLUS
CN 2-Pyrimidinamine, 4-(methylsulfonyl)-6-[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]- (9CI) (CA INDEX NAME)

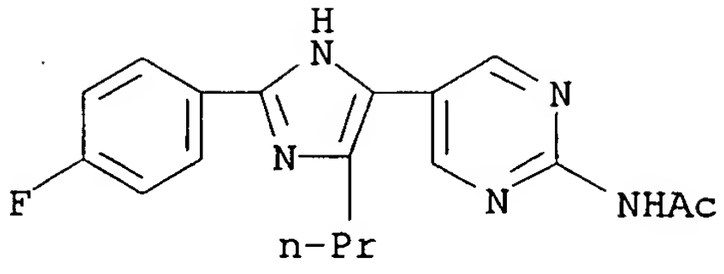


RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2002:813909 CAPLUS
DN 137:325416
TI Preparation of substituted imidazoles/oxazoles/thiazoles as large conductance calcium-activated K channel openers
IN Hongu, Mitsuya; Hosaka, Thoshihiro; Kashiwagi, Toshihiko; Kono, Rikako; Kobayashi, Hiroyuki
PA Tanabe Seiyaku Co., Ltd., Japan
SO PCT Int. Appl., 302 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002083111	A2	20021024	WO 2002-JP3723	20020415
	WO 2002083111	A3	20040415		
	W: AE, AG, AL, AU, BA, BB, BG, BR, BZ, CA, CN, CO, CR, CU, CZ, DM, DZ, EC, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, NO, NZ, OM, PH, PL, RO, SG, SI, SK, TN, TT, UA, US, UZ, VN, YU, ZA				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2444596	AA	20021024	CA 2002-2444596	20020415
	CN 1503786	A	20040609	CN 2002-808370	20020415
	EP 1432690	A2	20040630	EP 2002-714577	20020415
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	BR 2002008956	A	20040713	BR 2002-8956	20020415
	JP 2004531522	T2	20041014	JP 2002-580915	20020415
	US 2004127527	A1	20040701	US 2004-474850	20040210
PRAI	JP 2001-116436	A	20010416		
	JP 2001-249671	A	20010820		
	WO 2002-JP3723	W	20020415		

OS MARPAT 137:325416
AB The title compds. [I; X = NR4, O, S; R1, R2 = H, halo, CO2H, etc.; R3 = aryl, heterocyclyl, alkyl; R4 = H, alkyl], useful in the prophylaxis and/or treatment for pollakiuria or urinary incontinence, were prepared Thus, reacting 5-ethyl-2-iodo-4-(3-pyridyl)imidazole with 3-(hydroxymethyl)thiophene-2-boric acid in the presence of Pd(PPh3)4 and aqueous 2M Na2CO3 in dimethoxyethane afforded I.2HCl [X = NH; R1 = Et; R2 = 3-pyridyl; R3 = 3-(hydroxymethyl)thien-2-yl] which showed 100% inhibition time of 10-20 min in test on the rhythmic bladder contractions induced by substance P in anesthetized rats.
IT **473683-89-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of imidazoles/oxazoles/thiazoles as large conductance calcium-activated K channel openers)
RN 473683-89-5 CAPLUS
CN Acetamide, N-[5-[2-(4-fluorophenyl)-5-propyl-1H-imidazol-4-yl]-2-pyrimidinyl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

L6 ANSWER 14 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2001:730738 CAPLUS
DN 135:288789
TI 2-Substituted 4-heteroaryl-pyrimidines with activity as inhibitors of cyclin-dependent kinases and their preparation and use in the treatment of proliferative disorders
IN Fischer, Peter Martin; Wang, Shudong
PA Cyclacel Limited, UK
SO PCT Int. Appl., 95 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

Provisional (ii)

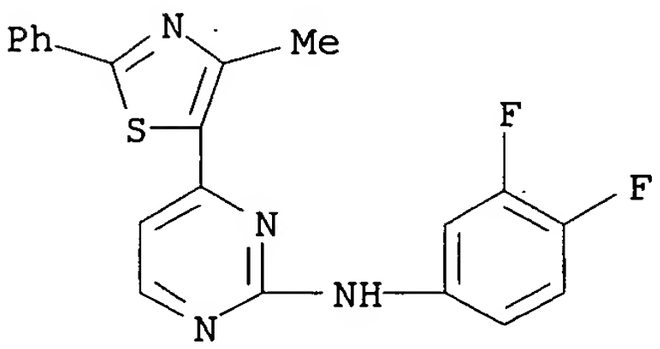
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001072745	A1	20011004	WO 2001-GB1423	20010328
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2401748	AA	20011004	CA 2001-2401748	20010328
	GB 2361236	A1	20011017	GB 2001-7758	20010328
	GB 2361236	B2	20020424		
	EP 1274705	A1	20030115	EP 2001-915544	20010328
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2003528872	T2	20030930	JP 2001-570655	20010328
	NZ 521068	A	20050429	NZ 2001-521068	20010328
	US 2002019404	A1	20020214	US 2001-823075	20010329
	US 6531479	B2	20030311		
	US 2003149057	A1	20030807	US 2002-327540	20021220
	US 6699854	B2	20040302		
PRAI	GB 2000-7636	A	20000329		
	GB 2000-15117	A	20000620		
	WO 2001-GB1423	W	20010328		
	US 2001-823075	A3	20010329		

OS MARPAT 135:288789
AB The invention relates to 2-substituted 4-heteroaryl-pyrimidines I and their pharmaceutically acceptable salts [wherein: X1 = CH and X2 = S; or 1 of X1 and X2 = S and the other = N; Z = NH, NHCO, NHSO2, NHCH2, CH2, CH2CH2, or CH:CH; R1, R2, R3 = H, alkyl, aryl, aralkyl, heterocyclyl, halo, NO2, cyano, OH, alkoxy, aryloxy, NH2, NHR', N(R')(R''), NHCOR', NH(aryl), N(aryl)2, COOH, COOR', COO(aryl), CONH2, CONHR', CON(R')(R''), CONH(aryl), CON(aryl)2, SO3H, SO2NH2, CF3, COR', or CO(aryl), wherein alkyl, aryl, aralkyl, heterocyclyl, and NH(aryl) groups may be further substituted with 1 or more halo, NO2, cyano, OH, OMe, NH2, COOH, CONH2, and/or CF3; at least 1 of R1 and R2 ≠ H when either X1 or X2 = S; R4, R5, R6, R7, R8 = H, (un)substituted alkyl, halo, NO2, cyano, OH, (un)substituted alkoxy, NH2, NHR', alkyl-aryl, alkyl-heteroaryl, NH(C:NH)NH2, N(R')3+, N(R')(R''), COOH, COOR', CONH2, CONHR', CON(R')(R''), SO3H, SO2NH2, CF3, or (CH2)nO(CH2)mNR'R'', (CH2)nCO2(CH2)mOR''' wherein n = 0, 1, 2, or 3; m = 1, 2 or 3; R', R'', R''' = alkyl]. The invention also relates to preparation of I, pharmaceutical

compns. containing them, and their use as inhibitors of cyclin-dependant kinases (CDKs), and hence their use in the treatment of proliferative disorders such as cancer, leukemia, psoriasis and the like. Examples include 22 syntheses and a variety of bioassays. For instance, 4-FC6H4NH2 was treated with HNO3 and cyanamide in EtOH to give 47% 4-FC6H4NHC(:NH)NH2.HNO3 (II). Sep., 5-acetyl-2,4-dimethylthiazole was condensed with N,N-dimethylformamide di-Me acetal to give 79% 3-dimethylamino-1-(2,4-dimethylthiazol-5-yl)propenone (III). Cyclocondensation of II with III in refluxing MeOCH2CH2OH in the presence of NaOH gave title compound IV in 89% yield. In an assay against multiple kinases, IV selectively inhibited CDKs, showing an IC50 of 0.019 μM against CDK2/cyclin E, and 0.47 μM against CDK4/cyclin D1, vs. >20 μM against PCKα and SAPK2a. Addnl. bioassays of I showed antiproliferative and cytotoxic activity.

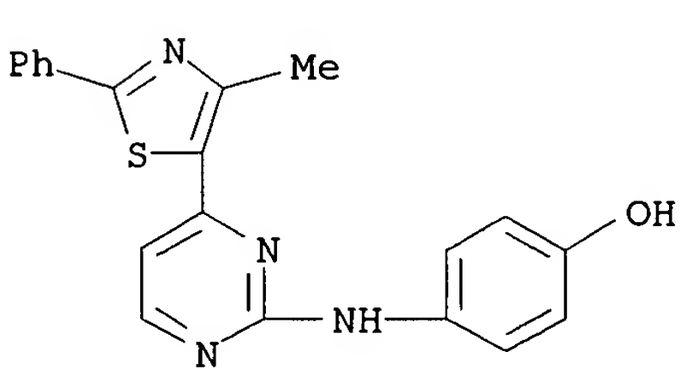
IT **364334-26-9P 364334-27-0P 364334-34-9P**
364334-38-3P 364334-80-5P 364334-82-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of heteroarylpyrimidines as CDK-inhibiting antiproliferative and anticancer agents)

RN 364334-26-9 CAPLUS
CN 2-Pyrimidinamine, N-(3,4-difluorophenyl)-4-(4-methyl-2-phenyl-5-thiazolyl)- (9CI) (CA INDEX NAME)

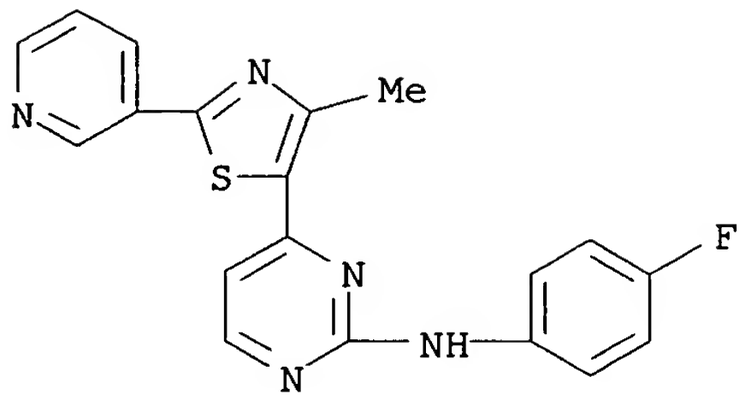


Provino

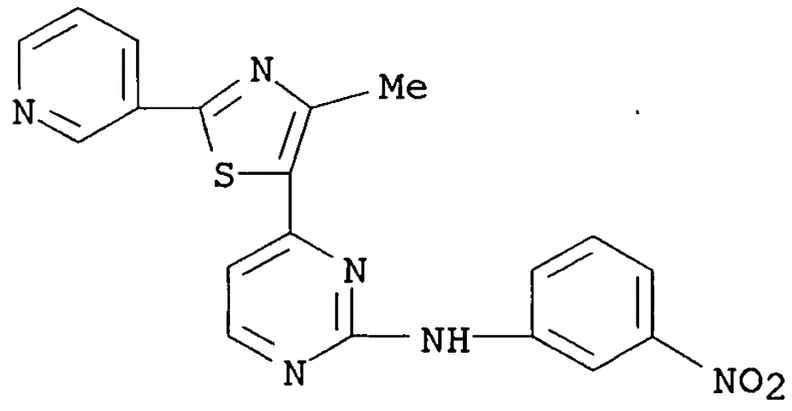
RN 364334-27-0 CAPLUS
CN Phenol, 4-[[4-(4-methyl-2-phenyl-5-thiazolyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



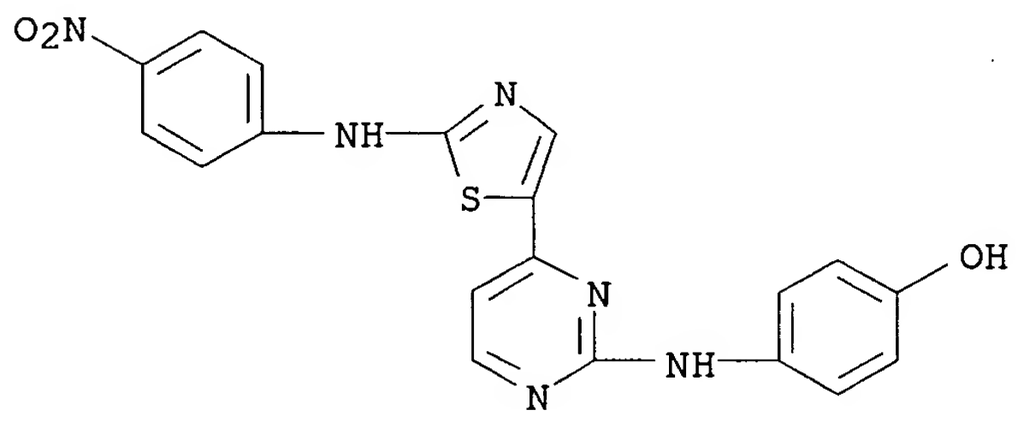
RN 364334-34-9 CAPLUS
CN 2-Pyrimidinamine, N-(4-fluorophenyl)-4-[4-methyl-2-(3-pyridinyl)-5-thiazolyl]- (9CI) (CA INDEX NAME)



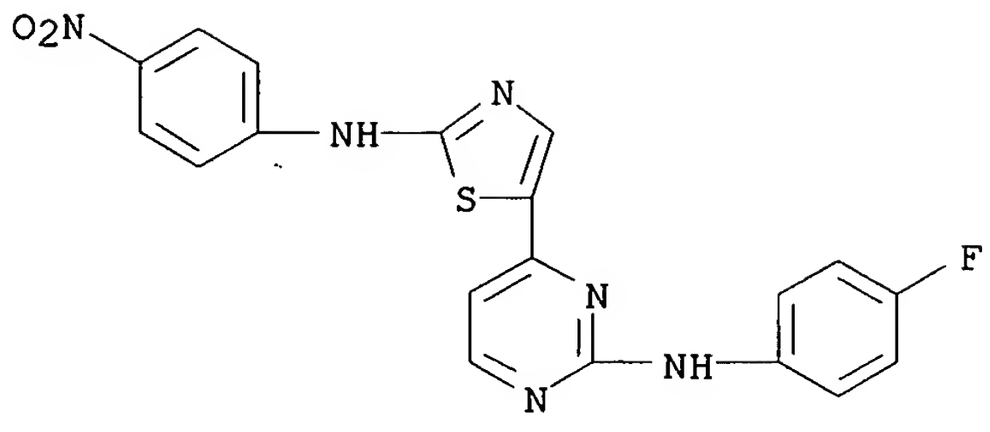
RN 364334-38-3 CAPLUS
CN 2-Pyrimidinamine, 4-[4-methyl-2-(3-pyridinyl)-5-thiazolyl]-N-(3-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 364334-80-5 CAPLUS
CN Phenol, 4-[[4-[2-[(4-nitrophenyl)amino]-5-thiazolyl]-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



RN 364334-82-7 CAPLUS
CN 2-Pyrimidinamine, N-(4-fluorophenyl)-4-[2-[(4-nitrophenyl)amino]-5-thiazolyl]- (9CI) (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 15 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2000:756702 CAPLUS
DN 133:321897
TI Preparation of azolylazines as p38 MAP kinase inhibitors.
IN Revesz, Laszlo; Schlapbach, Achim
PA Novartis A.-G., Switz.; Novartis-Erfindungen Verwaltungsgesellschaft m.b.H.
SO PCT Int. Appl., 87 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000063204	A2	20001026	WO 2000-EP3290	20000412
	WO 2000063204	A3	20020523		
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2370417	AA	20001026	CA 2000-2370417	20000412
	TR 200102967	T2	20020121	TR 2001-200102967	20000412
	BR 2000010598	A	20020205	BR 2000-10598	20000412
	EP 1224180	A2	20020724	EP 2000-922630	20000412
	EP 1224180	B1	20030702		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
	JP 2003503311	T2	20030128	JP 2000-612294	20000412
	AT 244236	E	20030715	AT 2000-922630	20000412
	PT 1224180	T	20031128	PT 2000-922630	20000412
	ES 2202114	T3	20040401	ES 2000-922630	20000412
	NO 2001004987	A	20011214	NO 2001-4987	20011012
	US 2002049220	A1	20020425	US 2001-975913	20011012
	US 6579874	B2	20030617		
	ZA 2001008403	A	20021016	ZA 2001-8403	20011012
PRAI	GB 1999-8531	A	19990414		
	GB 1999-8532	A	19990414		
	WO 2000-EP3290	W	20000412		

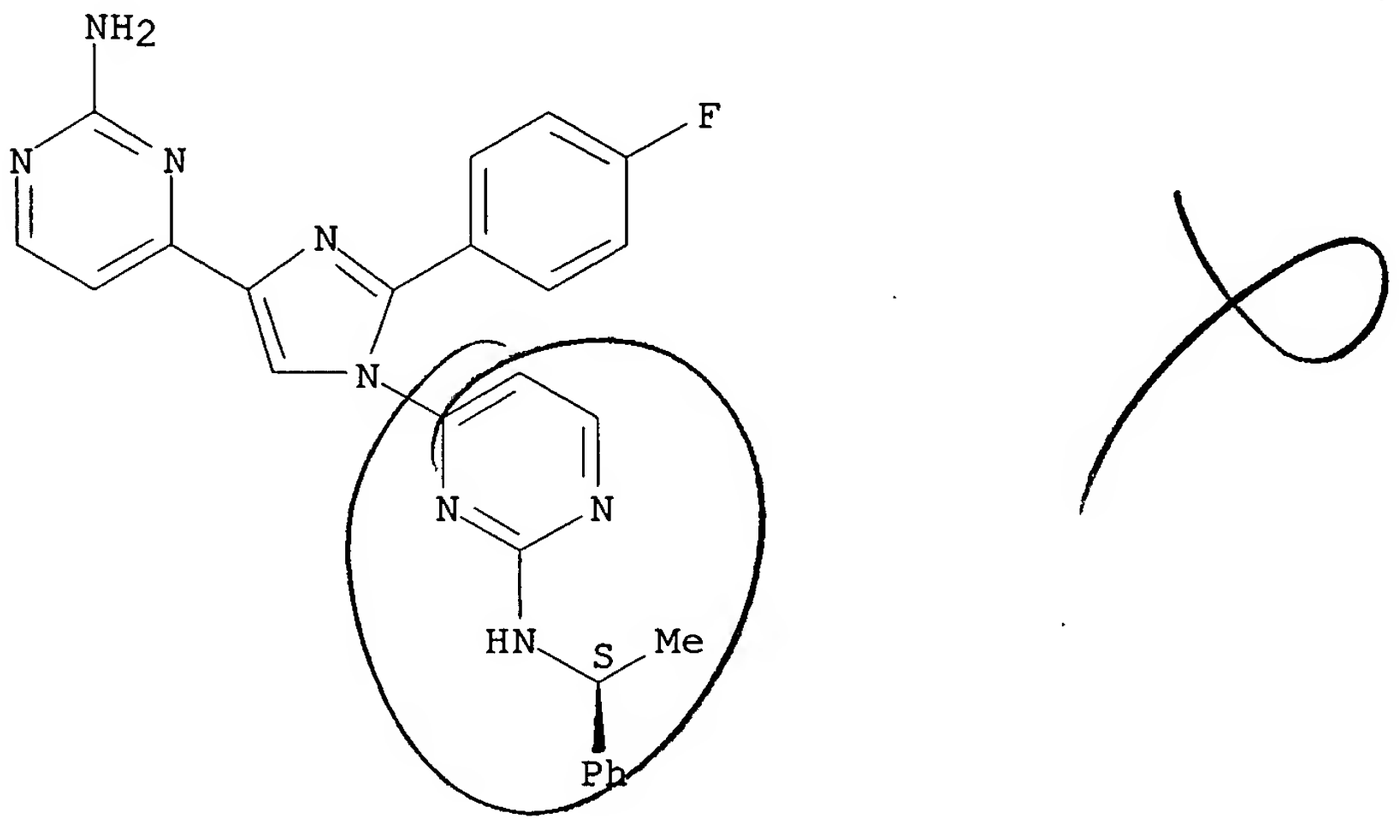
OS MARPAT 133:321897
AB Title compds. [I; A = N, C; B = CH when A = N, or O when A = C; Z = N, CH: W = NR6Y, O, S; R6 = H, alkyl, cycloalkyl, cycloalkylalkyl, aryl, heteroaryl, aralkyl, heteroaralkyl; Y = alkylene, bond; R2 = (substituted) Ph, amino; R3 = H, halo, (substituted) alkyl, alkenyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, methyleneaminoguanidinyl; R5 = (substituted) aryl, heteroaryl, cycloalkyl; dotted lines = single or double bonds], were prepared Thus, 4-(4-fluorophenyl)-5-[(2-methylsulfinyl)-4-pyrimidinyl]-2-N-morpholinyloxazole (preparation given) and (S)-1-phenylethylamine were heated at 120° for 2 h to give 44% 4-(4-fluorophenyl)-5-[2-[(1S)-phenylethyl]amino-4-pyrimidinyl]-2-N-morpholinyloxazole. Numerous I showed IC50 = 1-10 nM for inhibition of p38 MAP kinase.
IT **302838-78-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of azolylazines as p38 MAP kinase inhibitors)

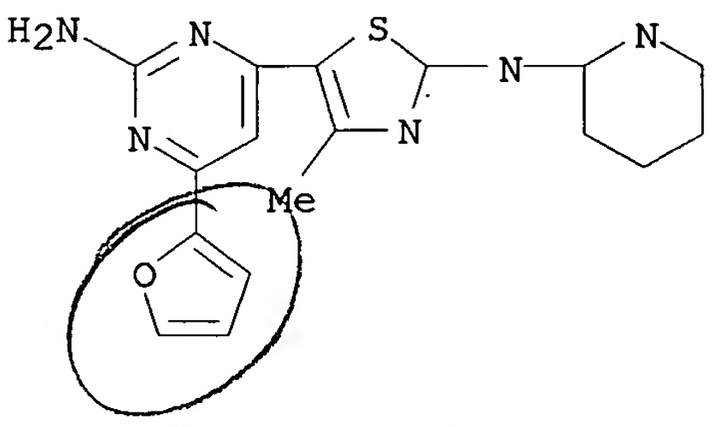
RN 302838-78-4 CAPLUS

CN 2-Pyrimidinamine, 4-[4-(2-amino-4-pyrimidinyl)-2-(4-fluorophenyl)-1H-imidazol-1-yl]-N-[(1S)-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

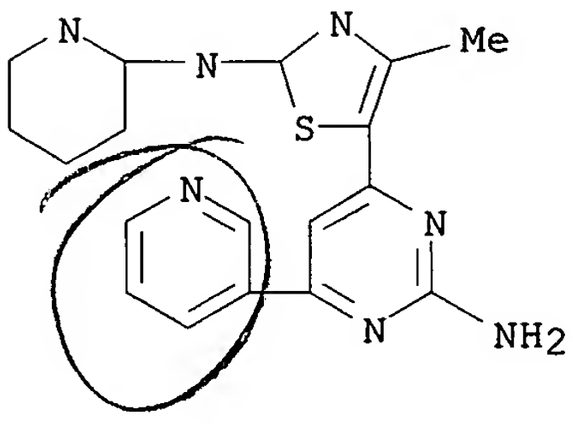


L6 ANSWER 16 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1987:67261 CAPLUS
DN 106:67261
TI Reactions of o-aminothiophenol, guanidine, thiourea, hydrazine hydrate, and hydroxylamine with acryloylthiazoles and microbial activities of the reaction products
AU Kulkarni, S. E., Miss; Mane, R. A.; Ingle, D. B.
CS Chem. Dep., Marathwada Univ., Aurangabad, 431 004, India
SO Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1986), 25B(4), 452-5
CODEN: IJSBDB; ISSN: 0376-4699
DT Journal
LA English
OS CASREACT 106:67261
AB Acryloylthiazoles I (R = 2-furyl, 3-, 4-pyridyl, 2-thienyl) have been synthesized by the Claisen-Schmidt condensation of 5-acetyl-4-methyl-2-(2-pyridylamino)thiazole and RCHO. I react with 2-HSC6H4NH2, guanidine, thiourea, N2H4, and NH2OH to give thiazolylbenzothiazepines, thiazolylpyrimidinamines, thiazolylpyrimidinthiones, thiazolylpyrazolines, and thiazolylisoxazolines, resp., all of which have fungicidal activity (no data).
IT **106534-99-0P 106535-00-6P 106535-01-7P 106535-02-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and fungicidal activity of)
RN 106534-99-0 CAPLUS
CN 2-Pyrimidinamine, 4-(2-furanyl)-6-[4-methyl-2-(2-pyridinylamino)-5-thiazolyl]- (9CI) (CA INDEX NAME)

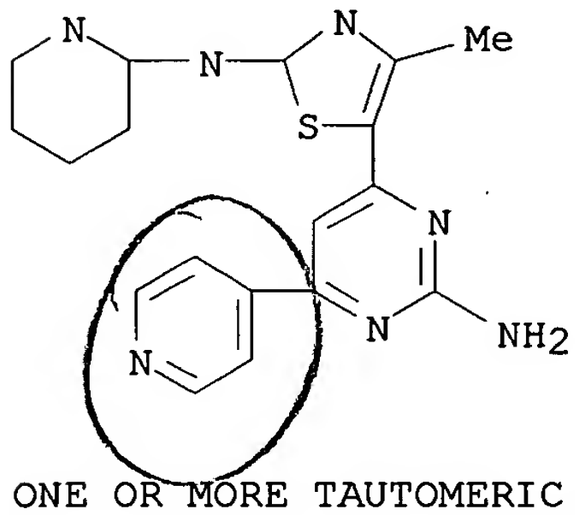


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

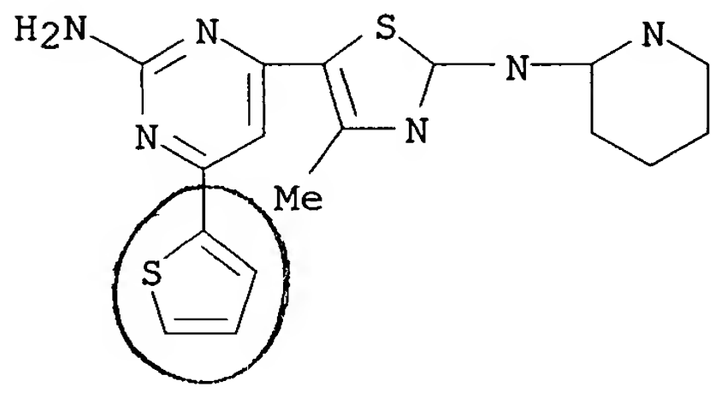
RN 106535-00-6 CAPLUS
CN 2-Pyrimidinamine, 4-[4-methyl-2-(2-pyridinylamino)-5-thiazolyl]-6-(3-pyridinyl)- (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 106535-01-7 CAPLUS
CN 2-Pyrimidinamine, 4-[4-methyl-2-(2-pyridinylamino)-5-thiazolyl]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

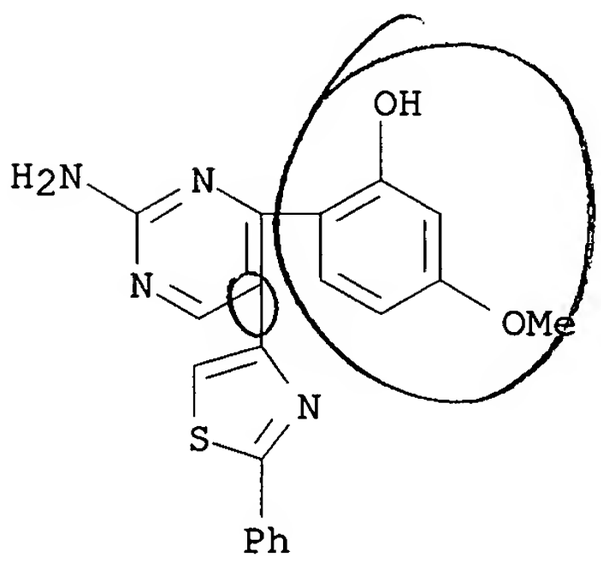


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 106535-02-8 CAPLUS
CN 2-Pyrimidinamine, 4-[4-methyl-2-(2-pyridinylamino)-5-thiazolyl]-6-(2-thienyl)- (9CI) (CA INDEX NAME)

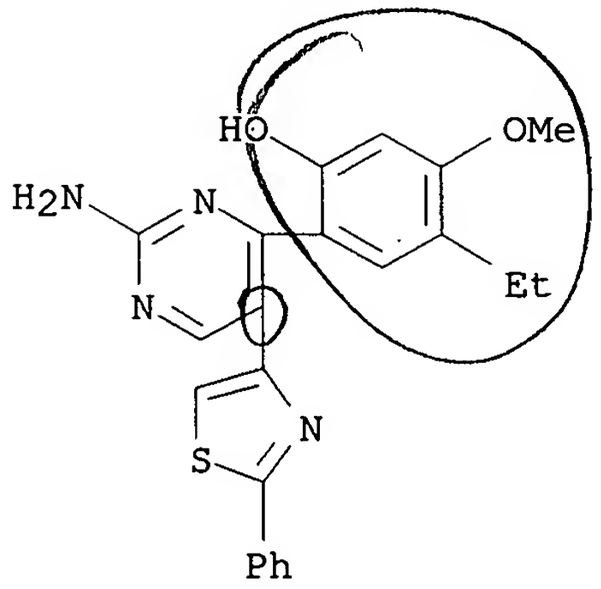


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

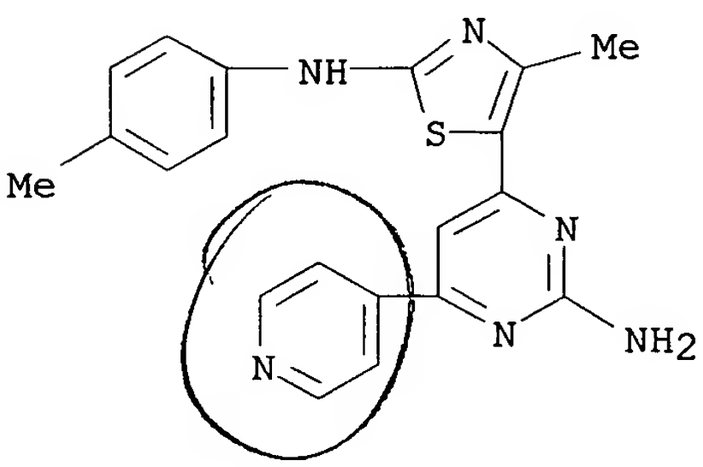
L6 ANSWER 17 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1986:608819 CAPLUS
DN 105:208819
TI Chemistry of isoflavone heteroanalogs. 10. Synthesis of pyrimidines by recyclization of isoflavones and their heteroanalogs
AU Khilya, V. P.; Kornilov, M. Yu.; Gorbulenko, N. V.; Golubushina, G. M.; Kovtun, E. N.; Kolotusha, N. V.; Panasenko, G. V.
CS Kiev. Gos. Univ., Kiev, 252017, USSR
SO Khimiya Geterotsiklicheskikh Soedinenii (1985), (11), 1542-50
CODEN: KGSSAQ; ISSN: 0453-8234
DT Journal
LA Russian
OS CASREACT 105:208819
AB 4-(2-Hydroxyphenyl)pyrimidines I (R = H, Me, CF3, R1 = H, Et, Pr, hexyl, R2 = H, MeO, X = NH2, Me, H, Y = 4-thiazolyl, 2-methyl- or 2-phenyl-4-thiazolyl, Ph, substituted phenyl) were prepared in 28-86% yields by recyclization of the corresponding isoflavones II in the presence of XC(:NH)NH2.
IT **105258-10-4P 105258-15-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 105258-10-4 CAPLUS
CN Phenol, 2-[2-amino-5-(2-phenyl-4-thiazolyl)-4-pyrimidinyl]-5-methoxy- (9CI) (CA INDEX NAME)



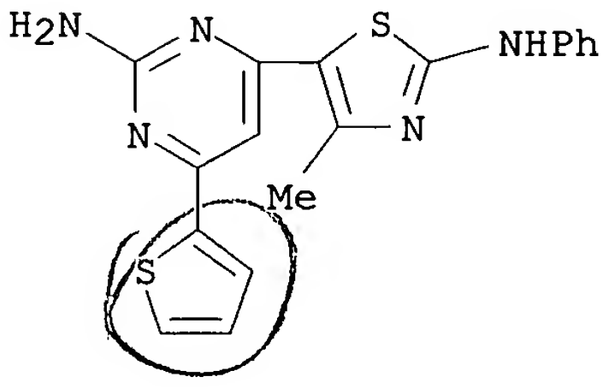
RN 105258-15-9 CAPLUS
CN Phenol, 2-[2-amino-5-(2-phenyl-4-thiazolyl)-4-pyrimidinyl]-4-ethyl-5-methoxy- (9CI) (CA INDEX NAME)



L6 ANSWER 18 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1986:439172 CAPLUS
DN 105:39172
TI Activity of acryloylthiazoles, thiazolylaminopyrimidines and thiazolylthiopyrimidines on Aspergillus flavus Link. ex Fries in vitro
AU Reddy, B. Ramchandra; Gangawane, L. V.; Kulkarni, S. E.; Ingle, D. B.
CS Dep. Bot., Marathwada Univ., Aurangabad, 431 004, India
SO Indian Botanical Reporter (1985), 4(2), 144-7
CODEN: IBREDR; ISSN: 0254-4091
DT Journal
LA English
AB In vitro antifungal action of several newly synthesized 2-arylamino-4-methyl-5-(3'-heteryl)-acryloylthiazoles (I), 2-amino-4-heteryl-6-[(2'-acrylamino-4'-methyl)-thiazol-5'-yl]pyrimidines (II) and 2(1H)-thio-3,4-dihydro-4-heteryl-6-[(2'-arylamino-4'-methyl)thiazol-5'-yl]pyrimidines (III) on Aspergillus flavus isolated from groundnut pods was studied. Some compds. of series I were inhibitory, whereas others were stimulatory or inactive. The majority of the compds. of series III were inactive, while many of the compds. of series II were found to possess considerable inhibitory properties towards the A. flavus.
IT **102989-68-4 102989-69-5**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(antifungal activity of, structure in relation to)
RN 102989-68-4 CAPLUS
CN 2-Pyrimidinamine, 4-[4-methyl-2-[(4-methylphenyl)amino]-5-thiazolyl]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 102989-69-5 CAPLUS
CN 2-Pyrimidinamine, 4-[4-methyl-2-(phenylamino)-5-thiazolyl]-6-(2-thienyl)- (9CI) (CA INDEX NAME)



```
=> => d his

     (FILE 'HOME' ENTERED AT 15:45:46 ON 05 SEP 2005)

     FILE 'REGISTRY' ENTERED AT 15:45:50 ON 05 SEP 2005
L1                STRUCTURE UPLOADED
L2              7 S L1 SSS SAM
L3                STRUCTURE UPLOADED
L4              1 S L3 SSS SAM
L5            190 S L3 SSS FUL

     FILE 'CAPLUS' ENTERED AT 15:54:19 ON 05 SEP 2005
L6             18 S L5

     FILE 'CAOLD' ENTERED AT 15:54:56 ON 05 SEP 2005

=> s 15
L7              0 L5

=> log y
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                      ENTRY    SESSION
FULL ESTIMATED COST                                    0.43     256.93

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                      ENTRY    SESSION
CA SUBSCRIBER PRICE                                    0.00     -13.14

STN INTERNATIONAL LOGOFF AT 15:55:09 ON 05 SEP 2005
```